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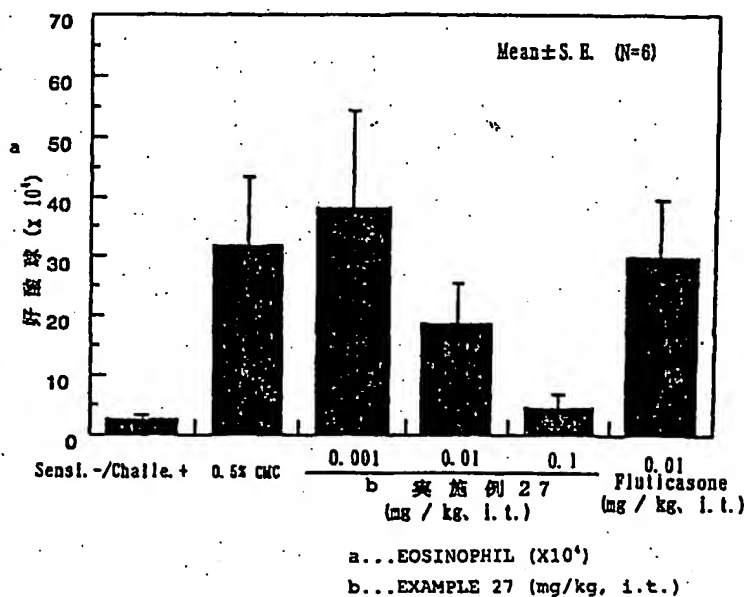
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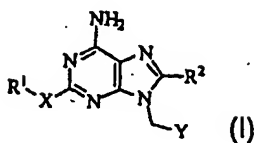
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(54) Title: NOVEL ADENINE DERIVATIVES

(54) 発明の名称: 新規アデニン誘導体



(57) Abstract: Adenine derivatives represented by the following general formula (I) wherein X represents NR<sup>3</sup> (wherein R<sup>3</sup> represents hydrogen or C<sub>1-3</sub> alkyl), etc.; R<sup>1</sup> represents optionally substituted alkyl, etc.; R<sup>2</sup> represents hydroxy, etc.; and Y represents an optionally substituted aromatic heterocycle, etc.; tautomers thereof or pharmaceutically acceptable salts thereof; and drugs such as interferon inducing agents, antiviral agents, anticancer agents, type 2 helper T cell-selective immune response inhibitors, antiallergic agents and immune response controlling agents containing the same as the active ingredient.



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## DESCRIPTION

### NOVEL ADENINE DERIVATIVES

#### Technical Field

The present invention relates to adenine derivatives useful for the prevention or treatment of type B and type C hepatitis, viral diseases such as AIDS, cancer diseases and so forth, as well as drugs such as interferon inducing agents, antiviral agents, anticancer agents, type 2 helper T cell-selective immune response inhibitors, antiallergic agents and immune response controlling agents and so forth containing the same as the active ingredient.

#### Background Art

Interferon is the most important factor responsible for defense against infection and regulation of immunity, and is already used practically as a drug for the treatment of hepatitis type B and type C or a drug for cancer immunotherapy. In particular, it is the only de facto therapeutic drug for type C hepatitis. Interferon is a polypeptide having a molecular weight of about 20,000, is produced by gene recombination or cell culturing, and can only be administered by injection. Thus, there is a need for an interferon inducing agent that can be administered orally.

Although previous examples of substances known to have the action of inducing interferon include double-strand nucleic acids originating in viruses or other organisms, poly(I):poly(C), polycarboxylates and other high molecular weight polymers, in addition to double-strand nucleic acids and high molecular weight polymers having problems with antigenicity, risk of contamination by pathogenic microorganisms and biological stability, since they have a high

molecular weight, it has been difficult to develop them in the form of oral preparations. Although studies have been conducted on several low molecular weight interferon inducing substances such as fluorenones, pyrimidinones and anthraquinones (Mayer, G.D., et al.: Science, 1970, 169, 1214; Nichol, F.R. et al.: Antimicrob. Agents Chemother., 1976, 9, 433; Stringfellow, D.A., et al.: Antimicrob Agents Chemother., 1991, 15, 111), their development as pharmaceuticals has been abandoned due to low therapeutic efficacy or toxicity (Reiter, M.A., et al.: J. Leukocyte Biol., 1994, 55, 234). Although the imidazoquinoline derivative, R-837 (Imiquimod), is known as another example of a low molecular weight interferon inducing agent (EP 145,340), its development as an oral preparation has been discontinued to its low level of interferon inducing activity and the presence of adverse side effects. We found that specific purine derivatives also have interferon inducing action (WO99-28321). However, since these compounds have low water solubility, they were not always adequate in terms of digestive tract absorptivity.

On the other hand, helper T cells play a central role in the immune response. There are two types of helper T cells, namely Th1 cells and Th2 cells. Cytokines produced accompanying activation of Th1 cells include interleukin-2 (IL-2) and interferon- $\gamma$  (IFN- $\gamma$ ), while cytokines produced from Th2 cells include interleukin-4 (IL-4) and interleukin-5 (IL-5). Th1 cytokines induce activation of macrophages, natural killer cells and so forth, and are known to be mainly involved in cellular immunity such as defense against infections by viruses and bacteria. Th2 cytokines are involved in humorous immunity such as the production of antibody from B cells. In addition to inducing production of IgE antibody in B cells, IL-4 in particular has action that induces differentiation and proliferation of Th2 cells. IL-5 has the action of activating, promoting differentiation and proliferation and extending the life of eosinophils, and frequently plays an important role in allergic inflammations.

These Th2 cytokines have actually been observed to increase at the affected site in patients with allergic inflammations such as asthma and atopic dermatitis mainly involving Th2 cells. Although steroids are frequently used for the treatment of these diseases, prolonged administration of steroids is associated with the problem of the occurrence of a wide range of adverse side effects (including diabetes, osteoporosis, adrenal insufficiency and moon face). In addition, since steroids have an inhibitory effect on both Th1 and Th2 T cells, they may induce infection as a result of inhibiting Th1 cells. On the basis of the above, a drug that selectively inhibits the Th2 immune response can be expected to serve as a safe therapeutic drug for the treatment of allergic diseases without causing infection.

#### DISCLOSURE OF THE INVENTION

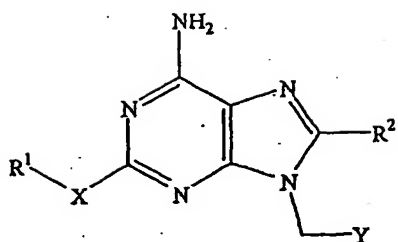
In consideration of the above circumstances, an object of the present invention is to provide a compound that is effective for the prevention or treatment of type B and type C hepatitis, AIDS and other viral diseases, cancer diseases and diseases caused by type 2 helper T cells, has a low molecular weight allowing oral administration, and has improved physical properties (such as solubility and pharmacokinetics).

As a result of earnest studies conducted by the inventors of the present invention in consideration of the above background factors, it was found that adenine derivatives having a specific structure have prominent interferon inducing activity and type 2 helper T cell-selective immune response inhibitory action, while also having superior physical properties, thereby leading to completion of the present invention.

Namely, the present invention includes the inventions indicated below.

(1) Adenine derivatives represented by general formula (I), tautomers thereof or pharmaceutically acceptable salts thereof:





(I)

(wherein, X represents NR<sup>3</sup> (wherein R<sup>3</sup> represents a hydrogen or C<sub>1-3</sub> alkyl group), oxygen or sulfur, R<sup>1</sup> represents an optionally substituted alkyl group, optionally substituted alkenyl group, optionally substituted alkynyl group, optionally substituted aryl group or optionally substituted heteroaryl group, R<sup>2</sup> represents a hydroxy group, mercapto group, C<sub>1-8</sub> acyloxy group, or C<sub>2-8</sub> alkoxy-carbonyloxy group, and Y represents an optionally substituted naphthalene ring, optionally substituted five-member or six-member aromatic heterocycle containing one or more hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, or optionally substituted condensed bicyclic aromatic heterocycle containing one or more hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur).

(2) Compounds according to (1) above, wherein in general formula (1), R<sup>1</sup> represents a C<sub>1-8</sub> alkyl group, C<sub>2-8</sub> alkenyl group, C<sub>2-8</sub> alkynyl group, C<sub>2-8</sub> alkoxyalkyl group, C<sub>1-8</sub> hydroxyalkyl group, aryl group, heteroaryl group, aralkyl group or heteroarylalkyl group.

(3) Compounds according to (1) or (2) above, wherein in general formula (I), R<sup>1</sup> represents a C<sub>1-6</sub> alkyl group.

(4) Compounds according to any of (1) through (3) above, wherein in general formula (I), X represents NH.

(5) Compounds according to any of (1) through (3) above, wherein in general formula (I), X represents oxygen.

(6) Compounds according to any of (1) through (5) above, wherein in general formula (I), Y represents an optionally substituted pyridine ring or an optionally substituted pyrazine ring.

(7) Compounds according to any of (1) through (5) above,

wherein in general formula (I), Y represents an optionally substituted naphthalene ring or optionally substituted thiophene ring.

(8) Compounds according to any of (1) through (6) above, wherein in general formula (I), Y has substitution group(s) selected from the group consisting of a C<sub>1-4</sub> alkyl group, C<sub>1-4</sub> alkoxy group, hydroxy group, mercapto group, C<sub>1-4</sub> alkylthio group, halogen, amino group, C<sub>2-8</sub> dialkylamino group, C<sub>1-4</sub> monoalkylamino group, pyrrolidinyl group, piperidino group and morpholino group, Y having said substitution groups at 1-4 arbitrary positions in the case Y is a pyridine ring, or having said substitution groups at 1-3 arbitrary positions in the case Y is a pyrazine ring.

(9) Compounds according to any of (1) through (6) or (8) above, wherein in general formula (I), Y represents a pyridine ring optionally having substitution group(s) selected from the group consisting of a C<sub>1-4</sub> alkyl group, C<sub>1-4</sub> alkoxy group, hydroxy group, mercapto group, C<sub>1-4</sub> alkylthio group, halogen, amino group, C<sub>2-8</sub> dialkylamino group, C<sub>1-4</sub> monoalkylamino group, pyrrolidinyl group, piperidino group and morpholino group, R<sup>1</sup> represents a C<sub>1-6</sub> alkyl group, and R<sup>2</sup> represents a hydroxy group.

(10) Compounds according to (9) above, wherein X represents NH or oxygen.

(11) Drugs having for their active ingredient any of the compounds according to (1) through (10) above.

(12) Interferon inducing agents having for their active ingredient any of the compounds according to (1) through (10) above.

(13) Antiviral agents having for their active ingredient any of the compounds according to (1) through (10) above.

(14) Anticancer agents having for their active ingredient any of the compounds according to (1) through (10) above.

(15) Type 2 helper T cell-selective immune response inhibitors having for their active ingredient any of the compounds according to (1) through (10) above.

(16) Antiallergic agents having for their active ingredient any

of the compounds according to (1) through (10) above.

(17) Immune response controlling agents having for their active ingredient any of the compounds according to (1) through (10) above.

The following provides a detailed explanation of the compounds of the present invention.

In general formula (I), a  $C_{1-8}$  alkyl group,  $C_{2-8}$  alkenyl group or  $C_{2-8}$  alkynyl group is preferable for the alkyl group, alkenyl group or alkynyl group in  $R^1$ . Moreover, examples of substitution groups of the alkyl group, alkenyl group or alkynyl group in  $R^1$  include a hydroxy group,  $C_{1-8}$  alkoxy group, aryl group, heteroaryl group and halogen (such as chlorine, bromine or iodine), while a  $C_{2-8}$  alkoxyalkyl group,  $C_{1-8}$  hydroxyalkyl group, aralkyl group and heteroarylalkyl group are particularly preferable for the substituted alkyl group, alkenyl group or alkynyl group in  $R^1$ .

Examples of the above  $C_{1-8}$  alkyl group include a methyl, ethyl, 1-propyl, 2-propyl, 1-butyl, 2-butyl, 1-pentyl, 2-pentyl, 1-hexyl, 2-hexyl, 1-heptyl, 2-heptyl, 3-heptyl, octyl, 2-methylpropyl, 2-methylbutyl, 3-methylbutyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, methylhexyl, methylheptyl, 1,1-dimethylethyl, 1,1-dimethylpropyl, 2-ethylhexyl, cyclopentylmethyl, cyclohexylmethyl, cyclopropyl, cyclobutyl, cyclopentyl, methylcyclopentyl, cyclohexyl, methylcyclohexyl, cycloheptyl and cyclooctyl group.

Examples of the  $C_{2-8}$  alkynyl group include vinyl, allyl, crotyl, 1-propenyl, cyclopentenyl, cyclopentadienyl and cyclohexenyl group.

Examples of the  $C_{2-8}$  alkenyl group are ethynyl, propynyl and butynyl group.

Examples of the  $C_{2-8}$  hydroxyalkyl group include 1-hydroxyethyl, 2-hydroxyethyl, 1-hydroxypropyl, 2-hydroxypropyl, 3-hydroxypropyl, 1-hydroxybutyl, 2-hydroxybutyl, 3-hydroxybutyl and 4-hydroxybutyl group.

Examples of the aralkyl group include benzyl, 1-phenethyl, 2-phenethyl, phenylpropyl and phenylbutyl group.

Examples of the heteroarylalkyl group include 4-pyridylmethyl and 3-pyridylmethyl group.

Examples of the C<sub>2-8</sub> alkoxyalkyl group include methoxymethyl, 2-methoxyethyl, 3-methoxypropyl, 4-methoxybutyl, ethoxymethyl, 2-ethoxyethyl and 3-ethoxypropyl group.

Each of the substituents represented by R<sup>1</sup> may have a substituent such as alkyl, hydroxyl, mercapto, halogen atom, amino or alkoxy group.

In the general formula (I), examples of the aryl or heteroaryl group include phenyl, 1-naphthyl, 2-naphthyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 3-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-furyl, 3-furyl, 2-thienyl and 3-thienyl group. The aryl or heteroaryl group above may be unsubstituted or may have a substituent. Examples of the substituent include C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, hydroxyl, mercapto, alkylthio, halogen atom, amino, C<sub>2-8</sub> dialkylamino, C<sub>1-4</sub> monoalkylamino and methylenedioxy group. Examples of the C<sub>1-4</sub> alkyl group include methyl, ethyl, 1-propyl, 2-propyl, 1-butyl and 2-butyl group. Examples of the alkoxy group having 1-4 carbon atoms include methoxy, ethoxy, 1-propoxy, 2-propoxy, 1-butoxy and 2-butoxy group. Examples of the C<sub>2-8</sub> dialkyl amino group include dimethylamino, diethylamino, dipropylamino, dibutylamino, ethylmethylamino, and methylpropylamino group. Examples of the 1-4C monoalkylamino group include methylamino, ethylamino, propylamino and butyl amino group. Examples of the C<sub>1-4</sub> alkylthio group include methylthio, ethylthio, 1-propylthio, 2-propylthio, 1-butylthio, 2-butylthio and t-butylthio group. Examples of the halogen atom include fluorine, chlorine and bromine.

Examples of the aryl or heteroaryl group in R<sup>1</sup> having a substituent include 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-ethylphenyl, 4-ethylphenyl, 2-propylphenyl, 3-propylphenyl, 4-propylphenyl, 2-isopropylphenyl, 3-isopropylphenyl, 4-isopropylphenyl, 2-butylphenyl, 3-butylphenyl, 4-butylphenyl, 2-chlorophenyl,

3-chlorophenyl, 4-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-ethoxyphenyl, 4-ethoxyphenyl, 2-propoxyphenyl, 3-propoxyphenyl, 4-propoxyphenyl, 2-isopropoxyphenyl, 3-isopropoxyphenyl, 4-isopropoxyphenyl, 2-butoxyphenyl, 3-butoxyphenyl, 4-butoxyphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 4-methylaminophenyl, 2-ethylaminophenyl, 3-ethylaminophenyl, 4-ethylaminophenyl, 2-propylaminophenyl, 3-propylaminophenyl, 4-propylaminophenyl, 2-isopropylaminophenyl, 3-isopropylaminophenyl, 4-isopropylaminophenyl, 2-butylaminophenyl, 3-butylaminophenyl, 4-butylaminophenyl, 2-dimethylaminophenyl, 3-dimethylaminophenyl, 4-dimethylaminophenyl, 2-diethylaminophenyl, 3-diethylaminophenyl, 4-diethylaminophenyl, 2-dipropylaminophenyl, 3-dipropylaminophenyl, 4-dipropylaminophenyl, 2-dibutylaminophenyl, 3-dibutylaminophenyl, 4-dibutylaminophenyl, 2-ethylmethylaminophenyl, 3-ethylmethylaminophenyl, 4-ethylmethylaminophenyl, 2-methylthioaminophenyl, 3-methylthioaminophenyl, 4-methylthioaminophenyl, 2-ethylthioaminophenyl, 3-ethylthioaminophenyl, 4-ethylthioaminophenyl, 2-propylthioaminophenyl, 3-propylthioaminophenyl, 4-propylthioaminophenyl, 2-isopropylthioaminophenyl, 3-isopropylthioaminophenyl, 4-isopropylthioaminophenyl, 2-butylthioaminophenyl, 3-butylthioaminophenyl, 4-butylthioaminophenyl, 2-methyl-1-naphthyl, 3-methyl-1-naphthyl, 4-methyl-1-naphthyl, 5-methyl-1-naphthyl, 6-methyl-1-naphthyl, 7-methyl-1-naphthyl, 8-methyl-1-naphthyl, 2-methyl-2-naphthyl, 3-methyl-2-naphthyl, 4-methyl-2-naphthyl, 5-methyl-2-naphthyl, 6-methyl-2-naphthyl, 7-methyl-2-naphthyl, 8-methyl-2-naphthyl, 2-methoxy-1-naphthyl, 3-methoxy-1-naphthyl, 4-methoxy-1-naphthyl, 5-methoxy-1-naphthyl, 6-methoxy-1-naphthyl, 7-methoxy-1-naphthyl, 8-methoxy-1-naphthyl, 1-methoxy-2-naphthyl, 3-methoxy-2-

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methylthio-4-pyridyl, 6-methylthio-4-pyridyl, 2-chloro-3-pyridyl, 4-chloro-3-pyridyl, 5-chloro-3-pyridyl, 6-chloro-3-pyridyl, 3-chloro-2-pyridyl, 4-chloro-2-pyridyl, 5-chloro-2-pyridyl, 6-chloro-2-pyridyl, 2-chloro-4-pyridyl, 3-chloro-4-pyridyl, 5-chloro-4-pyridyl, 6-chloro-4-pyridyl, 2-amino-3-pyridyl, 4-amino-3-pyridyl, 5-amino-3-pyridyl, 6-amino-3-pyridyl, 3-amino-2-pyridyl, 4-amino-2-pyridyl, 5-amino-2-pyridyl, 6-amino-2-pyridyl, 2-amino-4-pyridyl, 3-amino-4-pyridyl, 5-amino-4-pyridyl, 6-amino-4-pyridyl, 2-monomethylamino-3-pyridyl, 4-monomethylamino-3-pyridyl, 5-monomethylamino-3-pyridyl, 6-monomethylamino-3-pyridyl, 3-monomethylamino-2-pyridyl, 4-monomethylamino-2-pyridyl, 5-monomethylamino-2-pyridyl, 6-monomethylamino-2-pyridyl, 2-monomethylamino-4-pyridyl, 3-monomethylamino-4-pyridyl, 5-monomethylamino-4-pyridyl, 6-monomethylamino-4-pyridyl, 2-dimethylamino-3-pyridyl, 4-dimethylamino-3-pyridyl, 5-dimethylamino-3-pyridyl, 6-dimethylamino-3-pyridyl, 3-dimethylamino-2-pyridyl, 4-dimethylamino-2-pyridyl, 5-dimethylamino-2-pyridyl, 6-dimethylamino-2-pyridyl, 2-dimethylamino-4-pyridyl, 3-dimethylamino-4-pyridyl, 5-dimethylamino-4-pyridyl, 6-dimethylamino-4-pyridyl, 2-fluoro-3-pyridyl, 4-fluoro-3-pyridyl, 5-fluoro-3-pyridyl, 6-fluoro-3-pyridyl, 3-fluoro-2-pyridyl, 4-fluoro-2-pyridyl, 5-fluoro-2-pyridyl, 6-fluoro-2-pyridyl, 2-fluoro-4-pyridyl, 3-fluoro-4-pyridyl, 5-fluoro-4-pyridyl, 6-fluoro-4-pyridyl, 2,4-dimethyl-3-pyridyl, 2,6-dimethyl-3-pyridyl, 5,6-dimethyl-3-pyridyl, 4,6-dimethyl-3-pyridyl, 4,5-dimethyl-2-pyridyl, 5,6-dimethyl-2-pyridyl, 2,3-dimethyl-4-pyridyl, 2,6-dimethyl-4-pyridyl, 2,4-dimethoxy-3-pyridyl, 2,6-dimethoxy-3-pyridyl, 5,6-dimethoxy-3-pyridyl, 4,6-dimethoxy-3-pyridyl, 4,5-dimethoxy-2-pyridyl, 5,6-dimethoxy-2-pyridyl, 2,3-dimethoxy-4-pyridyl, 2,6-dimethoxy-4-pyridyl, 2-chloro-6-methyl-3-pyridyl, 6-chloro-2-methyl-3-pyridyl, 2-chloro-6-methoxy-3-pyridyl, 6-chloro-2-methoxy-3-pyridyl, 5-methyl-6-chloro-3-pyridyl, 5-methoxy-6-chloro-3-pyridyl, 5-ethoxyl-6-chloro-3-pyridyl, 5-chloro-6-methyl-3-pyridyl,



5-methoxy-6-methyl-3-pyridyl, 5-ethoxy-6-methyl-3-pyridyl, 5-chloro-6-methoxy-3-pyridyl, 5-chloro-6-ethoxy-3-pyridyl, 2,5,6-trimethyl-3-pyridyl, 2-pyrazinyl, 5-methyl-2-pyrazinyl, 6-methyl-2-pyrazinyl, 5-methoxy-2-pyrazinyl, 6-methoxy-2-pyrazinyl, 5-ethoxy-2-pyrazinyl, 6-ethoxy-2-pyrazinyl, 5-chloro-2-pyrazinyl, 6-chloro-2-pyrazinyl, 3-methyl-2-furyl, 4-methyl-2-furyl, 5-methyl-2-furyl, 2-methyl-3-furyl, 4-methyl-3-furyl, 5-methyl-3-furyl, 3-methoxy-2-furyl, 4-methoxy-2-furyl, 5-methoxy-2-furyl, 2-methoxy-3-furyl, 4-methoxy-3-furyl, 5-methoxy-3-furyl, 3-chloro-2-furyl, 4-chloro-2-furyl, 5-chloro-2-furyl, 2-chloro-3-furyl, 4-chloro-3-furyl, 5-chloro-3-furyl, 3-fluoro-2-furyl, 4-fluoro-2-furyl, 5-fluoro-2-furyl, 2-fluoro-3-furyl, 4-fluoro-3-furyl, 5-fluoro-3-furyl, 3-methyl-2-thienyl, 4-methyl-2-thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-3-thienyl, 5-methyl-3-thienyl, 3-methoxy-2-thienyl, 4-methoxy-2-thienyl, 5-methoxy-2-thienyl, 2-methoxy-3-thienyl, 4-methoxy-3-thienyl, 5-methoxy-3-thienyl, 3-chloro-2-thienyl, 4-chloro-2-thienyl, 5-chloro-2-thienyl, 2-chloro-3-thienyl, 4-chloro-3-thienyl, 5-chloro-3-thienyl, 3-fluoro-2-thienyl, 4-fluoro-2-thienyl, 5-fluoro-2-thienyl, 2-fluoro-3-thienyl, 4-fluoro-3-thienyl, and 5-fluoro-3-thienyl group.

Examples of the substituent represented by  $R^2$  in general formula (I) include hydroxy, mercapto,  $C_{1-8}$  acyloxy and  $C_{2-8}$  alkoxycarbonyloxy group.

Examples of the  $C_{1-8}$  acyloxy group include formyloxy, acetyloxy, propionyloxy, butanoyloxy, pentanoyloxy, hexanoyloxy, heptanoyloxy, octanoyloxy and benzoyloxy group.

Examples of the  $C_{2-8}$  alkoxycarbonyloxy group include methoxycarbonyloxy, ethoxycarbonyloxy, propoxycarbonyloxy, butoxycarbonyloxy, pentyloxycarbonyloxy, hexyloxycarbonyloxy, heptyloxycarbonyloxy, isopropyloxycarbonyloxy, isobutyloxycarbonyloxy, t-butyloxycarbonyloxy, isopentyloxycarbonyloxy, and benzyloxycarbonyloxy group.

X in the general formula (I) represents  $\text{NR}^3$ , oxygen atom or sulfur atom. The  $\text{NR}^3$  represents hydrogen atom or  $\text{C}_{1-3}$  alkyl group, where examples of the alkyl group include methyl, ethyl, n-propyl and isopropyl group.

Examples of the 5 or 6 membered monocyclic aromatic heterocycle having one or two hetero atoms selected from the group consisting nitrogen, oxygen and sulfur, represented by Y in the general formula (I), include a thiophene ring, furan ring, pyrrole ring, thiazole ring, iso-oxazole ring, oxazole ring, pyrazole ring, imidazole ring, pyridine ring, pyrazine ring, pyrimidine ring and pyridazine ring. Examples of the bicyclic aromatic heterocycle having one or two hetero atoms selected from the group consisting nitrogen, oxygen and sulfur include a benzothiophene ring, benzofuran ring, indole ring, benzothiazole ring, benzooxazole ring, benzoimidazole ring, quinoline ring and isoquinoline ring. Y may be unsubstituted or a part may be substituted. Examples of preferred Y include a naphthalene ring, thiophene ring, pyridine ring and pyrazine ring. These rings may be substituted or a part may be substituted. For example, in the case where Y is a pyridine ring, any portion of the pyridine ring may be substituted by one to four substituents. In the case where Y is a pyrazine ring, any portion of the pyridine ring may be substituted by one to three substituents. In the case Y is substituted by two or more substituents, the substituents may be same or different to each other.

Examples of the substituent for Y include a  $\text{C}_{1-4}$  alkyl group,  $\text{C}_{1-4}$  alkoxy group, hydroxy group, mercapto group,  $\text{C}_{1-4}$  alkylthio group, halogen, amino group,  $\text{C}_{2-8}$  dialkylamino group,  $\text{C}_{1-4}$  monoalkyl amino group, 1-pyrrolidyl group, 2-pyrrolidyl group, 3-pyrrolidyl group, piperidino group, morpholino group and 3-morpholino group. Examples of the  $\text{C}_{1-4}$  alkyl group, the  $\text{C}_{1-4}$  alkoxy group, and the alkyl group in the  $\text{C}_{2-8}$  dialkyl amino group and in the  $\text{C}_{1-4}$  monoalkyl amino group having 1-4 carbon atoms are same as those listed for  $\text{R}^1$ . Examples of the  $\text{C}_{1-4}$  alkylthio group include methylthio, ethylthio, 1-propylthio,

2-propylthio, 1-butylthio, 2-butylthio, t-butylthio group. Examples of the halogen atom include fluorine, chlorine and bromine.

Examples of the Y having a substituent include 2-methyl-1-naphthyl, 3-methyl-1-naphthyl, 4-methyl-1-naphthyl, 5-methyl-1-naphthyl, 6-methyl-1-naphthyl, 7-methyl-1-naphthyl, 8-methyl-1-naphthyl, 2-methyl-2-naphthyl, 3-methyl-2-naphthyl, 4-methyl-2-naphthyl, 5-methyl-2-naphthyl, 6-methyl-2-naphthyl, 7-methyl-2-naphthyl, 8-methyl-2-naphthyl, 2-methoxy-1-naphthyl, 3-methoxy-1-naphthyl, 4-methoxy-1-naphthyl, 5-methoxy-1-naphthyl, 6-methoxy-1-naphthyl, 7-methoxy-1-naphthyl, 8-methoxy-1-naphthyl, 1-methoxy-2-naphthyl, 3-methoxy-2-naphthyl, 4-methoxy-2-naphthyl, 5-methoxy-2-naphthyl, 6-methoxy-2-naphthyl, 7-methoxy-2-naphthyl, 8-methoxy-2-naphthyl, 2-ethoxy-1-naphthyl, 3-ethoxy-1-naphthyl, 4-ethoxy-1-naphthyl, 5-ethoxy-1-naphthyl, 6-ethoxy-1-naphthyl, 7-ethoxy-1-naphthyl, 8-ethoxy-1-naphthyl, 1-ethoxy-2-naphthyl, 3-ethoxy-2-naphthyl, 4-ethoxy-2-naphthyl, 5-ethoxy-2-naphthyl, 6-ethoxy-2-naphthyl, 7-ethoxy-2-naphthyl, 8-ethoxy-2-naphthyl, 2-hydroxy-1-naphthyl, 3-hydroxy-1-naphthyl, 4-hydroxy-1-naphthyl, 5-hydroxy-1-naphthyl, 6-hydroxy-1-naphthyl, 7-hydroxy-1-naphthyl, 8-hydroxy-1-naphthyl, 1-hydroxy-2-naphthyl, 3-hydroxy-2-naphthyl, 4-hydroxy-2-naphthyl, 5-hydroxy-2-naphthyl, 6-hydroxy-2-naphthyl, 7-hydroxy-2-naphthyl, 8-hydroxy-2-naphthyl, 2-chloro-1-naphthyl, 3-chloro-1-naphthyl, 4-chloro-1-naphthyl, 5-chloro-1-naphthyl, 6-chloro-1-naphthyl, 7-chloro-1-naphthyl, 8-chloro-1-naphthyl, 1-chloro-2-naphthyl, 3-chloro-2-naphthyl, 4-chloro-2-naphthyl, 5-chloro-3-naphthyl, 6-chloro-3-naphthyl, 7-chloro-3-naphthyl, 8-chloro-3-naphthyl, 2-fluoro-1-naphthyl, 3-fluoro-1-naphthyl, 4-fluoro-1-naphthyl, 5-fluoro-1-naphthyl, 6-fluoro-1-naphthyl, 7-fluoro-1-naphthyl, 8-fluoro-1-naphthyl, 1-fluoro-2-naphthyl, 3-fluoro-2-naphthyl, 4-fluoro-2-naphthyl, 5-fluoro-3-naphthyl, 6-fluoro-3-naphthyl, 7-fluoro-3-naphthyl, 8-fluoro-3-naphthyl,

2-amino-1-naphthyl, 3-amino-1-naphthyl, 4-amino-1-naphthyl,  
5-amino-1-naphthyl, 6-amino-1-naphthyl, 7-amino-1-naphthyl,  
8-amino-1-naphthyl, 1-amino-2-naphthyl, 3-amino-2-naphthyl,  
4-amino-2-naphthyl, 5-amino-3-naphthyl, 6-amino-3-naphthyl,  
7-amino-3-naphthyl, 8-amino-3-naphthyl, 2-methylamino-1-  
naphthyl, 3-methylamino-1-naphthyl, 4-methylamino-1-naphthyl,  
5-methylamino-1-naphthyl, 6-methylamino-1-naphthyl, 7-  
methylamino-1-naphthyl, 8-methylamino-1-naphthyl, 1-  
methylamino-2-naphthyl, 3-methylamino-2-naphthyl, 4-  
methylamino-2-naphthyl, 5-methylamino-3-naphthyl, 6-  
methylamino-3-naphthyl, 7-methylamino-3-naphthyl, 8-  
methylamino-3-naphthyl, 2-dimethylamino-1-naphthyl, 3-  
dimethylamino-1-naphthyl, 4-dimethylamino-1-naphthyl, 5-  
dimethylamino-1-naphthyl, 6-dimethylamino-1-naphthyl, 7-  
dimethylamino-1-naphthyl, 8-dimethylamino-1-naphthyl, 1-  
dimethylamino-2-naphthyl, 3-dimethylamino-2-naphthyl, 4-  
dimethylamino-2-naphthyl, 5-dimethylamino-2-naphthyl, 6-  
dimethylamino-2-naphthyl, 7-dimethylamino-2-naphthyl, 8-  
dimethylamino-2-naphthyl, 3-methyl-2-thienyl, 4-methyl-2-  
thienyl, 5-methyl-2-thienyl, 2-methyl-3-thienyl, 4-methyl-  
3-thienyl, 5-methyl-3-thienyl, 3-methoxy-2-thienyl, 4-  
methoxy-2-thienyl, 5-methoxy-2-thienyl,  
2-methoxy-3-thienyl, 4-methoxy-3-thienyl, 5-methoxy-3-  
thienyl, 3-chloro-2-thienyl, 4-chloro-2-thienyl, 5-chloro-2-  
thienyl, 2-chloro-3-thienyl, 4-chloro-3-thienyl, 5-chloro-  
3-thienyl, 3-fluoro-2-thienyl, 4-fluoro-2-thienyl, 5-  
fluoro-2-thienyl, 2-fluoro-3-thienyl, 4-fluoro-3-thienyl,  
5-fluoro-3-thienyl, 3-methyl-2-furyl, 4-methyl-2-furyl, 5-  
methyl-2-furyl, 2-methyl-3-furyl, 4-methyl-3-furyl, 5-  
methyl-3-furyl, 3-methoxy-2-furyl, 4-methoxy-2-furyl, 5-  
methoxy-2-furyl, 2-methoxy-3-furyl, 4-methoxy-3-furyl, 5-  
methoxy-3-furyl, 3-chloro-2-furyl, 4-chloro-2-furyl, 5-  
chloro-2-furyl, 2-chloro-3-furyl, 4-chloro-3-furyl, 5-  
chloro-3-furyl, 3-fluoro-2-furyl, 4-fluoro-2-furyl, 5-  
fluoro-2-furyl, 2-fluoro-3-furyl, 4-fluoro-3-furyl, 5-  
fluoro-3-furyl, 3-methyl-2-pyrrolyl, 4-methyl-2-pyrrolyl,

5-methyl-2-pyrrolyl, 2-methyl-3-pyrrolyl, 4-methyl-3-pyrrolyl, 5-methyl-3-pyrrolyl, 3-methoxy-2-pyrrolyl, 4-methoxy-2-pyrrolyl, 5-methoxy-2-pyrrolyl, 2-methoxy-3-pyrrolyl, 4-methoxy-3-pyrrolyl, 5-methoxy-3-pyrrolyl, 3-chloro-2-pyrrolyl, 4-chloro-2-pyrrolyl, 5-chloro-2-pyrrolyl, 2-chloro-3-pyrrolyl, 4-chloro-3-pyrrolyl, 5-chloro-3-pyrrolyl, 3-fluoro-2-pyrrolyl, 4-fluoro-2-pyrrolyl, 5-fluoro-2-pyrrolyl, 2-fluoro-3-pyrrolyl, 4-fluoro-3-pyrrolyl, 5-fluoro-3-pyrrolyl, 1-methyl-2-imidazolyl, 4-methyl-2-imidazolyl, 1-methyl-4-imidazolyl, 2-methyl-4-imidazolyl, 5-methyl-4-imidazolyl, 1-methyl-5-imidazolyl, 4-methoxy-2-imidazolyl, 2-methoxy-4-imidazolyl, 5-methoxy-4-imidazolyl, 4-chloro-2-imidazolyl, 2-chloro-4-imidazolyl, 5-chloro-4-imidazolyl, 4-fluoro-2-imidazolyl, 2-fluoro-4-imidazolyl, 5-fluoro-4-imidazolyl, 2-methyl-3-pyridyl, 4-methyl-3-pyridyl, 5-methyl-3-pyridyl, 6-methyl-3-pyridyl, 3-methyl-2-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 6-methyl-2-pyridyl, 2-methyl-4-pyridyl, 3-methyl-4-pyridyl, 5-methyl-4-pyridyl, 6-methyl-4-pyridyl, 2-ethyl-3-pyridyl, 4-ethyl-3-pyridyl, 5-ethyl-3-pyridyl, 6-ethyl-3-pyridyl, 3-ethyl-2-pyridyl, 4-methyl-2-pyridyl, 5-methyl-2-pyridyl, 6-ethyl-2-pyridyl, 2-ethyl-4-pyridyl, 3-ethyl-4-pyridyl, 5-ethyl-4-pyridyl, 6-ethyl-4-pyridyl, 2-methoxy-3-pyridyl, 4-methoxy-3-pyridyl, 5-methoxy-3-pyridyl, 6-methoxy-3-pyridyl, 3-methoxy-2-pyridyl, 4-methoxy-2-pyridyl, 5-methoxy-2-pyridyl, 6-methoxy-2-pyridyl, 2-methoxy-4-pyridyl, 3-methoxy-4-pyridyl, 5-methoxy-4-pyridyl, 6-methoxy-4-pyridyl, 2-ethoxy-3-pyridyl, 4-ethoxy-3-pyridyl, 5-ethoxy-3-pyridyl, 6-ethoxy-3-pyridyl, 3-ethoxy-2-pyridyl, 4-ethoxy-2-pyridyl, 5-ethoxy-2-pyridyl, 6-ethoxy-2-pyridyl, 2-ethoxy-4-pyridyl, 3-ethoxy-4-pyridyl, 5-ethoxy-4-pyridyl, 6-ethoxy-4-pyridyl, 2-hydroxy-3-pyridyl, 4-hydroxy-3-pyridyl, 5-hydroxy-3-pyridyl, 6-hydroxy-3-pyridyl, 3-hydroxy-2-pyridyl, 4-hydroxy-2-pyridyl, 5-hydroxy-2-pyridyl, 6-hydroxy-2-pyridyl, 2-hydroxy-4-pyridyl, 3-hydroxy-4-pyridyl, 5-hydroxy-4-pyridyl, 6-hydroxy-4-pyridyl, 2-mercapto-3-pyridyl, 4-

mercapto-3-pyridyl, 5-mercapto-3-pyridyl, 6-mercapto-3-pyridyl, 3-mercapto-2-pyridyl, 4-mercapto-2-pyridyl, 5-mercapto-2-pyridyl, 6-mercapto-2-pyridyl, 2-mercapto-4-pyridyl, 3-mercapto-4-pyridyl, 5-mercapto-4-pyridyl, 6-mercapto-4-pyridyl, 2-methylthio-3-pyridyl, 4-methylthio-3-pyridyl, 5-methylthio-3-pyridyl, 6-methylthio-3-pyridyl, 3-methylthio-2-pyridyl, 4-methylthio-2-pyridyl, 5-methylthio-2-pyridyl, 6-methylthio-2-pyridyl, 2-methylthio-4-pyridyl, 3-methylthio-4-pyridyl, 5-methylthio-4-pyridyl, 6-methylthio-4-pyridyl, 2-chloro-3-pyridyl, 4-chloro-3-pyridyl, 5-chloro-3-pyridyl, 6-chloro-3-pyridyl, 3-chloro-2-pyridyl, 4-chloro-2-pyridyl, 5-chloro-2-pyridyl, 6-chloro-2-pyridyl, 2-chloro-4-pyridyl, 3-chloro-4-pyridyl, 5-chloro-4-pyridyl, 6-chloro-4-pyridyl, 2-amino-3-pyridyl, 4-amino-3-pyridyl, 5-amino-3-pyridyl, 6-amino-3-pyridyl, 3-amino-2-pyridyl, 4-amino-2-pyridyl, 5-amino-2-pyridyl, 6-amino-2-pyridyl, 2-amino-4-pyridyl, 3-amino-4-pyridyl, 5-amino-4-pyridyl, 6-amino-4-pyridyl, 2-monomethylamino-3-pyridyl, 4-monomethylamino-3-pyridyl, 5-monomethylamino-3-pyridyl, 6-monomethylamino-3-pyridyl, 3-monomethylamino-2-pyridyl, 4-monomethylamino-2-pyridyl, 5-monomethylamino-2-pyridyl, 6-monomethylamino-2-pyridyl, 2-monomethylamino-4-pyridyl, 3-monomethylamino-4-pyridyl, 5-monomethylamino-4-pyridyl, 6-monomethylamino-4-pyridyl, 2-dimethylamino-3-pyridyl, 4-dimethylamino-3-pyridyl, 5-dimethylamino-3-pyridyl, 6-dimethylamino-3-pyridyl, 3-dimethylamino-2-pyridyl, 4-dimethylamino-2-pyridyl, 5-dimethylamino-2-pyridyl, 6-dimethylamino-2-pyridyl, 2-dimethylamino-4-pyridyl, 3-dimethylamino-4-pyridyl, 5-dimethylamino-4-pyridyl, 6-dimethylamino-4-pyridyl, 2-(1-pyrrolidinyl)-3-pyridyl, 4-(1-pyrrolidinyl)-3-pyridyl, 5-(1-pyrrolidinyl)-3-pyridyl, 6-(1-pyrrolidinyl)-3-pyridyl, 3-(1-pyrrolidinyl)-2-pyridyl, 4-(1-pyrrolidinyl)-2-pyridyl, 5-(1-pyrrolidinyl)-2-pyridyl, 6-(1-pyrrolidinyl)-2-pyridyl, 2-(1-pyrrolidinyl)-4-pyridyl, 3-(1-pyrrolidinyl)-4-pyridyl, 5-(1-pyrrolidinyl)-4-pyridyl, 6-(1-pyrrolidinyl)-4-pyridyl,

2-piperidino-3-pyridyl, 4-piperidino-3-pyridyl, 5-  
 piperidino-3-pyridyl, 6-piperidino-3-pyridyl, 3-piperidino-  
 2-pyridyl, 4-piperidino-2-pyridyl, 5-piperidino-2-pyridyl,  
 6-piperidino-2-pyridyl, 2-piperidino-4-pyridyl, 3-  
 piperidino-4-pyridyl, 5-piperidino-4-pyridyl, 6-piperidino-  
 4-pyridyl, 2-morpholino-3-pyridyl, 4-morpholino-3-pyridyl,  
 5-morpholino-3-pyridyl, 6-morpholino-3-pyridyl, 3-  
 morpholino-2-pyridyl, 4-morpholino-2-pyridyl, 5-morpholino-  
 2-pyridyl, 6-morpholino-2-pyridyl, 2-morpholino-4-pyridyl,  
 3-morpholino-4-pyridyl, 5-morpholino-4-pyridyl, 6-  
 morpholino-4-pyridyl, 2-fluoro-3-pyridyl, 4-fluoro-3-pyridyl,  
 5-fluoro-3-pyridyl, 6-fluoro-3-pyridyl, 3-fluoro-2-pyridyl,  
 4-fluoro-2-pyridyl, 5-fluoro-2-pyridyl, 6-fluoro-2-pyridyl,  
 2-fluoro-4-pyridyl, 3-fluoro-4-pyridyl, 5-fluoro-4-pyridyl,  
 6-fluoro-4-pyridyl, 2,4-dimethyl-3-pyridyl, 2,6-dimethyl-3-  
 pyridyl, 5,6-dimethyl-3-pyridyl, 4,6-dimethyl-3-pyridyl,  
 4,5-dimethyl-2-pyridyl, 5,6-dimethyl-2-pyridyl, 2,3-  
 dimethyl-4-pyridyl, 2,6-dimethyl-4-pyridyl, 2,4-dimethoxy-  
 3-pyridyl, 2,6-dimethoxy-3-pyridyl, 5,6-dimethoxy-3-pyridyl,  
 4,6-dimethoxy-3-pyridyl, 4,5-dimethoxy-2-pyridyl, 5,6-  
 dimethoxy-2-pyridyl, 2,3-dimethoxy-4-pyridyl, 2,6-  
 dimethoxy-4-pyridyl, 2-chloro-6-methyl-3-pyridyl, 6-chloro-  
 2-methyl-3-pyridyl, 2-chloro-6-methoxy-3-pyridyl, 6-chloro-  
 2-methoxy-3-pyridyl, 5-methyl-6-chloro-3-pyridyl, 5-  
 methoxy-6-chloro-3-pyridyl, 5-ethoxyl-6-chloro-3-pyridyl,  
 5-chloro-6-methyl-3-pyridyl, 5-methoxy-6-methyl-3-pyridyl,  
 5-ethoxy-6-methyl-3-pyridyl, 5-chloro-6-methoxy-3-pyridyl,  
 5-chloro-6-ethoxy-3-pyridyl, 2,5,6-trimethyl-3-pyridyl, 2-  
 pyrazinyl, 5-methyl-2-pyrazinyl, 6-methyl-2-pyrazinyl, 5-  
 methoxy-2-pyrazinyl, 6-methoxy-2-pyrazinyl, 5-ethoxy-2-  
 pyrazinyl, 6-ethoxy-2-pyrazinyl, 5-chloro-2-pyrazinyl, 6-  
 chloro-2-pyrazinyl, 3-methyl-2-benzothienyl, 4-methyl-2-  
 benzothienyl, 5-methyl-2-benzothienyl, 6-methyl-2-  
 benzothienyl, 7-methyl-2-benzothienyl, 2-methyl-3-  
 benzothienyl, 4-methyl-3-benzothienyl, 5-methyl-3-  
 benzothienyl, 6-methyl-3-benzothienyl, 7-methyl-3-

benzothienyl, 2-methyl-5-benzothienyl, 3-methyl-5-  
 benzothienyl, 4-methyl-5-benzothienyl, 6-methyl-5-  
 benzothienyl, 7-methyl-5-benzothienyl, 3-methoxy-2-  
 benzothienyl, 4-methoxy-2-benzothienyl, 5-methoxy-2-  
 benzothienyl, 6-methoxy-2-benzothienyl, 7-methoxy-2-  
 benzothienyl, 2-methoxy-3-benzothienyl, 4-methoxy-3-  
 benzothienyl, 5-methoxy-3-benzothienyl, 6-methoxy-3-  
 benzothienyl, 7-methoxy-3-benzothienyl, 2-methoxy-5-  
 benzothienyl, 3-methoxy-5-benzothienyl, 4-methoxy-5-  
 benzothienyl, 6-methoxy-5-benzothienyl, 7-methoxy-5-  
 benzothienyl, 3-chloro-2-benzothienyl, 4-chloro-2-  
 benzothienyl, 5-chloro-2-benzothienyl, 6-chloro-2-  
 benzothienyl, 7-chloro-2-benzothienyl, 2-chloro-3-  
 benzothienyl, 4-chloro-3-benzothienyl, 5-chloro-3-  
 benzothienyl, 6-chloro-3-benzothienyl, 7-chloro-3-  
 benzothienyl, 2-chloro-5-benzothienyl, 3-chloro-5-  
 benzothienyl, 4-chloro-5-benzothienyl, 6-chloro-5-  
 benzothienyl, 7-chloro-5-benzothienyl, 3-fluoro-2-  
 benzothienyl, 4-fluoro-2-benzothienyl, 5-fluoro-2-  
 benzothienyl, 6-fluoro-2-benzothienyl, 7-fluoro-2-  
 benzothienyl, 2-fluoro-3-benzothienyl, 4-fluoro-3-  
 benzothienyl, 5-fluoro-3-benzothienyl, 6-fluoro-3-  
 benzothienyl, 7-fluoro-3-benzothienyl, 2-fluoro-5-  
 benzothienyl, 3-fluoro-5-benzothienyl, 4-fluoro-5-  
 benzothienyl, 6-fluoro-5-benzothienyl, 7-fluoro-5-  
 benzothienyl, 3-methyl-2-benzofuryl, 4-methyl-2-benzofuryl,  
 5-methyl-2-benzofuryl, 6-methyl-2-benzofuryl, 7-methyl-2-  
 benzofuryl,  
 2-methyl-3-benzofuryl, 4-methyl-3-benzofuryl, 5-methyl-3-  
 benzofuryl,  
 6-methyl-3-benzofuryl, 7-methyl-3-benzofuryl, 2-methyl-5-  
 benzofuryl, 3-methyl-5-benzofuryl, 4-methyl-5-benzofuryl,  
 6-methyl-5-benzofuryl, 7-methyl-5-benzofuryl,  
 3-methoxy-2-benzofuryl, 4-methoxy-2-benzofuryl, 5-methoxy-  
 2-benzofuryl, 6-methoxy-2-benzofuryl, 7-methoxy-2-benzofuryl,  
 2-methoxy-3-benzofuryl, 4-methoxy-3-benzofuryl, 5-methoxy-



3-benzofuryl, 6-methoxy-3-benzofuryl, 7-methoxy-3-benzofuryl,  
 2-methoxy-5-benzofuryl, 3-methoxy-5-benzofuryl, 4-methoxy-  
 5-benzofuryl, 6-methoxy-5-benzofuryl, 7-methoxy-5-  
 benzofuryl, 3-chloro-2-benzofuryl, 4-chloro-2-benzofuryl, 5-  
 chloro-2-benzofuryl, 6-chloro-2-benzofuryl, 7-chloro-2-  
 benzofuryl, 2-chloro-3-benzofuryl, 4-chloro-3-benzofuryl,  
 5-chloro-3-benzofuryl, 6-chloro-3-benzofuryl, 7-chloro-3-  
 benzofuryl, 2-chloro-5-benzofuryl, 3-chloro-5-benzofuryl, 4-  
 chloro-5-benzofuryl, 6-chloro-5-benzofuryl, 7-chloro-5-  
 benzofuryl, 3-fluoro-2-benzofuryl, 4-fluoro-2-benzofuryl,  
 5-fluoro-2-benzofuryl, 6-fluoro-2-benzofuryl, 7-fluoro-2-  
 benzofuryl, 2-fluoro-3-benzofuryl, 4-fluoro-3-benzofuryl,  
 5-fluoro-3-benzofuryl, 6-fluoro-3-benzofuryl, 7-fluoro-3-  
 benzofuryl, 2-fluoro-5-benzofuryl, 3-fluoro-5-benzofuryl,  
 4-fluoro-5-benzofuryl, 6-fluoro-5-benzofuryl, 7-fluoro-5-  
 benzofuryl, 1-methyl-2-indolyl, 3-methyl-2-indolyl, 4-  
 methyl-2-indolyl, 5-methyl-2-indolyl, 6-methyl-2-indolyl,  
 7-methyl-2-indolyl, 1-methyl-3-indolyl, 2-methyl-3-indolyl,  
 4-methyl-3-indolyl, 5-methyl-3-indolyl, 6-methyl-3-indolyl,  
 7-methyl-3-indolyl, 1-methyl-5-indolyl, 2-methyl-5-indolyl,  
 3-methyl-5-indolyl, 4-methyl-5-indolyl, 6-methyl-5-indolyl,  
 7-methyl-5-indolyl, 3-methoxy-2-indolyl, 4-methoxy-2-indolyl,  
 5-methoxy-2-indolyl, 6-methoxy-2-indolyl, 7-methoxy-2-  
 indolyl, 2-methoxy-3-indolyl, 4-methoxy-3-indolyl, 5-  
 methoxy-3-indolyl, 6-methoxy-3-indolyl, 7-methoxy-3-indolyl,  
 2-methoxy-5-indolyl, 3-methoxy-5-indolyl, 4-methoxy-5-  
 indolyl, 6-methoxy-5-indolyl, 7-methoxy-5-indolyl,  
 3-chloro-2-indolyl, 4-chloro-2-indolyl, 5-chloro-2-indolyl,  
 6-chloro-2-indolyl, 7-chloro-2-indolyl, 2-chloro-3-indolyl,  
 4-chloro-3-indolyl, 5-chloro-3-indolyl, 6-chloro-3-indolyl,  
 7-chloro-3-indolyl, 2-chloro-5-indolyl, 3-chloro-5-indolyl,  
 4-chloro-5-indolyl, 6-chloro-5-indolyl, 7-chloro-5-indolyl,  
 3-fluoro-2-indolyl, 4-fluoro-2-indolyl, 5-fluoro-2-indolyl,  
 6-fluoro-2-indolyl, 7-fluoro-2-indolyl, 2-fluoro-3-indolyl,  
 4-fluoro-3-indolyl, 5-fluoro-3-indolyl, 6-fluoro-3-indolyl,  
 7-fluoro-3-indolyl, 2-fluoro-5-indolyl, 3-fluoro-5-indolyl,

4-fluoro-5-indolyl, 6-fluoro-5-indolyl, 7-fluoro-5-indolyl, 3-methyl-2-quinolyl, 4-methyl-2-quinolyl, 5-methyl-2-quinolyl, 6-methyl-2-quinolyl, 7-methyl-2-quinolyl, 8-methyl-2-quinolyl, 2-methyl-4-quinolyl, 3-methyl-4-quinolyl, 5-methyl-4-quinolyl, 6-methyl-4-quinolyl, 7-methyl-4-quinolyl, 8-methyl-4-quinolyl, 2-methyl-6-quinolyl, 3-methyl-6-quinolyl, 4-methyl-6-quinolyl, 5-methyl-6-quinolyl, 7-methyl-6-quinolyl, 8-methyl-6-quinolyl, 3-methoxy-2-quinolyl, 4-methoxy-2-quinolyl, 5-methoxy-2-quinolyl, 6-methoxy-2-quinolyl, 7-methoxy-2-quinolyl, 8-methoxy-2-quinolyl, 2-methoxy-4-quinolyl, 3-methoxy-4-quinolyl, 5-methoxy-4-quinolyl, 6-methoxy-4-quinolyl, 7-methoxy-4-quinolyl, 8-methoxy-4-quinolyl, 2-methoxy-6-quinolyl, 3-methoxy-6-quinolyl, 4-methoxy-6-quinolyl, 5-methoxy-6-quinolyl, 7-methoxy-6-quinolyl, 8-methoxy-6-quinolyl, 3-chloro-2-quinolyl, 4-chloro-2-quinolyl, 5-chloro-2-quinolyl, 6-chloro-2-quinolyl, 7-chloro-2-quinolyl, 8-chloro-2-quinolyl, 2-chloro-4-quinolyl, 3-chloro-4-quinolyl, 5-chloro-4-quinolyl, 6-chloro-4-quinolyl, 7-chloro-4-quinolyl, 8-chloro-4-quinolyl, 2-chloro-6-quinolyl, 3-chloro-6-quinolyl, 4-chloro-6-quinolyl, 5-chloro-6-quinolyl, 7-chloro-6-quinolyl, 8-chloro-6-quinolyl, 3-fluoro-2-quinolyl, 4-fluoro-2-quinolyl, 5-fluoro-2-quinolyl, 6-fluoro-2-quinolyl, 7-fluoro-2-quinolyl, 8-fluoro-2-quinolyl, 2-fluoro-4-quinolyl, 3-fluoro-4-quinolyl, 5-fluoro-4-quinolyl, 6-fluoro-4-quinolyl, 7-fluoro-4-quinolyl, 8-fluoro-4-quinolyl, 2-fluoro-6-quinolyl, 3-fluoro-6-quinolyl, 4-fluoro-6-quinolyl, 5-fluoro-6-quinolyl and 7-fluoro-6-quinolyl, 8-fluoro-6-quinolyl group.

More preferred examples of X in the general formula (I) include NH or oxygen atom. NH is particularly preferred.

More preferred examples of R<sup>1</sup> in the general formula (I) include C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl and C<sub>2-6</sub> alkynyl group, such as methyl, ethyl, propyl, isopropyl, butyl, 2-butyl, pentyl, 2-pentyl, hexyl, 2-hexyl, vinyl, propenyl, butenyl, butynyl and pentenyl group. Specifically, C<sub>3-5</sub> alkyl, C<sub>3-5</sub> alkenyl, and

C<sub>1-5</sub> alkynyl group, such as propyl, isopropyl, butyl, 2-butyl, pentyl, 2-pentyl, propenyl, butenyl, butynyl and pentenyl group are preferred. The propyl, butyl and pentyl group are particularly preferred.

More preferred examples of R<sup>2</sup> in the general formula (I) include hydroxy, acetyloxy, propionyloxy, methoxycarbonyloxy, ethoxycarbonyloxy, propoxycarbonyloxy and butoxycarbonyloxy group. Particularly, the hydroxy, methoxycarbonyloxy and ethoxycarbonyloxy group are referred.

More preferred examples of Y in the general formula (I) include substituted or unsubstituted pyridine rings (2-pyridyl, 3-pyridyl and 4-pyridyl) and pyrazine rings (2-pyrazinyl and 3-pyrazinyl). Particularly, 3-pyridyl group is preferred. Examples of the Y having a more preferred substituent include 2-methyl-3-pyridyl, 6-methyl-3-pyridyl, 2-ethyl-3-pyridyl, 6-ethyl-3-pyridyl, 2-methoxy-3-pyridyl, 6-methoxy-3-pyridyl, 2-ethoxy-3-pyridyl, 6-ethoxy-3-pyridyl, 2-chloro-3-pyridyl, 6-chloro-3-pyridyl, 6-dimethylamino-3-pyridyl, 6-(1-pyrroliziny)-3-pyridyl, 6-piperidino-3-pyridyl, 6-morpholino-3-pyridyl, 6-methylthio-3-pyridyl, 5,6-dimethyl-3-pyridyl, 5,6-dimethoxy-3-pyridyl, 2,6-dichloro-3-pyridyl, 5,6-dichloro-3-pyridyl and 5-chloro-6-methoxy-3-pyridyl group. Particularly preferred are 3-pyridyl, 6-methoxy-3-pyridyl, 2-ethoxy-3-pyridyl, 6-ethoxy-3-pyridyl, 6-chloro-3-pyridyl, 6-(1-pyrroliziny)-3-pyridyl, 6-morpholino-3-pyridyl, 2-methyl-3-pyridyl, 2-methoxy-3-pyridyl and 2-chloro-3-pyridyl group.

Examples of the concrete compounds included in the invention include those which are shown below:

表 1

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	propyl	OH	2-pyridyl
NH	propyl	OH	3-pyridyl
NH	propyl	OH	4-pyridyl
NH	propyl	OH	2-methyl-3-pyridyl
NH	propyl	OH	4-methyl-3-pyridyl
NH	propyl	OH	5-methyl-3-pyridyl
NH	propyl	OH	6-methyl-3-pyridyl
NH	propyl	OH	2-ethyl-3-pyridyl
NH	propyl	OH	4-ethyl-3-pyridyl
NH	propyl	OH	5-ethyl-3-pyridyl
NH	propyl	OH	6-ethyl-3-pyridyl
NH	propyl	OH	2-methoxy-3-pyridyl
NH	propyl	OH	4-methoxy-3-pyridyl
NH	propyl	OH	5-methoxy-3-pyridyl
NH	propyl	OH	6-methoxy-3-pyridyl
NH	propyl	OH	2-ethoxy-3-pyridyl
NH	propyl	OH	4-ethoxy-3-pyridyl
NH	propyl	OH	5-ethoxy-3-pyridyl
NH	propyl	OH	6-ethoxy-3-pyridyl
NH	propyl	OH	2-chloro-3-pyridyl
NH	propyl	OH	4-chloro-3-pyridyl
NH	propyl	OH	5-chloro-3-pyridyl
NH	propyl	OH	6-chloro-3-pyridyl
NH	propyl	OH	2-fluoro-3-pyridyl
NH	propyl	OH	4-fluoro-3-pyridyl
NH	propyl	OH	5-fluoro-3-pyridyl
NH	propyl	OH	6-fluoro-3-pyridyl
NH	propyl	OH	2-dimethylamino-3-pyridyl
NH	propyl	OH	4-dimethylamino-3-pyridyl
NH	propyl	OH	5-dimethylamino-3-pyridyl
NH	propyl	OH	6-dimethylamino-3-pyridyl
NH	propyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OH	2-piperidino-3-pyridyl
NH	propyl	OH	4-piperidino-3-pyridyl
NH	propyl	OH	5-piperidino-3-pyridyl
NH	propyl	OH	6-piperidino-3-pyridyl
NH	propyl	OH	2-morpholino-3-pyridyl
NH	propyl	OH	4-morpholino-3-pyridyl
NH	propyl	OH	5-morpholino-3-pyridyl
NH	propyl	OH	6-morpholino-3-pyridyl
NH	propyl	OH	2-hydroxy-3-pyridyl
NH	propyl	OH	4-hydroxy-3-pyridyl
NH	propyl	OH	5-hydroxy-3-pyridyl
NH	propyl	OH	6-hydroxy-3-pyridyl

NH	propyl	OH	2-mercapto-3-pyridyl
NH	propyl	OH	4-mercapto-3-pyridyl
NH	propyl	OH	5-mercapto-3-pyridyl
NH	propyl	OH	6-mercapto-3-pyridyl
NH	propyl	OH	2-methylthio-3-pyridyl
NH	propyl	OH	4-methylthio-3-pyridyl
NH	propyl	OH	5-methylthio-3-pyridyl
NH	propyl	OH	6-methylthio-3-pyridyl
NH	propyl	OH	2,6-dimethyl-3-pyridyl
NH	propyl	OH	5,6-dimethyl-3-pyridyl
NH	propyl	OH	2,6-diethyl-3-pyridyl
NH	propyl	OH	5,8-diethyl-3-pyridyl
NH	propyl	OH	2,6-dimethoxy-3-pyridyl
NH	propyl	OH	5,6-dimethoxy-3-pyridyl
NH	propyl	OH	2,6-diethoxy-3-pyridyl
NH	propyl	OH	5,6-diethoxy-3-pyridyl
NH	propyl	OH	2,6-dichloro-3-pyridyl
NH	propyl	OH	5,6-dichloro-3-pyridyl
NH	propyl	OH	5-chloro-6-methoxy-3-pyridyl
NH	propyl	OH	6-chloro-6-ethoxy-3-pyridyl
NH	propyl	OH	2-chloro-6-methyl-3-pyridyl
NH	propyl	OH	6-chloro-2-methyl-3-pyridyl
NH	propyl	OH	2-methyl-4-pyridyl
NH	propyl	OH	2-ethyl-4-pyridyl
NH	propyl	OH	2-methoxy-4-pyridyl
NH	propyl	OH	2-ethoxy-4-pyridyl
NH	propyl	OH	2-chloro-4-pyridyl
NH	propyl	OH	2-dimethylamino-4-pyridyl
NH	propyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
NH	propyl	OH	2-piperidino-4-pyridyl
NH	propyl	OH	2-morpholino-4-pyridyl
NH	propyl	OH	2-methylthio-4-pyridyl
NH	propyl	OH	2-pyrazinyl
NH	propyl	OH	5-methyl-2-pyrazinyl
NH	propyl	OH	5-ethyl-2-pyrazinyl
NH	propyl	OH	5-methoxy-2-pyrazinyl
NH	propyl	OH	5-ethoxy-2-pyrazinyl
NH	propyl	OH	5-chloro-2-pyrazinyl
NH	propyl	OH	6-methyl-2-pyrazinyl
NH	propyl	OH	6-methoxy-2-pyrazinyl
NH	propyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	propyl	OCOOMe	2-pyridyl
NH	propyl	OCOOMe	3-pyridyl
NH	propyl	OCOOMe	4-pyridyl
NH	propyl	OCOOMe	2-methyl-3-pyridyl
NH	propyl	OCOOMe	4-methyl-3-pyridyl
NH	propyl	OCOOMe	5-methyl-3-pyridyl
NH	propyl	OCOOMe	6-methyl-3-pyridyl
NH	propyl	OCOOMe	2-ethyl-3-pyridyl
NH	propyl	OCOOMe	4-ethyl-3-pyridyl
NH	propyl	OCOOMe	5-ethyl-3-pyridyl
NH	propyl	OCOOMe	6-ethyl-3-pyridyl
NH	propyl	OCOOMe	2-methoxy-3-pyridyl
NH	propyl	OCOOMe	4-methoxy-3-pyridyl
NH	propyl	OCOOMe	5-methoxy-3-pyridyl
NH	propyl	OCOOMe	6-methoxy-3-pyridyl
NH	propyl	OCOOMe	2-ethoxy-3-pyridyl
NH	propyl	OCOOMe	4-ethoxy-3-pyridyl
NH	propyl	OCOOMe	5-ethoxy-3-pyridyl
NH	propyl	OCOOMe	6-ethoxy-3-pyridyl
NH	propyl	OCOOMe	2-chloro-3-pyridyl
NH	propyl	OCOOMe	4-chloro-3-pyridyl
NH	propyl	OCOOMe	5-chloro-3-pyridyl
NH	propyl	OCOOMe	6-chloro-3-pyridyl
NH	propyl	OCOOMe	2-fluoro-3-pyridyl
NH	propyl	OCOOMe	4-fluoro-3-pyridyl
NH	propyl	OCOOMe	5-fluoro-3-pyridyl
NH	propyl	OCOOMe	6-fluoro-3-pyridyl
NH	propyl	OCOOMe	2-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	4-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	5-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	6-dimethylamino-3-pyridyl
NH	propyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOOMe	2-piperidino-3-pyridyl
NH	propyl	OCOOMe	4-piperidino-3-pyridyl
NH	propyl	OCOOMe	5-piperidino-3-pyridyl
NH	propyl	OCOOMe	6-piperidino-3-pyridyl
NH	propyl	OCOOMe	2-morpholino-3-pyridyl
NH	propyl	OCOOMe	4-morpholino-3-pyridyl
NH	propyl	OCOOMe	5-morpholino-3-pyridyl
NH	propyl	OCOOMe	6-morpholino-3-pyridyl
NH	propyl	OCOOMe	2-hydroxy-3-pyridyl
NH	propyl	OCOOMe	4-hydroxy-3-pyridyl
NH	propyl	OCOOMe	5-hydroxy-3-pyridyl
NH	propyl	OCOOMe	6-hydroxy-3-pyridyl

NH	propyl	OCOOMe	2-mercapto-3-pyridyl
NH	propyl	OCOOMe	4-mercapto-3-pyridyl
NH	propyl	OCOOMe	5-mercapto-3-pyridyl
NH	propyl	OCOOMe	6-mercapto-3-pyridyl
NH	propyl	OCOOMe	2-methylthio-3-pyridyl
NH	propyl	OCOOMe	4-methylthio-3-pyridyl
NH	propyl	OCOOMe	5-methylthio-3-pyridyl
NH	propyl	OCOOMe	6-methylthio-3-pyridyl
NH	propyl	OCOOMe	2,6-dimethyl-3-pyridyl
NH	propyl	OCOOMe	5,6-dimethyl-3-pyridyl
NH	propyl	OCOOMe	2,6-diethyl-3-pyridyl
NH	propyl	OCOOMe	5,6-diethyl-3-pyridyl
NH	propyl	OCOOMe	2,6-dimethoxy-3-pyridyl
NH	propyl	OCOOMe	5,6-dimethoxy-3-pyridyl
NH	propyl	OCOOMe	2,6-diethoxy-3-pyridyl
NH	propyl	OCOOMe	5,6-diethoxy-3-pyridyl
NH	propyl	OCOOMe	2,6-dichloro-3-pyridyl
NH	propyl	OCOOMe	5,6-dichloro-3-pyridyl
NH	propyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
NH	propyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
NH	propyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
NH	propyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
NH	propyl	OCOOMe	2-methyl-4-pyridyl
NH	propyl	OCOOMe	2-ethyl-4-pyridyl
NH	propyl	OCOOMe	2-methoxy-4-pyridyl
NH	propyl	OCOOMe	2-ethoxy-4-pyridyl
NH	propyl	OCOOMe	2-chloro-4-pyridyl
NH	propyl	OCOOMe	2-dimethylamino-4-pyridyl
NH	propyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
NH	propyl	OCOOMe	2-piperidino-4-pyridyl
NH	propyl	OCOOMe	2-morpholino-4-pyridyl
NH	propyl	OCOOMe	2-methylthio-4-pyridyl
NH	propyl	OCOOMe	2-pyrazinyl
NH	propyl	OCOOMe	5-methyl-2-pyrazinyl
NH	propyl	OCOOMe	5-ethyl-2-pyrazinyl
NH	propyl	OCOOMe	5-methoxy-2-pyrazinyl
NH	propyl	OCOOMe	5-ethoxy-2-pyrazinyl
NH	propyl	OCOOMe	5-chloro-2-pyrazinyl
NH	propyl	OCOOMe	6-methyl-2-pyrazinyl
NH	propyl	OCOOMe	6-methoxy-2-pyrazinyl
NH	propyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	propyl	OCOEt	2-pyridyl
NH	propyl	OCOEt	3-pyridyl
NH	propyl	OCOEt	4-pyridyl
NH	propyl	OCOEt	2-methyl-3-pyridyl
NH	propyl	OCOEt	4-methyl-3-pyridyl
NH	propyl	OCOEt	5-methyl-3-pyridyl
NH	propyl	OCOEt	6-methyl-3-pyridyl
NH	propyl	OCOEt	2-ethyl-3-pyridyl
NH	propyl	OCOEt	4-ethyl-3-pyridyl
NH	propyl	OCOEt	5-ethyl-3-pyridyl
NH	propyl	OCOEt	6-ethyl-3-pyridyl
NH	propyl	OCOEt	2-methoxy-3-pyridyl
NH	propyl	OCOEt	4-methoxy-3-pyridyl
NH	propyl	OCOEt	5-methoxy-3-pyridyl
NH	propyl	OCOEt	6-methoxy-3-pyridyl
NH	propyl	OCOEt	2-ethoxy-3-pyridyl
NH	propyl	OCOEt	4-ethoxy-3-pyridyl
NH	propyl	OCOEt	5-ethoxy-3-pyridyl
NH	propyl	OCOEt	6-ethoxy-3-pyridyl
NH	propyl	OCOEt	2-chloro-3-pyridyl
NH	propyl	OCOEt	4-chloro-3-pyridyl
NH	propyl	OCOEt	5-chloro-3-pyridyl
NH	propyl	OCOEt	6-chloro-3-pyridyl
NH	propyl	OCOEt	2-fluoro-3-pyridyl
NH	propyl	OCOEt	4-fluoro-3-pyridyl
NH	propyl	OCOEt	5-fluoro-3-pyridyl
NH	propyl	OCOEt	6-fluoro-3-pyridyl
NH	propyl	OCOEt	2-dimethylamino-3-pyridyl
NH	propyl	OCOEt	4-dimethylamino-3-pyridyl
NH	propyl	OCOEt	5-dimethylamino-3-pyridyl
NH	propyl	OCOEt	6-dimethylamino-3-pyridyl
NH	propyl	OCOEt	2-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOEt	3-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOEt	5-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOEt	6-(1-pyrrolidinyl)-3-pyridyl
NH	propyl	OCOEt	2-piperidino-3-pyridyl
NH	propyl	OCOEt	4-piperidino-3-pyridyl
NH	propyl	OCOEt	5-piperidino-3-pyridyl
NH	propyl	OCOEt	6-piperidino-3-pyridyl
NH	propyl	OCOEt	2-morpholino-3-pyridyl
NH	propyl	OCOEt	4-morpholino-3-pyridyl
NH	propyl	OCOEt	5-morpholino-3-pyridyl
NH	propyl	OCOEt	6-morpholino-3-pyridyl
NH	propyl	OCOEt	2-hydroxy-3-pyridyl
NH	propyl	OCOEt	4-hydroxy-3-pyridyl
NH	propyl	OCOEt	5-hydroxy-3-pyridyl
NH	propyl	OCOEt	6-hydroxy-3-pyridyl



NH	propyl	OCOEt	2-mercapto-3-pyridyl
NH	propyl	OCOEt	4-mercapto-3-pyridyl
NH	propyl	OCOEt	5-mercapto-3-pyridyl
NH	propyl	OCOEt	6-mercapto-3-pyridyl
NH	propyl	OCOEt	2-methylthio-3-pyridyl
NH	propyl	OCOEt	4-methylthio-3-pyridyl
NH	propyl	OCOEt	5-methylthio-3-pyridyl
NH	propyl	OCOEt	6-methylthio-3-pyridyl
NH	propyl	OCOEt	2,6-dimethyl-3-pyridyl
NH	propyl	OCOEt	5,6-dimethyl-3-pyridyl
NH	propyl	OCOEt	2,6-diethyl-3-pyridyl
NH	propyl	OCOEt	5,6-diethyl-3-pyridyl
NH	propyl	OCOEt	2,6-dimethoxy-3-pyridyl
NH	propyl	OCOEt	5,6-dimethoxy-3-pyridyl
NH	propyl	OCOEt	2,6-diethoxy-3-pyridyl
NH	propyl	OCOEt	5,6-diethoxy-3-pyridyl
NH	propyl	OCOEt	2,6-dichloro-3-pyridyl
NH	propyl	OCOEt	5,6-dichloro-3-pyridyl
NH	propyl	OCOEt	5-chloro-6-methoxy-3-pyridyl
NH	propyl	OCOEt	5-chloro-6-ethoxy-3-pyridyl
NH	propyl	OCOEt	2-chloro-6-methyl-3-pyridyl
NH	propyl	OCOEt	6-chloro-2-methyl-3-pyridyl
NH	propyl	OCOEt	2-methyl-4-pyridyl
NH	propyl	OCOEt	2-ethyl-4-pyridyl
NH	propyl	OCOEt	2-methoxy-4-pyridyl
NH	propyl	OCOEt	2-ethoxy-4-pyridyl
NH	propyl	OCOEt	2-chloro-4-pyridyl
NH	propyl	OCOEt	2-dimethylamino-4-pyridyl
NH	propyl	OCOEt	2-(1-pyrrolidinyl)-4-pyridyl
NH	propyl	OCOEt	2-piperidino-4-pyridyl
NH	propyl	OCOEt	2-morpholino-4-pyridyl
NH	propyl	OCOEt	2-methylthio-4-pyridyl
NH	propyl	OCOEt	2-pyrazinyl
NH	propyl	OCOEt	5-methyl-2-pyrazinyl
NH	propyl	OCOEt	5-ethyl-2-pyrazinyl
NH	propyl	OCOEt	5-methoxy-2-pyrazinyl
NH	propyl	OCOEt	5-ethoxy-2-pyrazinyl
NH	propyl	OCOEt	5-chloro-2-pyrazinyl
NH	propyl	OCOEt	6-methyl-2-pyrazinyl
NH	propyl	OCOEt	6-methoxy-2-pyrazinyl
NH	propyl	OCOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-butyl	OH	2-pyridyl
NH	n-butyl	OH	3-pyridyl
NH	n-butyl	OH	4-pyridyl
NH	n-butyl	OH	2-methyl-3-pyridyl
NH	n-butyl	OH	4-methyl-3-pyridyl
NH	n-butyl	OH	5-methyl-3-pyridyl
NH	n-butyl	OH	6-methyl-3-pyridyl
NH	n-butyl	OH	2-ethyl-3-pyridyl
NH	n-butyl	OH	4-ethyl-3-pyridyl
NH	n-butyl	OH	5-ethyl-3-pyridyl
NH	n-butyl	OH	6-ethyl-3-pyridyl
NH	n-butyl	OH	2-methoxy-3-pyridyl
NH	n-butyl	OH	4-methoxy-3-pyridyl
NH	n-butyl	OH	5-methoxy-3-pyridyl
NH	n-butyl	OH	6-methoxy-3-pyridyl
NH	n-butyl	OH	2-ethoxy-3-pyridyl
NH	n-butyl	OH	4-ethoxy-3-pyridyl
NH	n-butyl	OH	5-ethoxy-3-pyridyl
NH	n-butyl	OH	6-ethoxy-3-pyridyl
NH	n-butyl	OH	2-chloro-3-pyridyl
NH	n-butyl	OH	4-chloro-3-pyridyl
NH	n-butyl	OH	5-chloro-3-pyridyl
NH	n-butyl	OH	6-chloro-3-pyridyl
NH	n-butyl	OH	2-fluoro-3-pyridyl
NH	n-butyl	OH	4-fluoro-3-pyridyl
NH	n-butyl	OH	5-fluoro-3-pyridyl
NH	n-butyl	OH	6-fluoro-3-pyridyl
NH	n-butyl	OH	2-dimethylamino-3-pyridyl
NH	n-butyl	OH	4-dimethylamino-3-pyridyl
NH	n-butyl	OH	5-dimethylamino-3-pyridyl
NH	n-butyl	OH	6-dimethylamino-3-pyridyl
NH	n-butyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OH	2-piperidino-3-pyridyl
NH	n-butyl	OH	4-piperidino-3-pyridyl
NH	n-butyl	OH	5-piperidino-3-pyridyl
NH	n-butyl	OH	6-piperidino-3-pyridyl
NH	n-butyl	OH	2-morpholino-3-pyridyl
NH	n-butyl	OH	4-morpholino-3-pyridyl
NH	n-butyl	OH	5-morpholino-3-pyridyl
NH	n-butyl	OH	6-morpholino-3-pyridyl
NH	n-butyl	OH	2-hydroxy-3-pyridyl
NH	n-butyl	OH	4-hydroxy-3-pyridyl
NH	n-butyl	OH	5-hydroxy-3-pyridyl
NH	n-butyl	OH	6-hydroxy-3-pyridyl

NH	n-butyl	OH	2-mercapto-3-pyridyl
NH	n-butyl	OH	4-mercapto-3-pyridyl
NH	n-butyl	OH	5-mercapto-3-pyridyl
NH	n-butyl	OH	6-mercapto-3-pyridyl
NH	n-butyl	OH	2-methylthio-3-pyridyl
NH	n-butyl	OH	4-methylthio-3-pyridyl
NH	n-butyl	OH	5-methylthio-3-pyridyl
NH	n-butyl	OH	6-methylthio-3-pyridyl
NH	n-butyl	OH	2,6-dimethyl-3-pyridyl
NH	n-butyl	OH	5,6-dimethyl-3-pyridyl
NH	n-butyl	OH	2,6-diethyl-3-pyridyl
NH	n-butyl	OH	5,6-diethyl-3-pyridyl
NH	n-butyl	OH	2,6-dimethoxy-3-pyridyl
NH	n-butyl	OH	5,6-dimethoxy-3-pyridyl
NH	n-butyl	OH	2,8-diethoxy-3-pyridyl
NH	n-butyl	OH	5,8-diethoxy-3-pyridyl
NH	n-butyl	OH	2,6-dichloro-3-pyridyl
NH	n-butyl	OH	5,8-dichloro-3-pyridyl
NH	n-butyl	OH	5-chloro-6-methoxy-3-pyridyl
NH	n-butyl	OH	5-chloro-6-ethoxy-3-pyridyl
NH	n-butyl	OH	2-chloro-6-methyl-3-pyridyl
NH	n-butyl	OH	6-chloro-2-methyl-3-pyridyl
NH	n-butyl	OH	2-methyl-4-pyridyl
NH	n-butyl	OH	2-ethyl-4-pyridyl
NH	n-butyl	OH	2-methoxy-4-pyridyl
NH	n-butyl	OH	2-ethoxy-4-pyridyl
NH	n-butyl	OH	2-chloro-4-pyridyl
NH	n-butyl	OH	2-dimethylamino-4-pyridyl
NH	n-butyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-butyl	OH	2-piperidino-4-pyridyl
NH	n-butyl	OH	2-morpholino-4-pyridyl
NH	n-butyl	OH	2-methylthio-4-pyridyl
NH	n-butyl	OH	2-pyrazinyl
NH	n-butyl	OH	5-methyl-2-pyrazinyl
NH	n-butyl	OH	5-ethyl-2-pyrazinyl
NH	n-butyl	OH	5-methoxy-2-pyrazinyl
NH	n-butyl	OH	5-ethoxy-2-pyrazinyl
NH	n-butyl	OH	5-chloro-2-pyrazinyl
NH	n-butyl	OH	6-methyl-2-pyrazinyl
NH	n-butyl	OH	6-methoxy-2-pyrazinyl
NH	n-butyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-butyl	OCOOMe	2-pyridyl
NH	n-butyl	OCOOMe	3-pyridyl
NH	n-butyl	OCOOMe	4-pyridyl
NH	n-butyl	OCOOMe	2-methyl-3-pyridyl
NH	n-butyl	OCOOMe	4-methyl-3-pyridyl
NH	n-butyl	OCOOMe	5-methyl-3-pyridyl
NH	n-butyl	OCOOMe	6-methyl-3-pyridyl
NH	n-butyl	OCOOMe	2-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	4-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	5-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	6-ethyl-3-pyridyl
NH	n-butyl	OCOOMe	2-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	4-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	5-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	6-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	2-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	4-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	5-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	6-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2-chloro-3-pyridyl
NH	n-butyl	OCOOMe	4-chloro-3-pyridyl
NH	n-butyl	OCOOMe	5-chloro-3-pyridyl
NH	n-butyl	OCOOMe	6-chloro-3-pyridyl
NH	n-butyl	OCOOMe	2-fluoro-3-pyridyl
NH	n-butyl	OCOOMe	4-fluoro-3-pyridyl
NH	n-butyl	OCOOMe	5-fluoro-3-pyridyl
NH	n-butyl	OCOOMe	6-fluoro-3-pyridyl
NH	n-butyl	OCOOMe	2-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	4-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	5-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	6-dimethylamino-3-pyridyl
NH	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOOMe	2-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	4-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	5-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	6-piperidino-3-pyridyl
NH	n-butyl	OCOOMe	2-morpholino-3-pyridyl
NH	n-butyl	OCOOMe	4-morpholino-3-pyridyl
NH	n-butyl	OCOOMe	5-morpholino-3-pyridyl
NH	n-butyl	OCOOMe	6-morpholino-3-pyridyl
NH	n-butyl	OCOOMe	2-hydroxy-3-pyridyl
NH	n-butyl	OCOOMe	4-hydroxy-3-pyridyl
NH	n-butyl	OCOOMe	5-hydroxy-3-pyridyl
NH	n-butyl	OCOOMe	6-hydroxy-3-pyridyl

NH	n-butyl	OCOOMe	2-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	4-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	5-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	6-mercapto-3-pyridyl
NH	n-butyl	OCOOMe	2-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	4-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	5-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	6-methylthio-3-pyridyl
NH	n-butyl	OCOOMe	2,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOMe	5,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOMe	2,6-diethyl-3-pyridyl
NH	n-butyl	OCOOMe	5,6-diethyl-3-pyridyl
NH	n-butyl	OCOOMe	2,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOMe	5,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2,6-diethoxy-3-pyridyl
NH	n-butyl	OCOOMe	5,6-diethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2,6-dichloro-3-pyridyl
NH	n-butyl	OCOOMe	5,6-dichloro-3-pyridyl
NH	n-butyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
NH	n-butyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
NH	n-butyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
NH	n-butyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
NH	n-butyl	OCOOMe	2-methyl-4-pyridyl
NH	n-butyl	OCOOMe	2-ethyl-4-pyridyl
NH	n-butyl	OCOOMe	2-methoxy-4-pyridyl
NH	n-butyl	OCOOMe	2-ethoxy-4-pyridyl
NH	n-butyl	OCOOMe	2-chloro-4-pyridyl
NH	n-butyl	OCOOMe	2-dimethylamino-4-pyridyl
NH	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-butyl	OCOOMe	2-piperidino-4-pyridyl
NH	n-butyl	OCOOMe	2-morpholino-4-pyridyl
NH	n-butyl	OCOOMe	2-methylthio-4-pyridyl
NH	n-butyl	OCOOMe	2-pyrazinyl
NH	n-butyl	OCOOMe	5-methyl-2-pyrazinyl
NH	n-butyl	OCOOMe	5-ethyl-2-pyrazinyl
NH	n-butyl	OCOOMe	5-methoxy-2-pyrazinyl
NH	n-butyl	OCOOMe	5-ethoxy-2-pyrazinyl
NH	n-butyl	OCOOMe	5-chloro-2-pyrazinyl
NH	n-butyl	OCOOMe	6-methyl-2-pyrazinyl
NH	n-butyl	OCOOMe	6-methoxy-2-pyrazinyl
NH	n-butyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-butyl	OCOEt	2-pyridyl
NH	n-butyl	OCOEt	3-pyridyl
NH	n-butyl	OCOEt	4-pyridyl
NH	n-butyl	OCOEt	2-methyl-3-pyridyl
NH	n-butyl	OCOEt	4-methyl-3-pyridyl
NH	n-butyl	OCOEt	5-methyl-3-pyridyl
NH	n-butyl	OCOEt	6-methyl-3-pyridyl
NH	n-butyl	OCOEt	2-ethyl-3-pyridyl
NH	n-butyl	OCOEt	4-ethyl-3-pyridyl
NH	n-butyl	OCOEt	5-ethyl-3-pyridyl
NH	n-butyl	OCOEt	6-ethyl-3-pyridyl
NH	n-butyl	OCOEt	2-methoxy-3-pyridyl
NH	n-butyl	OCOEt	4-methoxy-3-pyridyl
NH	n-butyl	OCOEt	5-methoxy-3-pyridyl
NH	n-butyl	OCOEt	6-methoxy-3-pyridyl
NH	n-butyl	OCOEt	2-ethoxy-3-pyridyl
NH	n-butyl	OCOEt	4-ethoxy-3-pyridyl
NH	n-butyl	OCOEt	5-ethoxy-3-pyridyl
NH	n-butyl	OCOEt	6-ethoxy-3-pyridyl
NH	n-butyl	OCOEt	2-chloro-3-pyridyl
NH	n-butyl	OCOEt	4-chloro-3-pyridyl
NH	n-butyl	OCOEt	5-chloro-3-pyridyl
NH	n-butyl	OCOEt	6-chloro-3-pyridyl
NH	n-butyl	OCOEt	2-fluoro-3-pyridyl
NH	n-butyl	OCOEt	4-fluoro-3-pyridyl
NH	n-butyl	OCOEt	5-fluoro-3-pyridyl
NH	n-butyl	OCOEt	6-fluoro-3-pyridyl
NH	n-butyl	OCOEt	2-dimethylamino-3-pyridyl
NH	n-butyl	OCOEt	4-dimethylamino-3-pyridyl
NH	n-butyl	OCOEt	5-dimethylamino-3-pyridyl
NH	n-butyl	OCOEt	6-dimethylamino-3-pyridyl
NH	n-butyl	OCOEt	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOEt	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOEt	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOEt	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-butyl	OCOEt	2-piperidino-3-pyridyl
NH	n-butyl	OCOEt	4-piperidino-3-pyridyl
NH	n-butyl	OCOEt	5-piperidino-3-pyridyl
NH	n-butyl	OCOEt	6-piperidino-3-pyridyl
NH	n-butyl	OCOEt	2-morpholino-3-pyridyl
NH	n-butyl	OCOEt	4-morpholino-3-pyridyl
NH	n-butyl	OCOEt	5-morpholino-3-pyridyl
NH	n-butyl	OCOEt	6-morpholino-3-pyridyl
NH	n-butyl	OCOEt	2-hydroxy-3-pyridyl
NH	n-butyl	OCOEt	4-hydroxy-3-pyridyl
NH	n-butyl	OCOEt	5-hydroxy-3-pyridyl
NH	n-butyl	OCOEt	6-hydroxy-3-pyridyl

NH	n-butyl	OCOOEt	2-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	4-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	5-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	6-mercapto-3-pyridyl
NH	n-butyl	OCOOEt	2-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	4-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	5-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	6-methylthio-3-pyridyl
NH	n-butyl	OCOOEt	2,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOEt	5,6-dimethyl-3-pyridyl
NH	n-butyl	OCOOEt	2,6-diethyl-3-pyridyl
NH	n-butyl	OCOOEt	5,6-diethyl-3-pyridyl
NH	n-butyl	OCOOEt	2,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOEt	5,6-dimethoxy-3-pyridyl
NH	n-butyl	OCOOEt	2,6-diethoxy-3-pyridyl
NH	n-butyl	OCOOEt	5,6-diethoxy-3-pyridyl
NH	n-butyl	OCOOEt	2,6-dichloro-3-pyridyl
NH	n-butyl	OCOOEt	5,6-dichloro-3-pyridyl
NH	n-butyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
NH	n-butyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
NH	n-butyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
NH	n-butyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
NH	n-butyl	OCOOEt	2-methyl-4-pyridyl
NH	n-butyl	OCOOEt	2-ethyl-4-pyridyl
NH	n-butyl	OCOOEt	2-methoxy-4-pyridyl
NH	n-butyl	OCOOEt	2-ethoxy-4-pyridyl
NH	n-butyl	OCOOEt	2-chloro-4-pyridyl
NH	n-butyl	OCOOEt	2-dimethylamino-4-pyridyl
NH	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-butyl	OCOOEt	2-piperidino-4-pyridyl
NH	n-butyl	OCOOEt	2-morpholino-4-pyridyl
NH	n-butyl	OCOOEt	2-methylthio-4-pyridyl
NH	n-butyl	OCOOEt	2-pyrazinyl
NH	n-butyl	OCOOEt	5-methyl-2-pyrazinyl
NH	n-butyl	OCOOEt	5-ethyl-2-pyrazinyl
NH	n-butyl	OCOOEt	6-methoxy-2-pyrazinyl
NH	n-butyl	OCOOEt	5-ethoxy-2-pyrazinyl
NH	n-butyl	OCOOEt	5-chloro-2-pyrazinyl
NH	n-butyl	OCOOEt	6-methyl-2-pyrazinyl
NH	n-butyl	OCOOEt	6-methoxy-2-pyrazinyl
NH	n-butyl	OCOOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-pentyl	OH	2-pyridyl
NH	n-pentyl	OH	3-pyridyl
NH	n-pentyl	OH	4-pyridyl
NH	n-pentyl	OH	2-methyl-3-pyridyl
NH	n-pentyl	OH	4-methyl-3-pyridyl
NH	n-pentyl	OH	5-methyl-3-pyridyl
NH	n-pentyl	OH	6-methyl-3-pyridyl
NH	n-pentyl	OH	2-ethyl-3-pyridyl
NH	n-pentyl	OH	4-ethyl-3-pyridyl
NH	n-pentyl	OH	5-ethyl-3-pyridyl
NH	n-pentyl	OH	6-ethyl-3-pyridyl
NH	n-pentyl	OH	2-methoxy-3-pyridyl
NH	n-pentyl	OH	4-methoxy-3-pyridyl
NH	n-pentyl	OH	5-methoxy-3-pyridyl
NH	n-pentyl	OH	6-methoxy-3-pyridyl
NH	n-pentyl	OH	2-ethoxy-3-pyridyl
NH	n-pentyl	OH	4-ethoxy-3-pyridyl
NH	n-pentyl	OH	5-ethoxy-3-pyridyl
NH	n-pentyl	OH	6-ethoxy-3-pyridyl
NH	n-pentyl	OH	2-chloro-3-pyridyl
NH	n-pentyl	OH	4-chloro-3-pyridyl
NH	n-pentyl	OH	5-chloro-3-pyridyl
NH	n-pentyl	OH	6-chloro-3-pyridyl
NH	n-pentyl	OH	2-fluoro-3-pyridyl
NH	n-pentyl	OH	4-fluoro-3-pyridyl
NH	n-pentyl	OH	5-fluoro-3-pyridyl
NH	n-pentyl	OH	6-fluoro-3-pyridyl
NH	n-pentyl	OH	2-dimethylamino-3-pyridyl
NH	n-pentyl	OH	4-dimethylamino-3-pyridyl
NH	n-pentyl	OH	5-dimethylamino-3-pyridyl
NH	n-pentyl	OH	6-dimethylamino-3-pyridyl
NH	n-pentyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OH	2-piperidino-3-pyridyl
NH	n-pentyl	OH	4-piperidino-3-pyridyl
NH	n-pentyl	OH	5-piperidino-3-pyridyl
NH	n-pentyl	OH	6-piperidino-3-pyridyl
NH	n-pentyl	OH	2-morpholino-3-pyridyl
NH	n-pentyl	OH	4-morpholino-3-pyridyl
NH	n-pentyl	OH	5-morpholino-3-pyridyl
NH	n-pentyl	OH	6-morpholino-3-pyridyl
NH	n-pentyl	OH	2-hydroxy-3-pyridyl
NH	n-pentyl	OH	4-hydroxy-3-pyridyl
NH	n-pentyl	OH	5-hydroxy-3-pyridyl
NH	n-pentyl	OH	6-hydroxy-3-pyridyl



NH	n-pentyl	OH	2-mercapto-3-pyridyl
NH	n-pentyl	OH	4-mercapto-3-pyridyl
NH	n-pentyl	OH	5-mercapto-3-pyridyl
NH	n-pentyl	OH	6-mercapto-3-pyridyl
NH	n-pentyl	OH	2-methylthio-3-pyridyl
NH	n-pentyl	OH	4-methylthio-3-pyridyl
NH	n-pentyl	OH	5-methylthio-3-pyridyl
NH	n-pentyl	OH	6-methylthio-3-pyridyl
NH	n-pentyl	OH	2,6-dimethyl-3-pyridyl
NH	n-pentyl	OH	5,6-dimethyl-3-pyridyl
NH	n-pentyl	OH	2,6-diethyl-3-pyridyl
NH	n-pentyl	OH	5,6-diethyl-3-pyridyl
NH	n-pentyl	OH	2,6-dimethoxy-3-pyridyl
NH	n-pentyl	OH	5,6-dimethoxy-3-pyridyl
NH	n-pentyl	OH	2,6-diethoxy-3-pyridyl
NH	n-pentyl	OH	5,6-diethoxy-3-pyridyl
NH	n-pentyl	OH	2,6-dichloro-3-pyridyl
NH	n-pentyl	OH	5,6-dichloro-3-pyridyl
NH	n-pentyl	OH	5-chloro-6-methoxy-3-pyridyl
NH	n-pentyl	OH	5-chloro-6-ethoxy-3-pyridyl
NH	n-pentyl	OH	2-chloro-6-methyl-3-pyridyl
NH	n-pentyl	OH	6-chloro-2-methyl-3-pyridyl
NH	n-pentyl	OH	2-methyl-4-pyridyl
NH	n-pentyl	OH	2-ethyl-4-pyridyl
NH	n-pentyl	OH	2-methoxy-4-pyridyl
NH	n-pentyl	OH	2-ethoxy-4-pyridyl
NH	n-pentyl	OH	2-chloro-4-pyridyl
NH	n-pentyl	OH	2-dimethylamino-4-pyridyl
NH	n-pentyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-pentyl	OH	2-piperidino-4-pyridyl
NH	n-pentyl	OH	2-morpholino-4-pyridyl
NH	n-pentyl	OH	2-methylthio-4-pyridyl
NH	n-pentyl	OH	2-pyrazinyl
NH	n-pentyl	OH	5-methyl-2-pyrazinyl
NH	n-pentyl	OH	5-ethyl-2-pyrazinyl
NH	n-pentyl	OH	5-methoxy-2-pyrazinyl
NH	n-pentyl	OH	5-ethoxy-2-pyrazinyl
NH	n-pentyl	OH	5-chloro-2-pyrazinyl
NH	n-pentyl	OH	6-methyl-2-pyrazinyl
NH	n-pentyl	OH	6-methoxy-2-pyrazinyl
NH	n-pentyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-pentyl	OCOOMe	2-pyridyl
NH	n-pentyl	OCOOMe	3-pyridyl
NH	n-pentyl	OCOOMe	4-pyridyl
NH	n-pentyl	OCOOMe	2-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	4-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	5-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	6-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	2-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	4-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	5-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	6-ethyl-3-pyridyl
NH	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	4-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	5-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	6-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	4-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	5-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	6-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2-chloro-3-pyridyl
NH	n-pentyl	OCOOMe	4-chloro-3-pyridyl
NH	n-pentyl	OCOOMe	5-chloro-3-pyridyl
NH	n-pentyl	OCOOMe	6-chloro-3-pyridyl
NH	n-pentyl	OCOOMe	2-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	4-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	5-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	6-fluoro-3-pyridyl
NH	n-pentyl	OCOOMe	2-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	4-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	5-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	6-dimethylamino-3-pyridyl
NH	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOOMe	2-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	4-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	5-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	6-piperidino-3-pyridyl
NH	n-pentyl	OCOOMe	2-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	4-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	5-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	6-morpholino-3-pyridyl
NH	n-pentyl	OCOOMe	2-hydroxy-3-pyridyl
NH	n-pentyl	OCOOMe	4-hydroxy-3-pyridyl
NH	n-pentyl	OCOOMe	5-hydroxy-3-pyridyl
NH	n-pentyl	OCOOMe	6-hydroxy-3-pyridyl

NH	n-pentyl	OCOOMe	2-mercapto-3-pyridyl
NH	n-pentyl	OCOOMe	4-mercapto-3-pyridyl
NH	n-pentyl	OCOOMe	5-mercapto-3-pyridyl
NH	n-pentyl	OCOOMe	6-mercapto-3-pyridyl
NH	n-pentyl	OCOOMe	2-methylthio-3-pyridyl
NH	n-pentyl	OCOOMe	4-methylthio-3-pyridyl
NH	n-pentyl	OCOOMe	5-methylthio-3-pyridyl
NH	n-pentyl	OCOOMe	6-methylthio-3-pyridyl
NH	n-pentyl	OCOOMe	2,6-dimethyl-3-pyridyl
NH	n-pentyl	OCOOMe	5,6-dimethyl-3-pyridyl
NH	n-pentyl	OCOOMe	2,6-diethyl-3-pyridyl
NH	n-pentyl	OCOOMe	5,6-diethyl-3-pyridyl
NH	n-pentyl	OCOOMe	2,6-dimethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	5,6-dimethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2,6-diethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	5,6-diethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2,6-dichloro-3-pyridyl
NH	n-pentyl	OCOOMe	5,6-dichloro-3-pyridyl
NH	n-pentyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
NH	n-pentyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
NH	n-pentyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
NH	n-pentyl	OCOOMe	2-methyl-4-pyridyl
NH	n-pentyl	OCOOMe	2-ethyl-4-pyridyl
NH	n-pentyl	OCOOMe	2-methoxy-4-pyridyl
NH	n-pentyl	OCOOMe	2-ethoxy-4-pyridyl
NH	n-pentyl	OCOOMe	2-chloro-4-pyridyl
NH	n-pentyl	OCOOMe	2-dimethylamino-4-pyridyl
NH	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-pentyl	OCOOMe	2-piperidino-4-pyridyl
NH	n-pentyl	OCOOMe	2-morpholino-4-pyridyl
NH	n-pentyl	OCOOMe	2-methylthio-4-pyridyl
NH	n-pentyl	OCOOMe	2-pyrazinyl
NH	n-pentyl	OCOOMe	5-methyl-2-pyrazinyl
NH	n-pentyl	OCOOMe	5-ethyl-2-pyrazinyl
NH	n-pentyl	OCOOMe	5-methoxy-2-pyrazinyl
NH	n-pentyl	OCOOMe	5-ethoxy-2-pyrazinyl
NH	n-pentyl	OCOOMe	5-chloro-2-pyrazinyl
NH	n-pentyl	OCOOMe	6-methyl-2-pyrazinyl
NH	n-pentyl	OCOOMe	6-methoxy-2-pyrazinyl
NH	n-pentyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-pentyl	OCOEt	2-pyridyl
NH	n-pentyl	OCOEt	3-pyridyl
NH	n-pentyl	OCOEt	4-pyridyl
NH	n-pentyl	OCOEt	2-methyl-3-pyridyl
NH	n-pentyl	OCOEt	4-methyl-3-pyridyl
NH	n-pentyl	OCOEt	5-methyl-3-pyridyl
NH	n-pentyl	OCOEt	6-methyl-3-pyridyl
NH	n-pentyl	OCOEt	2-ethyl-3-pyridyl
NH	n-pentyl	OCOEt	4-ethyl-3-pyridyl
NH	n-pentyl	OCOEt	5-ethyl-3-pyridyl
NH	n-pentyl	OCOEt	6-ethyl-3-pyridyl
NH	n-pentyl	OCOEt	2-methoxy-3-pyridyl
NH	n-pentyl	OCOEt	4-methoxy-3-pyridyl
NH	n-pentyl	OCOEt	5-methoxy-3-pyridyl
NH	n-pentyl	OCOEt	6-methoxy-3-pyridyl
NH	n-pentyl	OCOEt	2-ethoxy-3-pyridyl
NH	n-pentyl	OCOEt	4-ethoxy-3-pyridyl
NH	n-pentyl	OCOEt	5-ethoxy-3-pyridyl
NH	n-pentyl	OCOEt	6-ethoxy-3-pyridyl
NH	n-pentyl	OCOEt	2-chloro-3-pyridyl
NH	n-pentyl	OCOEt	4-chloro-3-pyridyl
NH	n-pentyl	OCOEt	5-chloro-3-pyridyl
NH	n-pentyl	OCOEt	6-chloro-3-pyridyl
NH	n-pentyl	OCOEt	2-fluoro-3-pyridyl
NH	n-pentyl	OCOEt	4-fluoro-3-pyridyl
NH	n-pentyl	OCOEt	5-fluoro-3-pyridyl
NH	n-pentyl	OCOEt	6-fluoro-3-pyridyl
NH	n-pentyl	OCOEt	2-dimethylamino-3-pyridyl
NH	n-pentyl	OCOEt	4-dimethylamino-3-pyridyl
NH	n-pentyl	OCOEt	5-dimethylamino-3-pyridyl
NH	n-pentyl	OCOEt	6-dimethylamino-3-pyridyl
NH	n-pentyl	OCOEt	2-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOEt	3-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOEt	5-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOEt	6-(1-pyrrolidinyl)-3-pyridyl
NH	n-pentyl	OCOEt	2-piperidino-3-pyridyl
NH	n-pentyl	OCOEt	4-piperidino-3-pyridyl
NH	n-pentyl	OCOEt	5-piperidino-3-pyridyl
NH	n-pentyl	OCOEt	6-piperidino-3-pyridyl
NH	n-pentyl	OCOEt	2-morpholino-3-pyridyl
NH	n-pentyl	OCOEt	4-morpholino-3-pyridyl
NH	n-pentyl	OCOEt	5-morpholino-3-pyridyl
NH	n-pentyl	OCOEt	6-morpholino-3-pyridyl
NH	n-pentyl	OCOEt	2-hydroxy-3-pyridyl
NH	n-pentyl	OCOEt	4-hydroxy-3-pyridyl
NH	n-pentyl	OCOEt	5-hydroxy-3-pyridyl
NH	n-pentyl	OCOEt	6-hydroxy-3-pyridyl

NH	n-pentyl	OCOOEt	2-mercapto-3-pyridyl
NH	n-pentyl	OCOOEt	4-mercapto-3-pyridyl
NH	n-pentyl	OCOOEt	5-mercapto-3-pyridyl
NH	n-pentyl	OCOOEt	6-mercapto-3-pyridyl
NH	n-pentyl	OCOOEt	2-methylthio-3-pyridyl
NH	n-pentyl	OCOOEt	4-methylthio-3-pyridyl
NH	n-pentyl	OCOOEt	5-methylthio-3-pyridyl
NH	n-pentyl	OCOOEt	6-methylthio-3-pyridyl
NH	n-pentyl	OCOOEt	2,6-dimethyl-3-pyridyl
NH	n-pentyl	OCOOEt	5,6-dimethyl-3-pyridyl
NH	n-pentyl	OCOOEt	2,6-diethyl-3-pyridyl
NH	n-pentyl	OCOOEt	5,6-diethyl-3-pyridyl
NH	n-pentyl	OCOOEt	2,6-dimethoxy-3-pyridyl
NH	n-pentyl	OCOOEt	5,6-dimethoxy-3-pyridyl
NH	n-pentyl	OCOOEt	2,6-diethoxy-3-pyridyl
NH	n-pentyl	OCOOEt	5,6-diethoxy-3-pyridyl
NH	n-pentyl	OCOOEt	2,6-dichloro-3-pyridyl
NH	n-pentyl	OCOOEt	5,6-dichloro-3-pyridyl
NH	n-pentyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
NH	n-pentyl	OCOOEt	6-chloro-6-ethoxy-3-pyridyl
NH	n-pentyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
NH	n-pentyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
NH	n-pentyl	OCOOEt	2-methyl-4-pyridyl
NH	n-pentyl	OCOOEt	2-ethyl-4-pyridyl
NH	n-pentyl	OCOOEt	2-methoxy-4-pyridyl
NH	n-pentyl	OCOOEt	2-ethoxy-4-pyridyl
NH	n-pentyl	OCOOEt	2-chloro-4-pyridyl
NH	n-pentyl	OCOOEt	2-dimethylamino-4-pyridyl
NH	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
NH	n-pentyl	OCOOEt	2-piperidino-4-pyridyl
NH	n-pentyl	OCOOEt	2-morpholino-4-pyridyl
NH	n-pentyl	OCOOEt	2-methylthio-4-pyridyl
NH	n-pentyl	OCOOEt	2-pyrazinyl
NH	n-pentyl	OCOOEt	5-methyl-2-pyrazinyl
NH	n-pentyl	OCOOEt	5-ethyl-2-pyrazinyl
NH	n-pentyl	OCOOEt	5-methoxy-2-pyrazinyl
NH	n-pentyl	OCOOEt	5-ethoxy-2-pyrazinyl
NH	n-pentyl	OCOOEt	5-chloro-2-pyrazinyl
NH	n-pentyl	OCOOEt	6-methyl-2-pyrazinyl
NH	n-pentyl	OCOOEt	6-methoxy-2-pyrazinyl
NH	n-pentyl	OCOOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	propyl	OH	2-pyridyl
O	propyl	OH	3-pyridyl
O	propyl	OH	4-pyridyl
O	propyl	OH	2-methyl-3-pyridyl
O	propyl	OH	4-methyl-3-pyridyl
O	propyl	OH	5-methyl-3-pyridyl
O	propyl	OH	6-methyl-3-pyridyl
O	propyl	OH	2-ethyl-3-pyridyl
O	propyl	OH	4-ethyl-3-pyridyl
O	propyl	OH	5-ethyl-3-pyridyl
O	propyl	OH	6-ethyl-3-pyridyl
O	propyl	OH	2-methoxy-3-pyridyl
O	propyl	OH	4-methoxy-3-pyridyl
O	propyl	OH	5-methoxy-3-pyridyl
O	propyl	OH	6-methoxy-3-pyridyl
O	propyl	OH	2-ethoxy-3-pyridyl
O	propyl	OH	4-ethoxy-3-pyridyl
O	propyl	OH	5-ethoxy-3-pyridyl
O	propyl	OH	6-ethoxy-3-pyridyl
O	propyl	OH	2-chloro-3-pyridyl
O	propyl	OH	4-chloro-3-pyridyl
O	propyl	OH	5-chloro-3-pyridyl
O	propyl	OH	6-chloro-3-pyridyl
O	propyl	OH	2-fluoro-3-pyridyl
O	propyl	OH	4-fluoro-3-pyridyl
O	propyl	OH	5-fluoro-3-pyridyl
O	propyl	OH	6-fluoro-3-pyridyl
O	propyl	OH	2-dimethylamino-3-pyridyl
O	propyl	OH	4-dimethylamino-3-pyridyl
O	propyl	OH	5-dimethylamino-3-pyridyl
O	propyl	OH	6-dimethylamino-3-pyridyl
O	propyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OH	2-piperidino-3-pyridyl
O	propyl	OH	4-piperidino-3-pyridyl
O	propyl	OH	5-piperidino-3-pyridyl
O	propyl	OH	6-piperidino-3-pyridyl
O	propyl	OH	2-morpholino-3-pyridyl
O	propyl	OH	4-morpholino-3-pyridyl
O	propyl	OH	5-morpholino-3-pyridyl
O	propyl	OH	6-morpholino-3-pyridyl
O	propyl	OH	2-hydroxy-3-pyridyl
O	propyl	OH	4-hydroxy-3-pyridyl
O	propyl	OH	5-hydroxy-3-pyridyl
O	propyl	OH	6-hydroxy-3-pyridyl

O	propyl	OH	2-mercapto-3-pyridyl
O	propyl	OH	4-mercapto-3-pyridyl
O	propyl	OH	5-mercapto-3-pyridyl
O	propyl	OH	6-mercapto-3-pyridyl
O	propyl	OH	2-methylthio-3-pyridyl
O	propyl	OH	4-methylthio-3-pyridyl
O	propyl	OH	5-methylthio-3-pyridyl
O	propyl	OH	6-methylthio-3-pyridyl
O	propyl	OH	2,6-dimethyl-3-pyridyl
O	propyl	OH	5,6-dimethyl-3-pyridyl
O	propyl	OH	2,6-diethyl-3-pyridyl
O	propyl	OH	5,6-diethyl-3-pyridyl
O	propyl	OH	2,6-dimethoxy-3-pyridyl
O	propyl	OH	5,6-dimethoxy-3-pyridyl
O	propyl	OH	2,6-diethoxy-3-pyridyl
O	propyl	OH	5,6-diethoxy-3-pyridyl
O	propyl	OH	2,6-dichloro-3-pyridyl
O	propyl	OH	5,6-dichloro-3-pyridyl
O	propyl	OH	5-chloro-6-methoxy-3-pyridyl
O	propyl	OH	5-chloro-6-ethoxy-3-pyridyl
O	propyl	OH	2-chloro-6-methyl-3-pyridyl
O	propyl	OH	6-chloro-2-methyl-3-pyridyl
O	propyl	OH	2-methyl-4-pyridyl
O	propyl	OH	2-ethyl-4-pyridyl
O	propyl	OH	2-methoxy-4-pyridyl
O	propyl	OH	2-ethoxy-4-pyridyl
O	propyl	OH	2-chloro-4-pyridyl
O	propyl	OH	2-dimethylamino-4-pyridyl
O	propyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
O	propyl	OH	2-piperidino-4-pyridyl
O	propyl	OH	2-morpholino-4-pyridyl
O	propyl	OH	2-methylthio-4-pyridyl
O	propyl	OH	2-pyrazinyl
O	propyl	OH	5-methyl-2-pyrazinyl
O	propyl	OH	5-ethyl-2-pyrazinyl
O	propyl	OH	5-methoxy-2-pyrazinyl
O	propyl	OH	5-ethoxy-2-pyrazinyl
O	propyl	OH	5-chloro-2-pyrazinyl
O	propyl	OH	6-methyl-2-pyrazinyl
O	propyl	OH	6-methoxy-2-pyrazinyl
O	propyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	propyl	OCOOMe	2-pyridyl
O	propyl	OCOOMe	3-pyridyl
O	propyl	OCOOMe	4-pyridyl
O	propyl	OCOOMe	2-methyl-3-pyridyl
O	propyl	OCOOMe	4-methyl-3-pyridyl
O	propyl	OCOOMe	5-methyl-3-pyridyl
O	propyl	OCOOMe	6-methyl-3-pyridyl
O	propyl	OCOOMe	2-ethyl-3-pyridyl
O	propyl	OCOOMe	4-ethyl-3-pyridyl
O	propyl	OCOOMe	5-ethyl-3-pyridyl
O	propyl	OCOOMe	6-ethyl-3-pyridyl
O	propyl	OCOOMe	2-methoxy-3-pyridyl
O	propyl	OCOOMe	4-methoxy-3-pyridyl
O	propyl	OCOOMe	5-methoxy-3-pyridyl
O	propyl	OCOOMe	6-methoxy-3-pyridyl
O	propyl	OCOOMe	2-ethoxy-3-pyridyl
O	propyl	OCOOMe	4-ethoxy-3-pyridyl
O	propyl	OCOOMe	5-ethoxy-3-pyridyl
O	propyl	OCOOMe	6-ethoxy-3-pyridyl
O	propyl	OCOOMe	2-chloro-3-pyridyl
O	propyl	OCOOMe	4-chloro-3-pyridyl
O	propyl	OCOOMe	5-chloro-3-pyridyl
O	propyl	OCOOMe	6-chloro-3-pyridyl
O	propyl	OCOOMe	2-fluoro-3-pyridyl
O	propyl	OCOOMe	4-fluoro-3-pyridyl
O	propyl	OCOOMe	5-fluoro-3-pyridyl
O	propyl	OCOOMe	6-fluoro-3-pyridyl
O	propyl	OCOOMe	2-dimethylamino-3-pyridyl
O	propyl	OCOOMe	4-dimethylamino-3-pyridyl
O	propyl	OCOOMe	5-dimethylamino-3-pyridyl
O	propyl	OCOOMe	6-dimethylamino-3-pyridyl
O	propyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
O	propyl	OCOOMe	2-piperidino-3-pyridyl
O	propyl	OCOOMe	4-piperidino-3-pyridyl
O	propyl	OCOOMe	5-piperidino-3-pyridyl
O	propyl	OCOOMe	6-piperidino-3-pyridyl
O	propyl	OCOOMe	2-morpholino-3-pyridyl
O	propyl	OCOOMe	4-morpholino-3-pyridyl
O	propyl	OCOOMe	5-morpholino-3-pyridyl
O	propyl	OCOOMe	6-morpholino-3-pyridyl
O	propyl	OCOOMe	2-hydroxy-3-pyridyl
O	propyl	OCOOMe	4-hydroxy-3-pyridyl
O	propyl	OCOOMe	5-hydroxy-3-pyridyl
O	propyl	OCOOMe	6-hydroxy-3-pyridyl



0	propyl	OCOOMe	2-mercapto-3-pyridyl
0	propyl	OCOOMe	4-mercapto-3-pyridyl
0	propyl	OCOOMe	5-mercapto-3-pyridyl
0	propyl	OCOOMe	6-mercapto-3-pyridyl
0	propyl	OCOOMe	2-methylthio-3-pyridyl
0	propyl	OCOOMe	4-methylthio-3-pyridyl
0	propyl	OCOOMe	5-methylthio-3-pyridyl
0	propyl	OCOOMe	6-methylthio-3-pyridyl
0	propyl	OCOOMe	2,6-dimethyl-3-pyridyl
0	propyl	OCOOMe	5,6-dimethyl-3-pyridyl
0	propyl	OCOOMe	2,6-diethyl-3-pyridyl
0	propyl	OCOOMe	5,6-diethyl-3-pyridyl
0	propyl	OCOOMe	2,6-dimethoxy-3-pyridyl
0	propyl	OCOOMe	5,6-dimethoxy-3-pyridyl
0	propyl	OCOOMe	2,6-diethoxy-3-pyridyl
0	propyl	OCOOMe	5,6-diethoxy-3-pyridyl
0	propyl	OCOOMe	2,6-dichloro-3-pyridyl
0	propyl	OCOOMe	5,6-dichloro-3-pyridyl
0	propyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
0	propyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
0	propyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
0	propyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
0	propyl	OCOOMe	2-methyl-4-pyridyl
0	propyl	OCOOMe	2-ethyl-4-pyridyl
0	propyl	OCOOMe	2-methoxy-4-pyridyl
0	propyl	OCOOMe	2-ethoxy-4-pyridyl
0	propyl	OCOOMe	2-chloro-4-pyridyl
0	propyl	OCOOMe	2-dimethylamino-4-pyridyl
0	propyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
0	propyl	OCOOMe	2-piperidino-4-pyridyl
0	propyl	OCOOMe	2-morpholino-4-pyridyl
0	propyl	OCOOMe	2-methylthio-4-pyridyl
0	propyl	OCOOMe	2-pyrazinyl
0	propyl	OCOOMe	5-methyl-2-pyrazinyl
0	propyl	OCOOMe	5-ethyl-2-pyrazinyl
0	propyl	OCOOMe	5-methoxy-2-pyrazinyl
0	propyl	OCOOMe	5-ethoxy-2-pyrazinyl
0	propyl	OCOOMe	5-chloro-2-pyrazinyl
0	propyl	OCOOMe	6-methyl-2-pyrazinyl
0	propyl	OCOOMe	6-methoxy-2-pyrazinyl
0	propyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
0	propyl	OCOOEt	2-pyridyl
0	propyl	OCOOEt	3-pyridyl
0	propyl	OCOOEt	4-pyridyl
0	propyl	OCOOEt	2-methyl-3-pyridyl
0	propyl	OCOOEt	4-methyl-3-pyridyl
0	propyl	OCOOEt	5-methyl-3-pyridyl
0	propyl	OCOOEt	6-methyl-3-pyridyl
0	propyl	OCOOEt	2-ethyl-3-pyridyl
0	propyl	OCOOEt	4-ethyl-3-pyridyl
0	propyl	OCOOEt	5-ethyl-3-pyridyl
0	propyl	OCOOEt	6-ethyl-3-pyridyl
0	propyl	OCOOEt	2-methoxy-3-pyridyl
0	propyl	OCOOEt	4-methoxy-3-pyridyl
0	propyl	OCOOEt	5-methoxy-3-pyridyl
0	propyl	OCOOEt	6-methoxy-3-pyridyl
0	propyl	OCOOEt	2-ethoxy-3-pyridyl
0	propyl	OCOOEt	4-ethoxy-3-pyridyl
0	propyl	OCOOEt	5-ethoxy-3-pyridyl
0	propyl	OCOOEt	6-ethoxy-3-pyridyl
0	propyl	OCOOEt	2-chloro-3-pyridyl
0	propyl	OCOOEt	4-chloro-3-pyridyl
0	propyl	OCOOEt	5-chloro-3-pyridyl
0	propyl	OCOOEt	6-chloro-3-pyridyl
0	propyl	OCOOEt	2-fluoro-3-pyridyl
0	propyl	OCOOEt	4-fluoro-3-pyridyl
0	propyl	OCOOEt	5-fluoro-3-pyridyl
0	propyl	OCOOEt	6-fluoro-3-pyridyl
0	propyl	OCOOEt	2-dimethylamino-3-pyridyl
0	propyl	OCOOEt	4-dimethylamino-3-pyridyl
0	propyl	OCOOEt	5-dimethylamino-3-pyridyl
0	propyl	OCOOEt	6-dimethylamino-3-pyridyl
0	propyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
0	propyl	OCOOEt	2-piperidino-3-pyridyl
0	propyl	OCOOEt	4-piperidino-3-pyridyl
0	propyl	OCOOEt	5-piperidino-3-pyridyl
0	propyl	OCOOEt	6-piperidino-3-pyridyl
0	propyl	OCOOEt	2-morpholino-3-pyridyl
0	propyl	OCOOEt	4-morpholino-3-pyridyl
0	propyl	OCOOEt	5-morpholino-3-pyridyl
0	propyl	OCOOEt	6-morpholino-3-pyridyl
0	propyl	OCOOEt	2-hydroxy-3-pyridyl
0	propyl	OCOOEt	4-hydroxy-3-pyridyl
0	propyl	OCOOEt	5-hydroxy-3-pyridyl
0	propyl	OCOOEt	6-hydroxy-3-pyridyl

0	propyl	OCOEt	2-mercapto-3-pyridyl
0	propyl	OCOEt	4-mercapto-3-pyridyl
0	propyl	OCOEt	5-mercapto-3-pyridyl
0	propyl	OCOEt	6-mercapto-3-pyridyl
0	propyl	OCOEt	2-methylthio-3-pyridyl
0	propyl	OCOEt	4-methylthio-3-pyridyl
0	propyl	OCOEt	5-methylthio-3-pyridyl
0	propyl	OCOEt	6-methylthio-3-pyridyl
0	propyl	OCOEt	2,6-dimethyl-3-pyridyl
0	propyl	OCOEt	5,6-dimethyl-3-pyridyl
0	propyl	OCOEt	2,6-diethyl-3-pyridyl
0	propyl	OCOEt	5,6-diethyl-3-pyridyl
0	propyl	OCOEt	2,6-dimethoxy-3-pyridyl
0	propyl	OCOEt	5,6-dimethoxy-3-pyridyl
0	propyl	OCOEt	2,6-diethoxy-3-pyridyl
0	propyl	OCOEt	5,6-diethoxy-3-pyridyl
0	propyl	OCOEt	2,6-dichloro-3-pyridyl
0	propyl	OCOEt	5,6-dichloro-3-pyridyl
0	propyl	OCOEt	5-chloro-6-methoxy-3-pyridyl
0	propyl	OCOEt	5-chloro-6-ethoxy-3-pyridyl
0	propyl	OCOEt	2-chloro-6-methyl-3-pyridyl
0	propyl	OCOEt	6-chloro-2-methyl-3-pyridyl
0	propyl	OCOEt	2-methyl-4-pyridyl
0	propyl	OCOEt	2-ethyl-4-pyridyl
0	propyl	OCOEt	2-methoxy-4-pyridyl
0	propyl	OCOEt	2-ethoxy-4-pyridyl
0	propyl	OCOEt	2-chloro-4-pyridyl
0	propyl	OCOEt	2-dimethylamino-4-pyridyl
0	propyl	OCOEt	2-(1-pyrrolidinyl)-4-pyridyl
0	propyl	OCOEt	2-piperidino-4-pyridyl
0	propyl	OCOEt	2-morpholino-4-pyridyl
0	propyl	OCOEt	2-methylthio-4-pyridyl
0	propyl	OCOEt	2-pyrazinyl
0	propyl	OCOEt	5-methyl-2-pyrazinyl
0	propyl	OCOEt	5-ethyl-2-pyrazinyl
0	propyl	OCOEt	5-methoxy-2-pyrazinyl
0	propyl	OCOEt	5-ethoxy-2-pyrazinyl
0	propyl	OCOEt	5-chloro-2-pyrazinyl
0	propyl	OCOEt	6-methyl-2-pyrazinyl
0	propyl	OCOEt	6-methoxy-2-pyrazinyl
0	propyl	OCOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-butyl	OH	2-pyridyl
O	n-butyl	OH	3-pyridyl
O	n-butyl	OH	4-pyridyl
O	n-butyl	OH	2-methyl-3-pyridyl
O	n-butyl	OH	4-methyl-3-pyridyl
O	n-butyl	OH	5-methyl-3-pyridyl
O	n-butyl	OH	6-methyl-3-pyridyl
O	n-butyl	OH	2-ethyl-3-pyridyl
O	n-butyl	OH	4-ethyl-3-pyridyl
O	n-butyl	OH	5-ethyl-3-pyridyl
O	n-butyl	OH	6-ethyl-3-pyridyl
O	n-butyl	OH	2-methoxy-3-pyridyl
O	n-butyl	OH	4-methoxy-3-pyridyl
O	n-butyl	OH	5-methoxy-3-pyridyl
O	n-butyl	OH	6-methoxy-3-pyridyl
O	n-butyl	OH	2-ethoxy-3-pyridyl
O	n-butyl	OH	4-ethoxy-3-pyridyl
O	n-butyl	OH	5-ethoxy-3-pyridyl
O	n-butyl	OH	6-ethoxy-3-pyridyl
O	n-butyl	OH	2-chloro-3-pyridyl
O	n-butyl	OH	4-chloro-3-pyridyl
O	n-butyl	OH	5-chloro-3-pyridyl
O	n-butyl	OH	6-chloro-3-pyridyl
O	n-butyl	OH	2-fluoro-3-pyridyl
O	n-butyl	OH	4-fluoro-3-pyridyl
O	n-butyl	OH	5-fluoro-3-pyridyl
O	n-butyl	OH	6-fluoro-3-pyridyl
O	n-butyl	OH	2-dimethylamino-3-pyridyl
O	n-butyl	OH	4-dimethylamino-3-pyridyl
O	n-butyl	OH	5-dimethylamino-3-pyridyl
O	n-butyl	OH	6-dimethylamino-3-pyridyl
O	n-butyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
O	n-butyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
O	n-butyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
O	n-butyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
O	n-butyl	OH	2-piperidino-3-pyridyl
O	n-butyl	OH	4-piperidino-3-pyridyl
O	n-butyl	OH	5-piperidino-3-pyridyl
O	n-butyl	OH	6-piperidino-3-pyridyl
O	n-butyl	OH	2-morpholino-3-pyridyl
O	n-butyl	OH	4-morpholino-3-pyridyl
O	n-butyl	OH	5-morpholino-3-pyridyl
O	n-butyl	OH	6-morpholino-3-pyridyl
O	n-butyl	OH	2-hydroxy-3-pyridyl
O	n-butyl	OH	4-hydroxy-3-pyridyl
O	n-butyl	OH	5-hydroxy-3-pyridyl
O	n-butyl	OH	6-hydroxy-3-pyridyl

O	n-butyl	OH	2-mercapto-3-pyridyl
O	n-butyl	OH	4-mercapto-3-pyridyl
O	n-butyl	OH	5-mercapto-3-pyridyl
O	n-butyl	OH	6-mercapto-3-pyridyl
O	n-butyl	OH	2-methylthio-3-pyridyl
O	n-butyl	OH	4-methylthio-3-pyridyl
O	n-butyl	OH	5-methylthio-3-pyridyl
O	n-butyl	OH	6-methylthio-3-pyridyl
O	n-butyl	OH	2,6-dimethyl-3-pyridyl
O	n-butyl	OH	5,6-dimethyl-3-pyridyl
O	n-butyl	OH	2,6-diethyl-3-pyridyl
O	n-butyl	OH	5,6-diethyl-3-pyridyl
O	n-butyl	OH	2,6-dimethoxy-3-pyridyl
O	n-butyl	OH	5,6-dimethoxy-3-pyridyl
O	n-butyl	OH	2,6-diethoxy-3-pyridyl
O	n-butyl	OH	5,6-diethoxy-3-pyridyl
O	n-butyl	OH	2,6-dichloro-3-pyridyl
O	n-butyl	OH	5,6-dichloro-3-pyridyl
O	n-butyl	OH	5-chloro-6-methoxy-3-pyridyl
O	n-butyl	OH	5-chloro-6-ethoxy-3-pyridyl
O	n-butyl	OH	2-chloro-6-methyl-3-pyridyl
O	n-butyl	OH	6-chloro-2-methyl-3-pyridyl
O	n-butyl	OH	2-methyl-4-pyridyl
O	n-butyl	OH	2-ethyl-4-pyridyl
O	n-butyl	OH	2-methoxy-4-pyridyl
O	n-butyl	OH	2-ethoxy-4-pyridyl
O	n-butyl	OH	2-chloro-4-pyridyl
O	n-butyl	OH	2-dimethylamino-4-pyridyl
O	n-butyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
O	n-butyl	OH	2-piperidino-4-pyridyl
O	n-butyl	OH	2-morpholino-4-pyridyl
O	n-butyl	OH	2-methylthio-4-pyridyl
O	n-butyl	OH	2-pyrazinyl
O	n-butyl	OH	5-methyl-2-pyrazinyl
O	n-butyl	OH	5-ethyl-2-pyrazinyl
O	n-butyl	OH	5-methoxy-2-pyrazinyl
O	n-butyl	OH	5-ethoxy-2-pyrazinyl
O	n-butyl	OH	5-chloro-2-pyrazinyl
O	n-butyl	OH	6-methyl-2-pyrazinyl
O	n-butyl	OH	6-methoxy-2-pyrazinyl
O	n-butyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
0	n-butyl	OCOOMe	2-pyridyl
0	n-butyl	OCOOMe	3-pyridyl
0	n-butyl	OCOOMe	4-pyridyl
0	n-butyl	OCOOMe	2-methyl-3-pyridyl
0	n-butyl	OCOOMe	4-methyl-3-pyridyl
0	n-butyl	OCOOMe	5-methyl-3-pyridyl
0	n-butyl	OCOOMe	6-methyl-3-pyridyl
0	n-butyl	OCOOMe	2-ethyl-3-pyridyl
0	n-butyl	OCOOMe	4-ethyl-3-pyridyl
0	n-butyl	OCOOMe	5-ethyl-3-pyridyl
0	n-butyl	OCOOMe	6-ethyl-3-pyridyl
0	n-butyl	OCOOMe	2-methoxy-3-pyridyl
0	n-butyl	OCOOMe	4-methoxy-3-pyridyl
0	n-butyl	OCOOMe	5-methoxy-3-pyridyl
0	n-butyl	OCOOMe	6-methoxy-3-pyridyl
0	n-butyl	OCOOMe	2-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	4-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	5-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	6-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	2-chloro-3-pyridyl
0	n-butyl	OCOOMe	4-chloro-3-pyridyl
0	n-butyl	OCOOMe	5-chloro-3-pyridyl
0	n-butyl	OCOOMe	6-chloro-3-pyridyl
0	n-butyl	OCOOMe	2-fluoro-3-pyridyl
0	n-butyl	OCOOMe	4-fluoro-3-pyridyl
0	n-butyl	OCOOMe	5-fluoro-3-pyridyl
0	n-butyl	OCOOMe	6-fluoro-3-pyridyl
0	n-butyl	OCOOMe	2-dimethylamino-3-pyridyl
0	n-butyl	OCOOMe	4-dimethylamino-3-pyridyl
0	n-butyl	OCOOMe	5-dimethylamino-3-pyridyl
0	n-butyl	OCOOMe	6-dimethylamino-3-pyridyl
0	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOMe	2-piperidino-3-pyridyl
0	n-butyl	OCOOMe	4-piperidino-3-pyridyl
0	n-butyl	OCOOMe	5-piperidino-3-pyridyl
0	n-butyl	OCOOMe	6-piperidino-3-pyridyl
0	n-butyl	OCOOMe	2-morpholino-3-pyridyl
0	n-butyl	OCOOMe	4-morpholino-3-pyridyl
0	n-butyl	OCOOMe	5-morpholino-3-pyridyl
0	n-butyl	OCOOMe	6-morpholino-3-pyridyl
0	n-butyl	OCOOMe	2-hydroxy-3-pyridyl
0	n-butyl	OCOOMe	4-hydroxy-3-pyridyl
0	n-butyl	OCOOMe	5-hydroxy-3-pyridyl
0	n-butyl	OCOOMe	6-hydroxy-3-pyridyl

0	n-butyl	OCOOMe	2-mercapto-3-pyridyl
0	n-butyl	OCOOMe	4-mercapto-3-pyridyl
0	n-butyl	OCOOMe	5-mercapto-3-pyridyl
0	n-butyl	OCOOMe	6-mercapto-3-pyridyl
0	n-butyl	OCOOMe	2-methylthio-3-pyridyl
0	n-butyl	OCOOMe	4-methylthio-3-pyridyl
0	n-butyl	OCOOMe	5-methylthio-3-pyridyl
0	n-butyl	OCOOMe	6-methylthio-3-pyridyl
0	n-butyl	OCOOMe	2,6-dimethyl-3-pyridyl
0	n-butyl	OCOOMe	5,6-dimethyl-3-pyridyl
0	n-butyl	OCOOMe	2,6-diethyl-3-pyridyl
0	n-butyl	OCOOMe	5,6-diethyl-3-pyridyl
0	n-butyl	OCOOMe	2,6-dimethoxy-3-pyridyl
0	n-butyl	OCOOMe	5,6-dimethoxy-3-pyridyl
0	n-butyl	OCOOMe	2,6-diethoxy-3-pyridyl
0	n-butyl	OCOOMe	5,6-diethoxy-3-pyridyl
0	n-butyl	OCOOMe	2,6-dichloro-3-pyridyl
0	n-butyl	OCOOMe	5,6-dichloro-3-pyridyl
0	n-butyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
0	n-butyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
0	n-butyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
0	n-butyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
0	n-butyl	OCOOMe	2-methyl-4-pyridyl
0	n-butyl	OCOOMe	2-ethyl-4-pyridyl
0	n-butyl	OCOOMe	2-methoxy-4-pyridyl
0	n-butyl	OCOOMe	2-ethoxy-4-pyridyl
0	n-butyl	OCOOMe	2-chloro-4-pyridyl
0	n-butyl	OCOOMe	2-dimethylamino-4-pyridyl
0	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
0	n-butyl	OCOOMe	2-piperidino-4-pyridyl
0	n-butyl	OCOOMe	2-morpholino-4-pyridyl
0	n-butyl	OCOOMe	2-methylthio-4-pyridyl
0	n-butyl	OCOOMe	2-pyrazinyl
0	n-butyl	OCOOMe	5-methyl-2-pyrazinyl
0	n-butyl	OCOOMe	5-ethyl-2-pyrazinyl
0	n-butyl	OCOOMe	5-methoxy-2-pyrazinyl
0	n-butyl	OCOOMe	5-ethoxy-2-pyrazinyl
0	n-butyl	OCOOMe	5-chloro-2-pyrazinyl
0	n-butyl	OCOOMe	6-methyl-2-pyrazinyl
0	n-butyl	OCOOMe	6-methoxy-2-pyrazinyl
0	n-butyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
0	n-butyl	OCOOEt	2-pyridyl
0	n-butyl	OCOOEt	3-pyridyl
0	n-butyl	OCOOEt	4-pyridyl
0	n-butyl	OCOOEt	2-methyl-3-pyridyl
0	n-butyl	OCOOEt	4-methyl-3-pyridyl
0	n-butyl	OCOOEt	5-methyl-3-pyridyl
0	n-butyl	OCOOEt	6-methyl-3-pyridyl
0	n-butyl	OCOOEt	2-ethyl-3-pyridyl
0	n-butyl	OCOOEt	4-ethyl-3-pyridyl
0	n-butyl	OCOOEt	5-ethyl-3-pyridyl
0	n-butyl	OCOOEt	6-ethyl-3-pyridyl
0	n-butyl	OCOOEt	2-methoxy-3-pyridyl
0	n-butyl	OCOOEt	4-methoxy-3-pyridyl
0	n-butyl	OCOOEt	5-methoxy-3-pyridyl
0	n-butyl	OCOOEt	6-methoxy-3-pyridyl
0	n-butyl	OCOOEt	2-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	4-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	5-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	6-ethoxy-3-pyridyl
0	n-butyl	OCOOEt	2-chloro-3-pyridyl
0	n-butyl	OCOOEt	4-chloro-3-pyridyl
0	n-butyl	OCOOEt	5-chloro-3-pyridyl
0	n-butyl	OCOOEt	6-chloro-3-pyridyl
0	n-butyl	OCOOEt	2-fluoro-3-pyridyl
0	n-butyl	OCOOEt	4-fluoro-3-pyridyl
0	n-butyl	OCOOEt	5-fluoro-3-pyridyl
0	n-butyl	OCOOEt	6-fluoro-3-pyridyl
0	n-butyl	OCOOEt	2-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	4-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	5-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	6-dimethylamino-3-pyridyl
0	n-butyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
0	n-butyl	OCOOEt	2-piperidino-3-pyridyl
0	n-butyl	OCOOEt	4-piperidino-3-pyridyl
0	n-butyl	OCOOEt	5-piperidino-3-pyridyl
0	n-butyl	OCOOEt	6-piperidino-3-pyridyl
0	n-butyl	OCOOEt	2-morpholino-3-pyridyl
0	n-butyl	OCOOEt	4-morpholino-3-pyridyl
0	n-butyl	OCOOEt	5-morpholino-3-pyridyl
0	n-butyl	OCOOEt	6-morpholino-3-pyridyl
0	n-butyl	OCOOEt	2-hydroxy-3-pyridyl
0	n-butyl	OCOOEt	4-hydroxy-3-pyridyl
0	n-butyl	OCOOEt	5-hydroxy-3-pyridyl
0	n-butyl	OCOOEt	6-hydroxy-3-pyridyl



O	n-butyl	OCOEt	2-mercapto-3-pyridyl
O	n-butyl	OCOEt	4-mercapto-3-pyridyl
O	n-butyl	OCOEt	5-mercapto-3-pyridyl
O	n-butyl	OCOEt	6-mercapto-3-pyridyl
O	n-butyl	OCOEt	2-methylthio-3-pyridyl
O	n-butyl	OCOEt	4-methylthio-3-pyridyl
O	n-butyl	OCOEt	5-methylthio-3-pyridyl
O	n-butyl	OCOEt	6-methylthio-3-pyridyl
O	n-butyl	OCOEt	2,6-dimethyl-3-pyridyl
O	n-butyl	OCOEt	5,6-dimethyl-3-pyridyl
O	n-butyl	OCOEt	2,6-diethyl-3-pyridyl
O	n-butyl	OCOEt	5,6-diethyl-3-pyridyl
O	n-butyl	OCOEt	2,6-dimethoxy-3-pyridyl
O	n-butyl	OCOEt	5,6-dimethoxy-3-pyridyl
O	n-butyl	OCOEt	2,6-diethoxy-3-pyridyl
O	n-butyl	OCOEt	5,6-diethoxy-3-pyridyl
O	n-butyl	OCOEt	2,6-dichloro-3-pyridyl
O	n-butyl	OCOEt	5,6-dichloro-3-pyridyl
O	n-butyl	OCOEt	5-chloro-6-methoxy-3-pyridyl
O	n-butyl	OCOEt	5-chloro-6-ethoxy-3-pyridyl
O	n-butyl	OCOEt	2-chloro-6-methyl-3-pyridyl
O	n-butyl	OCOEt	6-chloro-2-methyl-3-pyridyl
O	n-butyl	OCOEt	2-methyl-4-pyridyl
O	n-butyl	OCOEt	2-ethyl-4-pyridyl
O	n-butyl	OCOEt	2-methoxy-4-pyridyl
O	n-butyl	OCOEt	2-ethoxy-4-pyridyl
O	n-butyl	OCOEt	2-chloro-4-pyridyl
O	n-butyl	OCOEt	2-dimethylamino-4-pyridyl
O	n-butyl	OCOEt	2-(1-pyrrolidinyl)-4-pyridyl
O	n-butyl	OCOEt	2-piperidino-4-pyridyl
O	n-butyl	OCOEt	2-morpholino-4-pyridyl
O	n-butyl	OCOEt	2-methylthio-4-pyridyl
O	n-butyl	OCOEt	2-pyrazinyl
O	n-butyl	OCOEt	5-methyl-2-pyrazinyl
O	n-butyl	OCOEt	5-ethyl-2-pyrazinyl
O	n-butyl	OCOEt	5-methoxy-2-pyrazinyl
O	n-butyl	OCOEt	5-ethoxy-2-pyrazinyl
O	n-butyl	OCOEt	5-chloro-2-pyrazinyl
O	n-butyl	OCOEt	6-methyl-2-pyrazinyl
O	n-butyl	OCOEt	6-methoxy-2-pyrazinyl
O	n-butyl	OCOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-pentyl	OH	2-pyridyl
O	n-pentyl	OH	3-pyridyl
O	n-pentyl	OH	4-pyridyl
O	n-pentyl	OH	2-methyl-3-pyridyl
O	n-pentyl	OH	4-methyl-3-pyridyl
O	n-pentyl	OH	5-methyl-3-pyridyl
O	n-pentyl	OH	6-methyl-3-pyridyl
O	n-pentyl	OH	2-ethyl-3-pyridyl
O	n-pentyl	OH	4-ethyl-3-pyridyl
O	n-pentyl	OH	5-ethyl-3-pyridyl
O	n-pentyl	OH	6-ethyl-3-pyridyl
O	n-pentyl	OH	2-methoxy-3-pyridyl
O	n-pentyl	OH	4-methoxy-3-pyridyl
O	n-pentyl	OH	5-methoxy-3-pyridyl
O	n-pentyl	OH	6-methoxy-3-pyridyl
O	n-pentyl	OH	2-ethoxy-3-pyridyl
O	n-pentyl	OH	4-ethoxy-3-pyridyl
O	n-pentyl	OH	5-ethoxy-3-pyridyl
O	n-pentyl	OH	6-ethoxy-3-pyridyl
O	n-pentyl	OH	2-chloro-3-pyridyl
O	n-pentyl	OH	4-chloro-3-pyridyl
O	n-pentyl	OH	5-chloro-3-pyridyl
O	n-pentyl	OH	6-chloro-3-pyridyl
O	n-pentyl	OH	2-fluoro-3-pyridyl
O	n-pentyl	OH	4-fluoro-3-pyridyl
O	n-pentyl	OH	5-fluoro-3-pyridyl
O	n-pentyl	OH	6-fluoro-3-pyridyl
O	n-pentyl	OH	2-dimethylamino-3-pyridyl
O	n-pentyl	OH	4-dimethylamino-3-pyridyl
O	n-pentyl	OH	5-dimethylamino-3-pyridyl
O	n-pentyl	OH	6-dimethylamino-3-pyridyl
O	n-pentyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OH	2-piperidino-3-pyridyl
O	n-pentyl	OH	4-piperidino-3-pyridyl
O	n-pentyl	OH	5-piperidino-3-pyridyl
O	n-pentyl	OH	6-piperidino-3-pyridyl
O	n-pentyl	OH	2-morpholino-3-pyridyl
O	n-pentyl	OH	4-morpholino-3-pyridyl
O	n-pentyl	OH	5-morpholino-3-pyridyl
O	n-pentyl	OH	6-morpholino-3-pyridyl
O	n-pentyl	OH	2-hydroxy-3-pyridyl
O	n-pentyl	OH	4-hydroxy-3-pyridyl
O	n-pentyl	OH	5-hydroxy-3-pyridyl
O	n-pentyl	OH	6-hydroxy-3-pyridyl

Q	n-pentyl	OH	2-mercapto-3-pyridyl
O	n-pentyl	OH	4-mercapto-3-pyridyl
O	n-pentyl	OH	5-mercapto-3-pyridyl
O	n-pentyl	OH	6-mercapto-3-pyridyl
O	n-pentyl	OH	2-methylthio-3-pyridyl
O	n-pentyl	OH	4-methylthio-3-pyridyl
O	n-pentyl	OH	5-methylthio-3-pyridyl
O	n-pentyl	OH	6-methylthio-3-pyridyl
O	n-pentyl	OH	2,6-dimethyl-3-pyridyl
O	n-pentyl	OH	5,6-dimethyl-3-pyridyl
O	n-pentyl	OH	2,6-diethyl-3-pyridyl
O	n-pentyl	OH	5,6-diethyl-3-pyridyl
O	n-pentyl	OH	2,6-dimethoxy-3-pyridyl
O	n-pentyl	OH	5,6-dimethoxy-3-pyridyl
O	n-pentyl	OH	2,6-diethoxy-3-pyridyl
O	n-pentyl	OH	5,6-diethoxy-3-pyridyl
O	n-pentyl	OH	2,6-dichloro-3-pyridyl
O	n-pentyl	OH	5,6-dichloro-3-pyridyl
O	n-pentyl	OH	5-chloro-6-methoxy-3-pyridyl
O	n-pentyl	OH	5-chloro-6-ethoxy-3-pyridyl
O	n-pentyl	OH	2-chloro-6-methyl-3-pyridyl
O	n-pentyl	OH	6-chloro-2-methyl-3-pyridyl
O	n-pentyl	OH	2-methyl-4-pyridyl
O	n-pentyl	OH	2-ethyl-4-pyridyl
O	n-pentyl	OH	2-methoxy-4-pyridyl
O	n-pentyl	OH	2-ethoxy-4-pyridyl
O	n-pentyl	OH	2-chloro-4-pyridyl
O	n-pentyl	OH	2-dimethylamino-4-pyridyl
O	n-pentyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
O	n-pentyl	OH	2-piperidino-4-pyridyl
O	n-pentyl	OH	2-morpholino-4-pyridyl
O	n-pentyl	OH	2-methylthio-4-pyridyl
O	n-pentyl	OH	2-pyrazinyl
O	n-pentyl	OH	5-methyl-2-pyrazinyl
O	n-pentyl	OH	5-ethyl-2-pyrazinyl
O	n-pentyl	OH	6-methoxy-2-pyrazinyl
O	n-pentyl	OH	6-ethoxy-2-pyrazinyl
O	n-pentyl	OH	6-chloro-2-pyrazinyl
O	n-pentyl	OH	6-methyl-2-pyrazinyl
O	n-pentyl	OH	6-methoxy-2-pyrazinyl
O	n-pentyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-pentyl	OCOOMe	2-pyridyl
O	n-pentyl	OCOOMe	3-pyridyl
O	n-pentyl	OCOOMe	4-pyridyl
O	n-pentyl	OCOOMe	2-methyl-3-pyridyl
O	n-pentyl	OCOOMe	4-methyl-3-pyridyl
O	n-pentyl	OCOOMe	5-methyl-3-pyridyl
O	n-pentyl	OCOOMe	6-methyl-3-pyridyl
O	n-pentyl	OCOOMe	2-ethyl-3-pyridyl
O	n-pentyl	OCOOMe	4-ethyl-3-pyridyl
O	n-pentyl	OCOOMe	5-ethyl-3-pyridyl
O	n-pentyl	OCOOMe	6-ethyl-3-pyridyl
O	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
O	n-pentyl	OCOOMe	4-methoxy-3-pyridyl
O	n-pentyl	OCOOMe	5-methoxy-3-pyridyl
O	n-pentyl	OCOOMe	6-methoxy-3-pyridyl
O	n-pentyl	OCOOMe	2-ethoxy-3-pyridyl
O	n-pentyl	OCOOMe	4-ethoxy-3-pyridyl
O	n-pentyl	OCOOMe	5-ethoxy-3-pyridyl
O	n-pentyl	OCOOMe	6-ethoxy-3-pyridyl
O	n-pentyl	OCOOMe	2-chloro-3-pyridyl
O	n-pentyl	OCOOMe	4-chloro-3-pyridyl
O	n-pentyl	OCOOMe	5-chloro-3-pyridyl
O	n-pentyl	OCOOMe	6-chloro-3-pyridyl
O	n-pentyl	OCOOMe	2-fluoro-3-pyridyl
O	n-pentyl	OCOOMe	4-fluoro-3-pyridyl
O	n-pentyl	OCOOMe	5-fluoro-3-pyridyl
O	n-pentyl	OCOOMe	6-fluoro-3-pyridyl
O	n-pentyl	OCOOMe	2-dimethylamino-3-pyridyl
O	n-pentyl	OCOOMe	4-dimethylamino-3-pyridyl
O	n-pentyl	OCOOMe	5-dimethylamino-3-pyridyl
O	n-pentyl	OCOOMe	6-dimethylamino-3-pyridyl
O	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOOMe	2-piperidino-3-pyridyl
O	n-pentyl	OCOOMe	4-piperidino-3-pyridyl
O	n-pentyl	OCOOMe	5-piperidino-3-pyridyl
O	n-pentyl	OCOOMe	6-piperidino-3-pyridyl
O	n-pentyl	OCOOMe	2-morpholino-3-pyridyl
O	n-pentyl	OCOOMe	4-morpholino-3-pyridyl
O	n-pentyl	OCOOMe	5-morpholino-3-pyridyl
O	n-pentyl	OCOOMe	6-morpholino-3-pyridyl
O	n-pentyl	OCOOMe	2-hydroxy-3-pyridyl
O	n-pentyl	OCOOMe	4-hydroxy-3-pyridyl
O	n-pentyl	OCOOMe	5-hydroxy-3-pyridyl
O	n-pentyl	OCOOMe	6-hydroxy-3-pyridyl

O	n-pentyl	OCOOMe	2-mercapto-3-pyridyl
O	n-pentyl	OCOOMe	4-mercapto-3-pyridyl
O	n-pentyl	OCOOMe	5-mercapto-3-pyridyl
O	n-pentyl	OCOOMe	6-mercapto-3-pyridyl
O	n-pentyl	OCOOMe	2-methylthio-3-pyridyl
O	n-pentyl	OCOOMe	4-methylthio-3-pyridyl
O	n-pentyl	OCOOMe	5-methylthio-3-pyridyl
O	n-pentyl	OCOOMe	6-methylthio-3-pyridyl
O	n-pentyl	OCOOMe	2,6-dimethyl-3-pyridyl
O	n-pentyl	OCOOMe	5,6-dimethyl-3-pyridyl
O	n-pentyl	OCOOMe	2,6-diethyl-3-pyridyl
O	n-pentyl	OCOOMe	5,6-diethyl-3-pyridyl
O	n-pentyl	OCOOMe	2,6-dimethoxy-3-pyridyl
O	n-pentyl	OCOOMe	5,6-dimethoxy-3-pyridyl
O	n-pentyl	OCOOMe	2,6-diethoxy-3-pyridyl
O	n-pentyl	OCOOMe	5,6-diethoxy-3-pyridyl
O	n-pentyl	OCOOMe	2,6-dichloro-3-pyridyl
O	n-pentyl	OCOOMe	5,6-dichloro-3-pyridyl
O	n-pentyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
O	n-pentyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
O	n-pentyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
O	n-pentyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
O	n-pentyl	OCOOMe	2-methyl-4-pyridyl
O	n-pentyl	OCOOMe	2-ethyl-4-pyridyl
O	n-pentyl	OCOOMe	2-methoxy-4-pyridyl
O	n-pentyl	OCOOMe	2-ethoxy-4-pyridyl
O	n-pentyl	OCOOMe	2-chloro-4-pyridyl
O	n-pentyl	OCOOMe	2-dimethylamino-4-pyridyl
O	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
O	n-pentyl	OCOOMe	2-piperidino-4-pyridyl
O	n-pentyl	OCOOMe	2-morpholino-4-pyridyl
O	n-pentyl	OCOOMe	2-methylthio-4-pyridyl
O	n-pentyl	OCOOMe	2-pyrazinyl
O	n-pentyl	OCOOMe	5-methyl-2-pyrazinyl
O	n-pentyl	OCOOMe	5-ethyl-2-pyrazinyl
O	n-pentyl	OCOOMe	5-methoxy-2-pyrazinyl
O	n-pentyl	OCOOMe	5-ethoxy-2-pyrazinyl
O	n-pentyl	OCOOMe	5-chloro-2-pyrazinyl
O	n-pentyl	OCOOMe	6-methyl-2-pyrazinyl
O	n-pentyl	OCOOMe	6-methoxy-2-pyrazinyl
O	n-pentyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-pentyl	OCOEt	2-pyridyl
O	n-pentyl	OCOEt	3-pyridyl
O	n-pentyl	OCOEt	4-pyridyl
O	n-pentyl	OCOEt	2-methyl-3-pyridyl
O	n-pentyl	OCOEt	4-methyl-3-pyridyl
O	n-pentyl	OCOEt	5-methyl-3-pyridyl
O	n-pentyl	OCOEt	6-methyl-3-pyridyl
O	n-pentyl	OCOEt	2-ethyl-3-pyridyl
O	n-pentyl	OCOEt	4-ethyl-3-pyridyl
O	n-pentyl	OCOEt	5-ethyl-3-pyridyl
O	n-pentyl	OCOEt	6-ethyl-3-pyridyl
O	n-pentyl	OCOEt	2-methoxy-3-pyridyl
O	n-pentyl	OCOEt	4-methoxy-3-pyridyl
O	n-pentyl	OCOEt	5-methoxy-3-pyridyl
O	n-pentyl	OCOEt	6-methoxy-3-pyridyl
O	n-pentyl	OCOEt	2-ethoxy-3-pyridyl
O	n-pentyl	OCOEt	4-ethoxy-3-pyridyl
O	n-pentyl	OCOEt	5-ethoxy-3-pyridyl
O	n-pentyl	OCOEt	6-ethoxy-3-pyridyl
O	n-pentyl	OCOEt	2-chloro-3-pyridyl
O	n-pentyl	OCOEt	4-chloro-3-pyridyl
O	n-pentyl	OCOEt	5-chloro-3-pyridyl
O	n-pentyl	OCOEt	6-chloro-3-pyridyl
O	n-pentyl	OCOEt	2-fluoro-3-pyridyl
O	n-pentyl	OCOEt	4-fluoro-3-pyridyl
O	n-pentyl	OCOEt	5-fluoro-3-pyridyl
O	n-pentyl	OCOEt	6-fluoro-3-pyridyl
O	n-pentyl	OCOEt	2-dimethylamino-3-pyridyl
O	n-pentyl	OCOEt	4-dimethylamino-3-pyridyl
O	n-pentyl	OCOEt	5-dimethylamino-3-pyridyl
O	n-pentyl	OCOEt	6-dimethylamino-3-pyridyl
O	n-pentyl	OCOEt	2-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOEt	3-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOEt	5-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOEt	6-(1-pyrrolidinyl)-3-pyridyl
O	n-pentyl	OCOEt	2-piperidino-3-pyridyl
O	n-pentyl	OCOEt	4-piperidino-3-pyridyl
O	n-pentyl	OCOEt	5-piperidino-3-pyridyl
O	n-pentyl	OCOEt	6-piperidino-3-pyridyl
O	n-pentyl	OCOEt	2-morpholino-3-pyridyl
O	n-pentyl	OCOEt	4-morpholino-3-pyridyl
O	n-pentyl	OCOEt	5-morpholino-3-pyridyl
O	n-pentyl	OCOEt	6-morpholino-3-pyridyl
O	n-pentyl	OCOEt	2-hydroxy-3-pyridyl
O	n-pentyl	OCOEt	4-hydroxy-3-pyridyl
O	n-pentyl	OCOEt	5-hydroxy-3-pyridyl
O	n-pentyl	OCOEt	6-hydroxy-3-pyridyl

O	n-pentyl	OCOOEt	2-mercapto-3-pyridyl
O	n-pentyl	OCOOEt	4-mercapto-3-pyridyl
O	n-pentyl	OCOOEt	6-mercapto-3-pyridyl
O	n-pentyl	OCOOEt	6-mercapto-3-pyridyl
O	n-pentyl	OCOOEt	2-methylthio-3-pyridyl
O	n-pentyl	OCOOEt	4-methylthio-3-pyridyl
O	n-pentyl	OCOOEt	5-methylthio-3-pyridyl
O	n-pentyl	OCOOEt	6-methylthio-3-pyridyl
O	n-pentyl	OCOOEt	2,6-dimethyl-3-pyridyl
O	n-pentyl	OCOOEt	5,6-dimethyl-3-pyridyl
O	n-pentyl	OCOOEt	2,6-diethyl-3-pyridyl
O	n-pentyl	OCOOEt	5,6-diethyl-3-pyridyl
O	n-pentyl	OCOOEt	2,6-dimethoxy-3-pyridyl
O	n-pentyl	OCOOEt	5,6-dimethoxy-3-pyridyl
O	n-pentyl	OCOOEt	2,6-diethoxy-3-pyridyl
O	n-pentyl	OCOOEt	5,6-diethoxy-3-pyridyl
O	n-pentyl	OCOOEt	2,6-dichloro-3-pyridyl
O	n-pentyl	OCOOEt	5,6-dichloro-3-pyridyl
O	n-pentyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
O	n-pentyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
O	n-pentyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
O	n-pentyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
O	n-pentyl	OCOOEt	2-methyl-4-pyridyl
O	n-pentyl	OCOOEt	2-ethyl-4-pyridyl
O	n-pentyl	OCOOEt	2-methoxy-4-pyridyl
O	n-pentyl	OCOOEt	2-ethoxy-4-pyridyl
O	n-pentyl	OCOOEt	2-chloro-4-pyridyl
O	n-pentyl	OCOOEt	2-dimethylamino-4-pyridyl
O	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
O	n-pentyl	OCOOEt	2-piperidino-4-pyridyl
O	n-pentyl	OCOOEt	2-morpholino-4-pyridyl
O	n-pentyl	OCOOEt	2-methylthio-4-pyridyl
O	n-pentyl	OCOOEt	2-pyrazinyl
O	n-pentyl	OCOOEt	5-methyl-2-pyrazinyl
O	n-pentyl	OCOOEt	6-ethyl-2-pyrazinyl
O	n-pentyl	OCOOEt	5-methoxy-2-pyrazinyl
O	n-pentyl	OCOOEt	5-ethoxy-2-pyrazinyl
O	n-pentyl	OCOOEt	5-chloro-2-pyrazinyl
O	n-pentyl	OCOOEt	6-methyl-2-pyrazinyl
O	n-pentyl	OCOOEt	6-methoxy-2-pyrazinyl
O	n-pentyl	OCOOEt	6-chloro-2-pyrazinyl

X	R <sub>1</sub>	R <sub>2</sub>	Y
S	propyl	OH	2-pyridyl
S	propyl	OH	3-pyridyl
S	propyl	OH	4-pyridyl
S	propyl	OH	2-methyl-3-pyridyl
S	propyl	OH	4-methyl-3-pyridyl
S	propyl	OH	5-methyl-3-pyridyl
S	propyl	OH	6-methyl-3-pyridyl
S	propyl	OH	2-ethyl-3-pyridyl
S	propyl	OH	4-ethyl-3-pyridyl
S	propyl	OH	5-ethyl-3-pyridyl
S	propyl	OH	6-ethyl-3-pyridyl
S	propyl	OH	2-methoxy-3-pyridyl
S	propyl	OH	4-methoxy-3-pyridyl
S	propyl	OH	5-methoxy-3-pyridyl
S	propyl	OH	6-methoxy-3-pyridyl
S	propyl	OH	2-ethoxy-3-pyridyl
S	propyl	OH	4-ethoxy-3-pyridyl
S	propyl	OH	5-ethoxy-3-pyridyl
S	propyl	OH	6-ethoxy-3-pyridyl
S	propyl	OH	2-chloro-3-pyridyl
S	propyl	OH	4-chloro-3-pyridyl
S	propyl	OH	5-chloro-3-pyridyl
S	propyl	OH	6-chloro-3-pyridyl
S	propyl	OH	2-fluoro-3-pyridyl
S	propyl	OH	4-fluoro-3-pyridyl
S	propyl	OH	5-fluoro-3-pyridyl
S	propyl	OH	6-fluoro-3-pyridyl
S	propyl	OH	2-dimethylamino-3-pyridyl
S	propyl	OH	4-dimethylamino-3-pyridyl
S	propyl	OH	5-dimethylamino-3-pyridyl
S	propyl	OH	6-dimethylamino-3-pyridyl
S	propyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OH	2-piperidino-3-pyridyl
S	propyl	OH	4-piperidino-3-pyridyl
S	propyl	OH	5-piperidino-3-pyridyl
S	propyl	OH	6-piperidino-3-pyridyl
S	propyl	OH	2-morpholino-3-pyridyl
S	propyl	OH	4-morpholino-3-pyridyl
S	propyl	OH	5-morpholino-3-pyridyl
S	propyl	OH	6-morpholino-3-pyridyl
S	propyl	OH	2-hydroxy-3-pyridyl
S	propyl	OH	4-hydroxy-3-pyridyl
S	propyl	OH	5-hydroxy-3-pyridyl
S	propyl	OH	6-hydroxy-3-pyridyl



S	propyl	OH	2-mercapto-3-pyridyl
S	propyl	OH	4-mercapto-3-pyridyl
S	propyl	OH	5-mercapto-3-pyridyl
S	propyl	OH	6-mercapto-3-pyridyl
S	propyl	OH	2-methylthio-3-pyridyl
S	propyl	OH	4-methylthio-3-pyridyl
S	propyl	OH	5-methylthio-3-pyridyl
S	propyl	OH	6-methylthio-3-pyridyl
S	propyl	OH	2,6-dimethyl-3-pyridyl
S	propyl	OH	5,6-dimethyl-3-pyridyl
S	propyl	OH	2,6-diethyl-3-pyridyl
S	propyl	OH	5,6-diethyl-3-pyridyl
S	propyl	OH	2,6-dimethoxy-3-pyridyl
S	propyl	OH	5,6-dimethoxy-3-pyridyl
S	propyl	OH	2,6-diethoxy-3-pyridyl
S	propyl	OH	5,6-diethoxy-3-pyridyl
S	propyl	OH	2,6-dichloro-3-pyridyl
S	propyl	OH	5,6-dichloro-3-pyridyl
S	propyl	OH	5-chloro-6-methoxy-3-pyridyl
S	propyl	OH	5-chloro-6-ethoxy-3-pyridyl
S	propyl	OH	2-chloro-6-methyl-3-pyridyl
S	propyl	OH	6-chloro-2-methyl-3-pyridyl
S	propyl	OH	2-methyl-4-pyridyl
S	propyl	OH	2-ethyl-4-pyridyl
S	propyl	OH	2-methoxy-4-pyridyl
S	propyl	OH	2-ethoxy-4-pyridyl
S	propyl	OH	2-chloro-4-pyridyl
S	propyl	OH	2-dimethylamino-4-pyridyl
S	propyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
S	propyl	OH	2-piperidino-4-pyridyl
S	propyl	OH	2-morpholino-4-pyridyl
S	propyl	OH	2-methylthio-4-pyridyl
S	propyl	OH	2-pyrazinyl
S	propyl	OH	5-methyl-2-pyrazinyl
S	propyl	OH	6-ethyl-2-pyrazinyl
S	propyl	OH	5-methoxy-2-pyrazinyl
S	propyl	OH	6-ethoxy-2-pyrazinyl
S	propyl	OH	5-chloro-2-pyrazinyl
S	propyl	OH	6-methyl-2-pyrazinyl
S	propyl	OH	6-methoxy-2-pyrazinyl
S	propyl	OH	6-chloro-2-pyrazinyl

X	R <sub>1</sub>	R <sub>2</sub>	Y
S	propyl	OCOOMe	2-pyridyl
S	propyl	OCOOMe	3-pyridyl
S	propyl	OCOOMe	4-pyridyl
S	propyl	OCOOMe	2-methyl-3-pyridyl
S	propyl	OCOOMe	4-methyl-3-pyridyl
S	propyl	OCOOMe	5-methyl-3-pyridyl
S	propyl	OCOOMe	6-methyl-3-pyridyl
S	propyl	OCOOMe	2-ethyl-3-pyridyl
S	propyl	OCOOMe	4-ethyl-3-pyridyl
S	propyl	OCOOMe	5-ethyl-3-pyridyl
S	propyl	OCOOMe	6-ethyl-3-pyridyl
S	propyl	OCOOMe	2-methoxy-3-pyridyl
S	propyl	OCOOMe	4-methoxy-3-pyridyl
S	propyl	OCOOMe	5-methoxy-3-pyridyl
S	propyl	OCOOMe	6-methoxy-3-pyridyl
S	propyl	OCOOMe	2-ethoxy-3-pyridyl
S	propyl	OCOOMe	4-ethoxy-3-pyridyl
S	propyl	OCOOMe	5-ethoxy-3-pyridyl
S	propyl	OCOOMe	6-ethoxy-3-pyridyl
S	propyl	OCOOMe	2-chloro-3-pyridyl
S	propyl	OCOOMe	4-chloro-3-pyridyl
S	propyl	OCOOMe	5-chloro-3-pyridyl
S	propyl	OCOOMe	6-chloro-3-pyridyl
S	propyl	OCOOMe	2-fluoro-3-pyridyl
S	propyl	OCOOMe	4-fluoro-3-pyridyl
S	propyl	OCOOMe	5-fluoro-3-pyridyl
S	propyl	OCOOMe	6-fluoro-3-pyridyl
S	propyl	OCOOMe	2-dimethylamino-3-pyridyl
S	propyl	OCOOMe	4-dimethylamino-3-pyridyl
S	propyl	OCOOMe	5-dimethylamino-3-pyridyl
S	propyl	OCOOMe	6-dimethylamino-3-pyridyl
S	propyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOOMe	2-piperidino-3-pyridyl
S	propyl	OCOOMe	4-piperidino-3-pyridyl
S	propyl	OCOOMe	5-piperidino-3-pyridyl
S	propyl	OCOOMe	6-piperidino-3-pyridyl
S	propyl	OCOOMe	2-morpholino-3-pyridyl
S	propyl	OCOOMe	4-morpholino-3-pyridyl
S	propyl	OCOOMe	5-morpholino-3-pyridyl
S	propyl	OCOOMe	6-morpholino-3-pyridyl
S	propyl	OCOOMe	2-hydroxy-3-pyridyl
S	propyl	OCOOMe	4-hydroxy-3-pyridyl
S	propyl	OCOOMe	5-hydroxy-3-pyridyl
S	propyl	OCOOMe	6-hydroxy-3-pyridyl

S	propyl	OCOOMe	2-mercapto-3-pyridyl
S	propyl	OCOOMe	4-mercapto-3-pyridyl
S	propyl	OCOOMe	5-mercapto-3-pyridyl
S	propyl	OCOOMe	6-mercapto-3-pyridyl
S	propyl	OCOOMe	2-methylthio-3-pyridyl
S	propyl	OCOOMe	4-methylthio-3-pyridyl
S	propyl	OCOOMe	5-methylthio-3-pyridyl
S	propyl	OCOOMe	6-methylthio-3-pyridyl
S	propyl	OCOOMe	2,6-dimethyl-3-pyridyl
S	propyl	OCOOMe	5,6-dimethyl-3-pyridyl
S	propyl	OCOOMe	2,6-diethyl-3-pyridyl
S	propyl	OCOOMe	5,6-diethyl-3-pyridyl
S	propyl	OCOOMe	2,6-dimethoxy-3-pyridyl
S	propyl	OCOOMe	5,6-dimethoxy-3-pyridyl
S	propyl	OCOOMe	2,6-diethoxy-3-pyridyl
S	propyl	OCOOMe	5,6-diethoxy-3-pyridyl
S	propyl	OCOOMe	2,6-dichloro-3-pyridyl
S	propyl	OCOOMe	5,6-dichloro-3-pyridyl
S	propyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
S	propyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
S	propyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
S	propyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
S	propyl	OCOOMe	2-methyl-4-pyridyl
S	propyl	OCOOMe	2-ethyl-4-pyridyl
S	propyl	OCOOMe	2-methoxy-4-pyridyl
S	propyl	OCOOMe	2-ethoxy-4-pyridyl
S	propyl	OCOOMe	2-chloro-4-pyridyl
S	propyl	OCOOMe	2-dimethylamino-4-pyridyl
S	propyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
S	propyl	OCOOMe	2-piperidino-4-pyridyl
S	propyl	OCOOMe	2-morpholino-4-pyridyl
S	propyl	OCOOMe	2-methylthio-4-pyridyl
S	propyl	OCOOMe	2-pyrazinyl
S	propyl	OCOOMe	5-methyl-2-pyrazinyl
S	propyl	OCOOMe	5-ethyl-2-pyrazinyl
S	propyl	OCOOMe	5-methoxy-2-pyrazinyl
S	propyl	OCOOMe	5-ethoxy-2-pyrazinyl
S	propyl	OCOOMe	5-chloro-2-pyrazinyl
S	propyl	OCOOMe	6-methyl-2-pyrazinyl
S	propyl	OCOOMe	6-methoxy-2-pyrazinyl
S	propyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sub>1</sub>	R <sub>2</sub>	Y
S	propyl	OCOEt	2-pyridyl
S	propyl	OCOEt	3-pyridyl
S	propyl	OCOEt	4-pyridyl
S	propyl	OCOEt	2-methyl-3-pyridyl
S	propyl	OCOEt	4-methyl-3-pyridyl
S	propyl	OCOEt	5-methyl-3-pyridyl
S	propyl	OCOEt	6-methyl-3-pyridyl
S	propyl	OCOEt	2-ethyl-3-pyridyl
S	propyl	OCOEt	4-ethyl-3-pyridyl
S	propyl	OCOEt	5-ethyl-3-pyridyl
S	propyl	OCOEt	6-ethyl-3-pyridyl
S	propyl	OCOEt	2-methoxy-3-pyridyl
S	propyl	OCOEt	4-methoxy-3-pyridyl
S	propyl	OCOEt	5-methoxy-3-pyridyl
S	propyl	OCOEt	6-methoxy-3-pyridyl
S	propyl	OCOEt	2-ethoxy-3-pyridyl
S	propyl	OCOEt	4-ethoxy-3-pyridyl
S	propyl	OCOEt	5-ethoxy-3-pyridyl
S	propyl	OCOEt	6-ethoxy-3-pyridyl
S	propyl	OCOEt	2-chloro-3-pyridyl
S	propyl	OCOEt	4-chloro-3-pyridyl
S	propyl	OCOEt	5-chloro-3-pyridyl
S	propyl	OCOEt	6-chloro-3-pyridyl
S	propyl	OCOEt	2-fluoro-3-pyridyl
S	propyl	OCOEt	4-fluoro-3-pyridyl
S	propyl	OCOEt	5-fluoro-3-pyridyl
S	propyl	OCOEt	6-fluoro-3-pyridyl
S	propyl	OCOEt	2-dimethylamino-3-pyridyl
S	propyl	OCOEt	4-dimethylamino-3-pyridyl
S	propyl	OCOEt	5-dimethylamino-3-pyridyl
S	propyl	OCOEt	6-dimethylamino-3-pyridyl
S	propyl	OCOEt	2-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOEt	3-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOEt	5-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOEt	6-(1-pyrrolidinyl)-3-pyridyl
S	propyl	OCOEt	2-piperidino-3-pyridyl
S	propyl	OCOEt	4-piperidino-3-pyridyl
S	propyl	OCOEt	5-piperidino-3-pyridyl
S	propyl	OCOEt	6-piperidino-3-pyridyl
S	propyl	OCOEt	2-morpholino-3-pyridyl
S	propyl	OCOEt	4-morpholino-3-pyridyl
S	propyl	OCOEt	5-morpholino-3-pyridyl
S	propyl	OCOEt	6-morpholino-3-pyridyl
S	propyl	OCOEt	2-hydroxy-3-pyridyl
S	propyl	OCOEt	4-hydroxy-3-pyridyl
S	propyl	OCOEt	5-hydroxy-3-pyridyl
S	propyl	OCOEt	6-hydroxy-3-pyridyl

S	propyl	OCOEt	2-mercapto-3-pyridyl
S	propyl	OCOEt	4-mercapto-3-pyridyl
S	propyl	OCOEt	5-mercapto-3-pyridyl
S	propyl	OCOEt	6-mercapto-3-pyridyl
S	propyl	OCOEt	2-methylthio-3-pyridyl
S	propyl	OCOEt	4-methylthio-3-pyridyl
S	propyl	OCOEt	5-methylthio-3-pyridyl
S	propyl	OCOEt	6-methylthio-3-pyridyl
S	propyl	OCOEt	2,6-dimethyl-3-pyridyl
S	propyl	OCOEt	5,6-dimethyl-3-pyridyl
S	propyl	OCOEt	2,6-diethyl-3-pyridyl
S	propyl	OCOEt	5,6-diethyl-3-pyridyl
S	propyl	OCOEt	2,6-dimethoxy-3-pyridyl
S	propyl	OCOEt	5,6-dimethoxy-3-pyridyl
S	propyl	OCOEt	2,6-diethoxy-3-pyridyl
S	propyl	OCOEt	5,6-diethoxy-3-pyridyl
S	propyl	OCOEt	2,6-dichloro-3-pyridyl
S	propyl	OCOEt	5,6-dichloro-3-pyridyl
S	propyl	OCOEt	5-chloro-6-methoxy-3-pyridyl
S	propyl	OCOEt	5-chloro-6-ethoxy-3-pyridyl
S	propyl	OCOEt	2-chloro-6-methyl-3-pyridyl
S	propyl	OCOEt	6-chloro-2-methyl-3-pyridyl
S	propyl	OCOEt	2-methyl-4-pyridyl
S	propyl	OCOEt	2-ethyl-4-pyridyl
S	propyl	OCOEt	2-methoxy-4-pyridyl
S	propyl	OCOEt	2-ethoxy-4-pyridyl
S	propyl	OCOEt	2-chloro-4-pyridyl
S	propyl	OCOEt	2-dimethylamino-4-pyridyl
S	propyl	OCOEt	2-(1-pyrrolidinyl)-4-pyridyl
S	propyl	OCOEt	2-piperidino-4-pyridyl
S	propyl	OCOEt	2-morpholino-4-pyridyl
S	propyl	OCOEt	2-methylthio-4-pyridyl
S	propyl	OCOEt	2-pyrazinyl
S	propyl	OCOEt	5-methyl-2-pyrazinyl
S	propyl	OCOEt	5-ethyl-2-pyrazinyl
S	propyl	OCOEt	5-methoxy-2-pyrazinyl
S	propyl	OCOEt	5-ethoxy-2-pyrazinyl
S	propyl	OCOEt	5-chloro-2-pyrazinyl
S	propyl	OCOEt	6-methyl-2-pyrazinyl
S	propyl	OCOEt	6-methoxy-2-pyrazinyl
S	propyl	OCOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-butyl	OH	2-pyridyl
S	n-butyl	OH	3-pyridyl
S	n-butyl	OH	4-pyridyl
S	n-butyl	OH	2-methyl-3-pyridyl
S	n-butyl	OH	4-methyl-3-pyridyl
S	n-butyl	OH	5-methyl-3-pyridyl
S	n-butyl	OH	6-methyl-3-pyridyl
S	n-butyl	OH	2-ethyl-3-pyridyl
S	n-butyl	OH	4-ethyl-3-pyridyl
S	n-butyl	OH	5-ethyl-3-pyridyl
S	n-butyl	OH	6-ethyl-3-pyridyl
S	n-butyl	OH	2-methoxy-3-pyridyl
S	n-butyl	OH	4-methoxy-3-pyridyl
S	n-butyl	OH	5-methoxy-3-pyridyl
S	n-butyl	OH	6-methoxy-3-pyridyl
S	n-butyl	OH	2-ethoxy-3-pyridyl
S	n-butyl	OH	4-ethoxy-3-pyridyl
S	n-butyl	OH	5-ethoxy-3-pyridyl
S	n-butyl	OH	6-ethoxy-3-pyridyl
S	n-butyl	OH	2-chloro-3-pyridyl
S	n-butyl	OH	4-chloro-3-pyridyl
S	n-butyl	OH	5-chloro-3-pyridyl
S	n-butyl	OH	6-chloro-3-pyridyl
S	n-butyl	OH	2-fluoro-3-pyridyl
S	n-butyl	OH	4-fluoro-3-pyridyl
S	n-butyl	OH	5-fluoro-3-pyridyl
S	n-butyl	OH	6-fluoro-3-pyridyl
S	n-butyl	OH	2-dimethylamino-3-pyridyl
S	n-butyl	OH	4-dimethylamino-3-pyridyl
S	n-butyl	OH	5-dimethylamino-3-pyridyl
S	n-butyl	OH	6-dimethylamino-3-pyridyl
S	n-butyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OH	2-piperidino-3-pyridyl
S	n-butyl	OH	4-piperidino-3-pyridyl
S	n-butyl	OH	5-piperidino-3-pyridyl
S	n-butyl	OH	6-piperidino-3-pyridyl
S	n-butyl	OH	2-morpholino-3-pyridyl
S	n-butyl	OH	4-morpholino-3-pyridyl
S	n-butyl	OH	5-morpholino-3-pyridyl
S	n-butyl	OH	6-morpholino-3-pyridyl
S	n-butyl	OH	2-hydroxy-3-pyridyl
S	n-butyl	OH	4-hydroxy-3-pyridyl
S	n-butyl	OH	5-hydroxy-3-pyridyl
S	n-butyl	OH	6-hydroxy-3-pyridyl

S	n-butyl	OH	2-mercapto-3-pyridyl
S	n-butyl	OH	4-mercapto-3-pyridyl
S	n-butyl	OH	5-mercapto-3-pyridyl
S	n-butyl	OH	6-mercapto-3-pyridyl
S	n-butyl	OH	2-methylthio-3-pyridyl
S	n-butyl	OH	4-methylthio-3-pyridyl
S	n-butyl	OH	5-methylthio-3-pyridyl
S	n-butyl	OH	6-methylthio-3-pyridyl
S	n-butyl	OH	2,6-dimethyl-3-pyridyl
S	n-butyl	OH	5,6-dimethyl-3-pyridyl
S	n-butyl	OH	2,6-diethyl-3-pyridyl
S	n-butyl	OH	5,6-diethyl-3-pyridyl
S	n-butyl	OH	2,6-dimethoxy-3-pyridyl
S	n-butyl	OH	5,6-dimethoxy-3-pyridyl
S	n-butyl	OH	2,6-diethoxy-3-pyridyl
S	n-butyl	OH	5,6-diethoxy-3-pyridyl
S	n-butyl	OH	2,6-dichloro-3-pyridyl
S	n-butyl	OH	5,6-dichloro-3-pyridyl
S	n-butyl	OH	5-chloro-6-methoxy-3-pyridyl
S	n-butyl	OH	5-chloro-6-ethoxy-3-pyridyl
S	n-butyl	OH	2-chloro-6-methyl-3-pyridyl
S	n-butyl	OH	6-chloro-2-methyl-3-pyridyl
S	n-butyl	OH	2-methyl-4-pyridyl
S	n-butyl	OH	2-ethyl-4-pyridyl
S	n-butyl	OH	2-methoxy-4-pyridyl
S	n-butyl	OH	2-ethoxy-4-pyridyl
S	n-butyl	OH	2-chloro-4-pyridyl
S	n-butyl	OH	2-dimethylamino-4-pyridyl
S	n-butyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
S	n-butyl	OH	2-piperidino-4-pyridyl
S	n-butyl	OH	2-morpholino-4-pyridyl
S	n-butyl	OH	2-methylthio-4-pyridyl
S	n-butyl	OH	2-pyrazinyl
S	n-butyl	OH	5-methyl-2-pyrazinyl
S	n-butyl	OH	5-ethyl-2-pyrazinyl
S	n-butyl	OH	5-methoxy-2-pyrazinyl
S	n-butyl	OH	5-ethoxy-2-pyrazinyl
S	n-butyl	OH	5-chloro-2-pyrazinyl
S	n-butyl	OH	6-methyl-2-pyrazinyl
S	n-butyl	OH	6-methoxy-2-pyrazinyl
S	n-butyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>1</sup>	Y
S	n-butyl	OCOOMe	2-pyridyl
S	n-butyl	OCOOMe	3-pyridyl
S	n-butyl	OCOOMe	4-pyridyl
S	n-butyl	OCOOMe	2-methyl-3-pyridyl
S	n-butyl	OCOOMe	4-methyl-3-pyridyl
S	n-butyl	OCOOMe	5-methyl-3-pyridyl
S	n-butyl	OCOOMe	6-methyl-3-pyridyl
S	n-butyl	OCOOMe	2-ethyl-3-pyridyl
S	n-butyl	OCOOMe	4-ethyl-3-pyridyl
S	n-butyl	OCOOMe	5-ethyl-3-pyridyl
S	n-butyl	OCOOMe	6-ethyl-3-pyridyl
S	n-butyl	OCOOMe	2-methoxy-3-pyridyl
S	n-butyl	OCOOMe	4-methoxy-3-pyridyl
S	n-butyl	OCOOMe	5-methoxy-3-pyridyl
S	n-butyl	OCOOMe	6-methoxy-3-pyridyl
S	n-butyl	OCOOMe	2-ethoxy-3-pyridyl
S	n-butyl	OCOOMe	4-ethoxy-3-pyridyl
S	n-butyl	OCOOMe	5-ethoxy-3-pyridyl
S	n-butyl	OCOOMe	6-ethoxy-3-pyridyl
S	n-butyl	OCOOMe	2-chloro-3-pyridyl
S	n-butyl	OCOOMe	4-chloro-3-pyridyl
S	n-butyl	OCOOMe	5-chloro-3-pyridyl
S	n-butyl	OCOOMe	6-chloro-3-pyridyl
S	n-butyl	OCOOMe	2-fluoro-3-pyridyl
S	n-butyl	OCOOMe	4-fluoro-3-pyridyl
S	n-butyl	OCOOMe	5-fluoro-3-pyridyl
S	n-butyl	OCOOMe	6-fluoro-3-pyridyl
S	n-butyl	OCOOMe	2-dimethylamino-3-pyridyl
S	n-butyl	OCOOMe	4-dimethylamino-3-pyridyl
S	n-butyl	OCOOMe	5-dimethylamino-3-pyridyl
S	n-butyl	OCOOMe	6-dimethylamino-3-pyridyl
S	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOOMe	2-piperidino-3-pyridyl
S	n-butyl	OCOOMe	4-piperidino-3-pyridyl
S	n-butyl	OCOOMe	5-piperidino-3-pyridyl
S	n-butyl	OCOOMe	6-piperidino-3-pyridyl
S	n-butyl	OCOOMe	2-morpholino-3-pyridyl
S	n-butyl	OCOOMe	4-morpholino-3-pyridyl
S	n-butyl	OCOOMe	5-morpholino-3-pyridyl
S	n-butyl	OCOOMe	6-morpholino-3-pyridyl
S	n-butyl	OCOOMe	2-hydroxy-3-pyridyl
S	n-butyl	OCOOMe	4-hydroxy-3-pyridyl
S	n-butyl	OCOOMe	5-hydroxy-3-pyridyl
S	n-butyl	OCOOMe	6-hydroxy-3-pyridyl



S	n-butyl	OCOOMe	2-mercapto-3-pyridyl
S	n-butyl	OCOOMe	4-mercapto-3-pyridyl
S	n-butyl	OCOOMe	5-mercapto-3-pyridyl
S	n-butyl	OCOOMe	6-mercapto-3-pyridyl
S	n-butyl	OCOOMe	2-methylthio-3-pyridyl
S	n-butyl	OCOOMe	4-methylthio-3-pyridyl
S	n-butyl	OCOOMe	5-methylthio-3-pyridyl
S	n-butyl	OCOOMe	6-methylthio-3-pyridyl
S	n-butyl	OCOOMe	2,6-dimethyl-3-pyridyl
S	n-butyl	OCOOMe	5,6-dimethyl-3-pyridyl
S	n-butyl	OCOOMe	2,6-diethyl-3-pyridyl
S	n-butyl	OCOOMe	5,6-diethyl-3-pyridyl
S	n-butyl	OCOOMe	2,6-dimethoxy-3-pyridyl
S	n-butyl	OCOOMe	5,6-dimethoxy-3-pyridyl
S	n-butyl	OCOOMe	2,6-diethoxy-3-pyridyl
S	n-butyl	OCOOMe	5,6-diethoxy-3-pyridyl
S	n-butyl	OCOOMe	2,6-dichloro-3-pyridyl
S	n-butyl	OCOOMe	5,6-dichloro-3-pyridyl
S	n-butyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
S	n-butyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
S	n-butyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
S	n-butyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
S	n-butyl	OCOOMe	2-methyl-4-pyridyl
S	n-butyl	OCOOMe	2-ethyl-4-pyridyl
S	n-butyl	OCOOMe	2-methoxy-4-pyridyl
S	n-butyl	OCOOMe	2-ethoxy-4-pyridyl
S	n-butyl	OCOOMe	2-chloro-4-pyridyl
S	n-butyl	OCOOMe	2-dimethylamino-4-pyridyl
S	n-butyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
S	n-butyl	OCOOMe	2-piperidino-4-pyridyl
S	n-butyl	OCOOMe	2-morpholino-4-pyridyl
S	n-butyl	OCOOMe	2-methylthio-4-pyridyl
S	n-butyl	OCOOMe	2-pyrazinyl
S	n-butyl	OCOOMe	5-methyl-2-pyrazinyl
S	n-butyl	OCOOMe	6-ethyl-2-pyrazinyl
S	n-butyl	OCOOMe	5-methoxy-2-pyrazinyl
S	n-butyl	OCOOMe	5-ethoxy-2-pyrazinyl
S	n-butyl	OCOOMe	5-chloro-2-pyrazinyl
S	n-butyl	OCOOMe	6-methyl-2-pyrazinyl
S	n-butyl	OCOOMe	6-methoxy-2-pyrazinyl
S	n-butyl	OCOOMe	6-chloro-2-pyrazinyl

X	R'	R''	Y
S	n-butyl	OCOEt	2-pyridyl
S	n-butyl	OCOEt	3-pyridyl
S	n-butyl	OCOEt	4-pyridyl
S	n-butyl	OCOEt	2-methyl-3-pyridyl
S	n-butyl	OCOEt	4-methyl-3-pyridyl
S	n-butyl	OCOEt	5-methyl-3-pyridyl
S	n-butyl	OCOEt	6-methyl-3-pyridyl
S	n-butyl	OCOEt	2-ethyl-3-pyridyl
S	n-butyl	OCOEt	4-ethyl-3-pyridyl
S	n-butyl	OCOEt	5-ethyl-3-pyridyl
S	n-butyl	OCOEt	6-ethyl-3-pyridyl
S	n-butyl	OCOEt	2-methoxy-3-pyridyl
S	n-butyl	OCOEt	4-methoxy-3-pyridyl
S	n-butyl	OCOEt	5-methoxy-3-pyridyl
S	n-butyl	OCOEt	6-methoxy-3-pyridyl
S	n-butyl	OCOEt	2-ethoxy-3-pyridyl
S	n-butyl	OCOEt	4-ethoxy-3-pyridyl
S	n-butyl	OCOEt	5-ethoxy-3-pyridyl
S	n-butyl	OCOEt	6-ethoxy-3-pyridyl
S	n-butyl	OCOEt	2-chloro-3-pyridyl
S	n-butyl	OCOEt	4-chloro-3-pyridyl
S	n-butyl	OCOEt	5-chloro-3-pyridyl
S	n-butyl	OCOEt	6-chloro-3-pyridyl
S	n-butyl	OCOEt	2-fluoro-3-pyridyl
S	n-butyl	OCOEt	4-fluoro-3-pyridyl
S	n-butyl	OCOEt	5-fluoro-3-pyridyl
S	n-butyl	OCOEt	6-fluoro-3-pyridyl
S	n-butyl	OCOEt	2-dimethylamino-3-pyridyl
S	n-butyl	OCOEt	4-dimethylamino-3-pyridyl
S	n-butyl	OCOEt	5-dimethylamino-3-pyridyl
S	n-butyl	OCOEt	6-dimethylamino-3-pyridyl
S	n-butyl	OCOEt	2-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOEt	3-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOEt	5-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOEt	6-(1-pyrrolidinyl)-3-pyridyl
S	n-butyl	OCOEt	2-piperidino-3-pyridyl
S	n-butyl	OCOEt	4-piperidino-3-pyridyl
S	n-butyl	OCOEt	5-piperidino-3-pyridyl
S	n-butyl	OCOEt	6-piperidino-3-pyridyl
S	n-butyl	OCOEt	2-morpholino-3-pyridyl
S	n-butyl	OCOEt	4-morpholino-3-pyridyl
S	n-butyl	OCOEt	5-morpholino-3-pyridyl
S	n-butyl	OCOEt	6-morpholino-3-pyridyl
S	n-butyl	OCOEt	2-hydroxy-3-pyridyl
S	n-butyl	OCOEt	4-hydroxy-3-pyridyl
S	n-butyl	OCOEt	5-hydroxy-3-pyridyl
S	n-butyl	OCOEt	6-hydroxy-3-pyridyl

S	n-butyl	OCOEt	2-mercapto-3-pyridyl
S	n-butyl	OCOEt	4-mercapto-3-pyridyl
S	n-butyl	OCOEt	5-mercapto-3-pyridyl
S	n-butyl	OCOEt	6-mercapto-3-pyridyl
S	n-butyl	OCOEt	2-methylthio-3-pyridyl
S	n-butyl	OCOEt	4-methylthio-3-pyridyl
S	n-butyl	OCOEt	5-methylthio-3-pyridyl
S	n-butyl	OCOEt	6-methylthio-3-pyridyl
S	n-butyl	OCOEt	2,6-dimethyl-3-pyridyl
S	n-butyl	OCOEt	5,6-dimethyl-3-pyridyl
S	n-butyl	OCOEt	2,6-diethyl-3-pyridyl
S	n-butyl	OCOEt	5,6-diethyl-3-pyridyl
S	n-butyl	OCOEt	2,6-dimethoxy-3-pyridyl
S	n-butyl	OCOEt	5,6-dimethoxy-3-pyridyl
S	n-butyl	OCOEt	2,6-diethoxy-3-pyridyl
S	n-butyl	OCOEt	5,6-diethoxy-3-pyridyl
S	n-butyl	OCOEt	2,6-dichloro-3-pyridyl
S	n-butyl	OCOEt	5,6-dichloro-3-pyridyl
S	n-butyl	OCOEt	5-chloro-6-methoxy-3-pyridyl
S	n-butyl	OCOEt	5-chloro-6-ethoxy-3-pyridyl
S	n-butyl	OCOEt	2-chloro-6-methyl-3-pyridyl
S	n-butyl	OCOEt	6-chloro-2-methyl-3-pyridyl
S	n-butyl	OCOEt	2-methyl-4-pyridyl
S	n-butyl	OCOEt	2-ethyl-4-pyridyl
S	n-butyl	OCOEt	2-methoxy-4-pyridyl
S	n-butyl	OCOEt	2-ethoxy-4-pyridyl
S	n-butyl	OCOEt	2-chloro-4-pyridyl
S	n-butyl	OCOEt	2-dimethylamino-4-pyridyl
S	n-butyl	OCOEt	2-(1-pyrrolidinyl)-4-pyridyl
S	n-butyl	OCOEt	2-piperidino-4-pyridyl
S	n-butyl	OCOEt	2-morpholino-4-pyridyl
S	n-butyl	OCOEt	2-methylthio-4-pyridyl
S	n-butyl	OCOEt	2-pyrazinyl
S	n-butyl	OCOEt	5-methyl-2-pyrazinyl
S	n-butyl	OCOEt	5-ethyl-2-pyrazinyl
S	n-butyl	OCOEt	5-methoxy-2-pyrazinyl
S	n-butyl	OCOEt	5-ethoxy-2-pyrazinyl
S	n-butyl	OCOEt	5-chloro-2-pyrazinyl
S	n-butyl	OCOEt	6-methyl-2-pyrazinyl
S	n-butyl	OCOEt	6-methoxy-2-pyrazinyl
S	n-butyl	OCOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-pentyl	OH	2-pyridyl
S	n-pentyl	OH	3-pyridyl
S	n-pentyl	OH	4-pyridyl
S	n-pentyl	OH	2-methyl-3-pyridyl
S	n-pentyl	OH	4-methyl-3-pyridyl
S	n-pentyl	OH	5-methyl-3-pyridyl
S	n-pentyl	OH	6-methyl-3-pyridyl
S	n-pentyl	OH	2-ethyl-3-pyridyl
S	n-pentyl	OH	4-ethyl-3-pyridyl
S	n-pentyl	OH	5-ethyl-3-pyridyl
S	n-pentyl	OH	6-ethyl-3-pyridyl
S	n-pentyl	OH	2-methoxy-3-pyridyl
S	n-pentyl	OH	4-methoxy-3-pyridyl
S	n-pentyl	OH	5-methoxy-3-pyridyl
S	n-pentyl	OH	6-methoxy-3-pyridyl
S	n-pentyl	OH	2-ethoxy-3-pyridyl
S	n-pentyl	OH	4-ethoxy-3-pyridyl
S	n-pentyl	OH	5-ethoxy-3-pyridyl
S	n-pentyl	OH	6-ethoxy-3-pyridyl
S	n-pentyl	OH	2-chloro-3-pyridyl
S	n-pentyl	OH	4-chloro-3-pyridyl
S	n-pentyl	OH	6-chloro-3-pyridyl
S	n-pentyl	OH	2-fluoro-3-pyridyl
S	n-pentyl	OH	4-fluoro-3-pyridyl
S	n-pentyl	OH	5-fluoro-3-pyridyl
S	n-pentyl	OH	6-fluoro-3-pyridyl
S	n-pentyl	OH	2-dimethylamino-3-pyridyl
S	n-pentyl	OH	4-dimethylamino-3-pyridyl
S	n-pentyl	OH	5-dimethylamino-3-pyridyl
S	n-pentyl	OH	6-dimethylamino-3-pyridyl
S	n-pentyl	OH	2-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	3-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	5-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OH	2-piperidino-3-pyridyl
S	n-pentyl	OH	4-piperidino-3-pyridyl
S	n-pentyl	OH	5-piperidino-3-pyridyl
S	n-pentyl	OH	6-piperidino-3-pyridyl
S	n-pentyl	OH	2-morpholino-3-pyridyl
S	n-pentyl	OH	4-morpholino-3-pyridyl
S	n-pentyl	OH	5-morpholino-3-pyridyl
S	n-pentyl	OH	6-morpholino-3-pyridyl
S	n-pentyl	OH	2-hydroxy-3-pyridyl
S	n-pentyl	OH	4-hydroxy-3-pyridyl
S	n-pentyl	OH	5-hydroxy-3-pyridyl
S	n-pentyl	OH	6-hydroxy-3-pyridyl

S	n-pentyl	OH	2-mercapto-3-pyridyl
S	n-pentyl	OH	4-mercapto-3-pyridyl
S	n-pentyl	OH	5-mercapto-3-pyridyl
S	n-pentyl	OH	6-mercapto-3-pyridyl
S	n-pentyl	OH	2-methylthio-3-pyridyl
S	n-pentyl	OH	4-methylthio-3-pyridyl
S	n-pentyl	OH	5-methylthio-3-pyridyl
S	n-pentyl	OH	6-methylthio-3-pyridyl
S	n-pentyl	OH	2,6-dimethyl-3-pyridyl
S	n-pentyl	OH	5,6-dimethyl-3-pyridyl
S	n-pentyl	OH	2,6-diethyl-3-pyridyl
S	n-pentyl	OH	5,6-diethyl-3-pyridyl
S	n-pentyl	OH	2,6-dimethoxy-3-pyridyl
S	n-pentyl	OH	5,6-dimethoxy-3-pyridyl
S	n-pentyl	OH	2,6-diethoxy-3-pyridyl
S	n-pentyl	OH	5,6-diethoxy-3-pyridyl
S	n-pentyl	OH	2,6-dichloro-3-pyridyl
S	n-pentyl	OH	5,6-dichloro-3-pyridyl
S	n-pentyl	OH	5-chloro-6-methoxy-3-pyridyl
S	n-pentyl	OH	5-chloro-6-ethoxy-3-pyridyl
S	n-pentyl	OH	2-chloro-6-methyl-3-pyridyl
S	n-pentyl	OH	6-chloro-2-methyl-3-pyridyl
S	n-pentyl	OH	2-methyl-4-pyridyl
S	n-pentyl	OH	2-ethyl-4-pyridyl
S	n-pentyl	OH	2-methoxy-4-pyridyl
S	n-pentyl	OH	2-ethoxy-4-pyridyl
S	n-pentyl	OH	2-chloro-4-pyridyl
S	n-pentyl	OH	2-dimethylamino-4-pyridyl
S	n-pentyl	OH	2-(1-pyrrolidinyl)-4-pyridyl
S	n-pentyl	OH	2-piperidino-4-pyridyl
S	n-pentyl	OH	2-morpholino-4-pyridyl
S	n-pentyl	OH	2-methylthio-4-pyridyl
S	n-pentyl	OH	2-pyrazinyl
S	n-pentyl	OH	5-methyl-2-pyrazinyl
S	n-pentyl	OH	5-ethyl-2-pyrazinyl
S	n-pentyl	OH	5-methoxy-2-pyrazinyl
S	n-pentyl	OH	5-ethoxy-2-pyrazinyl
S	n-pentyl	OH	5-chloro-2-pyrazinyl
S	n-pentyl	OH	6-methyl-2-pyrazinyl
S	n-pentyl	OH	6-methoxy-2-pyrazinyl
S	n-pentyl	OH	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-pentyl	OCOOMe	2-pyridyl
S	n-pentyl	OCOOMe	3-pyridyl
S	n-pentyl	OCOOMe	4-pyridyl
S	n-pentyl	OCOOMe	2-methyl-3-pyridyl
S	n-pentyl	OCOOMe	4-methyl-3-pyridyl
S	n-pentyl	OCOOMe	5-methyl-3-pyridyl
S	n-pentyl	OCOOMe	6-methyl-3-pyridyl
S	n-pentyl	OCOOMe	2-ethyl-3-pyridyl
S	n-pentyl	OCOOMe	4-ethyl-3-pyridyl
S	n-pentyl	OCOOMe	5-ethyl-3-pyridyl
S	n-pentyl	OCOOMe	6-ethyl-3-pyridyl
S	n-pentyl	OCOOMe	2-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	4-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	5-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	6-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	2-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	4-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	5-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	6-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2-chloro-3-pyridyl
S	n-pentyl	OCOOMe	4-chloro-3-pyridyl
S	n-pentyl	OCOOMe	5-chloro-3-pyridyl
S	n-pentyl	OCOOMe	6-chloro-3-pyridyl
S	n-pentyl	OCOOMe	2-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	4-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	5-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	6-fluoro-3-pyridyl
S	n-pentyl	OCOOMe	2-dimethylamino-3-pyridyl
S	n-pentyl	OCOOMe	4-dimethylamino-3-pyridyl
S	n-pentyl	OCOOMe	5-dimethylamino-3-pyridyl
S	n-pentyl	OCOOMe	6-dimethylamino-3-pyridyl
S	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOMe	3-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOMe	5-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOMe	6-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOMe	2-piperidino-3-pyridyl
S	n-pentyl	OCOOMe	4-piperidino-3-pyridyl
S	n-pentyl	OCOOMe	5-piperidino-3-pyridyl
S	n-pentyl	OCOOMe	6-piperidino-3-pyridyl
S	n-pentyl	OCOOMe	2-morpholino-3-pyridyl
S	n-pentyl	OCOOMe	4-morpholino-3-pyridyl
S	n-pentyl	OCOOMe	5-morpholino-3-pyridyl
S	n-pentyl	OCOOMe	6-morpholino-3-pyridyl
S	n-pentyl	OCOOMe	2-hydroxy-3-pyridyl
S	n-pentyl	OCOOMe	4-hydroxy-3-pyridyl
S	n-pentyl	OCOOMe	5-hydroxy-3-pyridyl
S	n-pentyl	OCOOMe	6-hydroxy-3-pyridyl

S	n-pentyl	OCOOMe	2-mercapto-3-pyridyl
S	n-pentyl	OCOOMe	4-mercapto-3-pyridyl
S	n-pentyl	OCOOMe	5-mercapto-3-pyridyl
S	n-pentyl	OCOOMe	6-mercapto-3-pyridyl
S	n-pentyl	OCOOMe	2-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	4-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	5-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	6-methylthio-3-pyridyl
S	n-pentyl	OCOOMe	2,6-dimethyl-3-pyridyl
S	n-pentyl	OCOOMe	5,6-dimethyl-3-pyridyl
S	n-pentyl	OCOOMe	2,6-diethyl-3-pyridyl
S	n-pentyl	OCOOMe	5,6-diethyl-3-pyridyl
S	n-pentyl	OCOOMe	2,6-dimethoxy-3-pyridyl
S	n-pentyl	OCOOMe	5,6-dimethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOMe	5,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2,6-dichloro-3-pyridyl
S	n-pentyl	OCOOMe	5,6-dichloro-3-pyridyl
S	n-pentyl	OCOOMe	5-chloro-6-methoxy-3-pyridyl
S	n-pentyl	OCOOMe	5-chloro-6-ethoxy-3-pyridyl
S	n-pentyl	OCOOMe	2-chloro-6-methyl-3-pyridyl
S	n-pentyl	OCOOMe	6-chloro-2-methyl-3-pyridyl
S	n-pentyl	OCOOMe	2-methyl-4-pyridyl
S	n-pentyl	OCOOMe	2-ethyl-4-pyridyl
S	n-pentyl	OCOOMe	2-methoxy-4-pyridyl
S	n-pentyl	OCOOMe	2-ethoxy-4-pyridyl
S	n-pentyl	OCOOMe	2-chloro-4-pyridyl
S	n-pentyl	OCOOMe	2-dimethylamino-4-pyridyl
S	n-pentyl	OCOOMe	2-(1-pyrrolidinyl)-4-pyridyl
S	n-pentyl	OCOOMe	2-piperidino-4-pyridyl
S	n-pentyl	OCOOMe	2-morpholino-4-pyridyl
S	n-pentyl	OCOOMe	2-methylthio-4-pyridyl
S	n-pentyl	OCOOMe	2-pyrazinyl
S	n-pentyl	OCOOMe	5-methyl-2-pyrazinyl
S	n-pentyl	OCOOMe	5-ethyl-2-pyrazinyl
S	n-pentyl	OCOOMe	5-methoxy-2-pyrazinyl
S	n-pentyl	OCOOMe	5-ethoxy-2-pyrazinyl
S	n-pentyl	OCOOMe	5-chloro-2-pyrazinyl
S	n-pentyl	OCOOMe	6-methyl-2-pyrazinyl
S	n-pentyl	OCOOMe	6-methoxy-2-pyrazinyl
S	n-pentyl	OCOOMe	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-pentyl	OCOOEt	2-pyridyl
S	n-pentyl	OCOOEt	3-pyridyl
S	n-pentyl	OCOOEt	4-pyridyl
S	n-pentyl	OCOOEt	2-methyl-3-pyridyl
S	n-pentyl	OCOOEt	4-methyl-3-pyridyl
S	n-pentyl	OCOOEt	5-methyl-3-pyridyl
S	n-pentyl	OCOOEt	6-methyl-3-pyridyl
S	n-pentyl	OCOOEt	2-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	4-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	5-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	6-ethyl-3-pyridyl
S	n-pentyl	OCOOEt	2-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	4-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	5-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	6-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	2-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	4-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	5-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	6-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2-chloro-3-pyridyl
S	n-pentyl	OCOOEt	4-chloro-3-pyridyl
S	n-pentyl	OCOOEt	5-chloro-3-pyridyl
S	n-pentyl	OCOOEt	6-chloro-3-pyridyl
S	n-pentyl	OCOOEt	2-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	4-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	5-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	6-fluoro-3-pyridyl
S	n-pentyl	OCOOEt	2-dimethylamino-3-pyridyl
S	n-pentyl	OCOOEt	4-dimethylamino-3-pyridyl
S	n-pentyl	OCOOEt	5-dimethylamino-3-pyridyl
S	n-pentyl	OCOOEt	6-dimethylamino-3-pyridyl
S	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	3-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	5-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	6-(1-pyrrolidinyl)-3-pyridyl
S	n-pentyl	OCOOEt	2-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	4-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	5-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	6-piperidino-3-pyridyl
S	n-pentyl	OCOOEt	2-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	4-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	5-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	6-morpholino-3-pyridyl
S	n-pentyl	OCOOEt	2-hydroxy-3-pyridyl
S	n-pentyl	OCOOEt	4-hydroxy-3-pyridyl
S	n-pentyl	OCOOEt	5-hydroxy-3-pyridyl
S	n-pentyl	OCOOEt	6-hydroxy-3-pyridyl



S	n-pentyl	OCOOEt	2-mercapto-3-pyridyl
S	n-pentyl	OCOOEt	4-mercapto-3-pyridyl
S	n-pentyl	OCOOEt	5-mercapto-3-pyridyl
S	n-pentyl	OCOOEt	6-mercapto-3-pyridyl
S	n-pentyl	OCOOEt	2-methylthio-3-pyridyl
S	n-pentyl	OCOOEt	4-methylthio-3-pyridyl
S	n-pentyl	OCOOEt	6-methylthio-3-pyridyl
S	n-pentyl	OCOOEt	6-methylthio-3-pyridyl
S	n-pentyl	OCOOEt	2,6-dimethyl-3-pyridyl
S	n-pentyl	OCOOEt	5,6-dimethyl-3-pyridyl
S	n-pentyl	OCOOEt	2,6-diethyl-3-pyridyl
S	n-pentyl	OCOOEt	5,6-diethyl-3-pyridyl
S	n-pentyl	OCOOEt	2,6-dimethoxy-3-pyridyl
S	n-pentyl	OCOOEt	5,6-dimethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOEt	5,6-diethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2,6-dichloro-3-pyridyl
S	n-pentyl	OCOOEt	5,6-dichloro-3-pyridyl
S	n-pentyl	OCOOEt	5-chloro-6-methoxy-3-pyridyl
S	n-pentyl	OCOOEt	5-chloro-6-ethoxy-3-pyridyl
S	n-pentyl	OCOOEt	2-chloro-6-methyl-3-pyridyl
S	n-pentyl	OCOOEt	6-chloro-2-methyl-3-pyridyl
S	n-pentyl	OCOOEt	2-methyl-4-pyridyl
S	n-pentyl	OCOOEt	2-ethyl-4-pyridyl
S	n-pentyl	OCOOEt	2-methoxy-4-pyridyl
S	n-pentyl	OCOOEt	2-ethoxy-4-pyridyl
S	n-pentyl	OCOOEt	2-chloro-4-pyridyl
S	n-pentyl	OCOOEt	2-dimethylamino-4-pyridyl
S	n-pentyl	OCOOEt	2-(1-pyrrolidinyl)-4-pyridyl
S	n-pentyl	OCOOEt	2-piperidino-4-pyridyl
S	n-pentyl	OCOOEt	2-morpholino-4-pyridyl
S	n-pentyl	OCOOEt	2-methylthio-4-pyridyl
S	n-pentyl	OCOOEt	2-pyrazinyl
S	n-pentyl	OCOOEt	5-methyl-2-pyrazinyl
S	n-pentyl	OCOOEt	5-ethyl-2-pyrazinyl
S	n-pentyl	OCOOEt	5-methoxy-2-pyrazinyl
S	n-pentyl	OCOOEt	5-ethoxy-2-pyrazinyl
S	n-pentyl	OCOOEt	5-chloro-2-pyrazinyl
S	n-pentyl	OCOOEt	6-methyl-2-pyrazinyl
S	n-pentyl	OCOOEt	6-methoxy-2-pyrazinyl
S	n-pentyl	OCOOEt	6-chloro-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	2-methoxyethyl	OH	3-pyridyl
NH	2-methoxyethyl	OH	4-pyridyl
NH	2-methoxyethyl	OH	2-methyl-3-pyridyl
NH	2-methoxyethyl	OH	6-methyl-3-pyridyl
NH	2-methoxyethyl	OH	2-ethyl-3-pyridyl
NH	2-methoxyethyl	OH	6-ethyl-3-pyridyl
NH	2-methoxyethyl	OH	6-methoxy-3-pyridyl
NH	2-methoxyethyl	OH	6-ethoxy-3-pyridyl
NH	2-methoxyethyl	OH	2-chloro-3-pyridyl
NH	2-methoxyethyl	OH	6-chloro-3-pyridyl
NH	2-methoxyethyl	OH	5,6-dimethyl-3-pyridyl
NH	2-methoxyethyl	OH	5,6-dimethoxy-3-pyridyl
NH	2-methoxyethyl	OH	5,6-dichloro-3-pyridyl
NH	2-methoxyethyl	OH	6-dimethylamino-3-pyridyl
NH	2-methoxyethyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	2-methoxyethyl	OH	6-piperidino-3-pyridyl
NH	2-methoxyethyl	OH	6-morpholino-3-pyridyl
NH	2-methoxyethyl	OH	6-methylthio-3-pyridyl
NH	2-methoxyethyl	OH	2-pyrazinyl
NH	2-methoxyethyl	OH	5-methyl-2-pyrazinyl
NH	3-methoxypropyl	OH	3-pyridyl
NH	3-methoxypropyl	OH	4-pyridyl
NH	3-methoxypropyl	OH	2-methyl-3-pyridyl
NH	3-methoxypropyl	OH	6-methyl-3-pyridyl
NH	3-methoxypropyl	OH	2-ethyl-3-pyridyl
NH	3-methoxypropyl	OH	6-ethyl-3-pyridyl
NH	3-methoxypropyl	OH	2-methoxy-3-pyridyl
NH	3-methoxypropyl	OH	6-methoxy-3-pyridyl
NH	3-methoxypropyl	OH	6-ethoxy-3-pyridyl
NH	3-methoxypropyl	OH	2-chloro-3-pyridyl
NH	3-methoxypropyl	OH	6-chloro-3-pyridyl
NH	3-methoxypropyl	OH	5,6-dimethyl-3-pyridyl
NH	3-methoxypropyl	OH	5,6-dimethoxy-3-pyridyl
NH	3-methoxypropyl	OH	5,6-dichloro-3-pyridyl
NH	3-methoxypropyl	OH	6-dimethylamino-3-pyridyl
NH	3-methoxypropyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
NH	3-methoxypropyl	OH	6-piperidino-3-pyridyl
NH	3-methoxypropyl	OH	6-morpholino-3-pyridyl
NH	3-methoxypropyl	OH	6-methylthio-3-pyridyl
NH	3-methoxypropyl	OH	2-pyrazinyl
NH	3-methoxypropyl	OH	5-methyl-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	2-methoxyethyl	OH	3-pyridyl
O	2-methoxyethyl	OH	4-pyridyl
O	2-methoxyethyl	OH	2-methyl-3-pyridyl
O	2-methoxyethyl	OH	6-methyl-3-pyridyl
O	2-methoxyethyl	OH	2-ethyl-3-pyridyl
O	2-methoxyethyl	OH	6-ethyl-3-pyridyl
O	2-methoxyethyl	OH	6-methoxy-3-pyridyl
O	2-methoxyethyl	OH	6-ethoxy-3-pyridyl
O	2-methoxyethyl	OH	2-chloro-3-pyridyl
O	2-methoxyethyl	OH	6-chloro-3-pyridyl
O	2-methoxyethyl	OH	5,6-dimethyl-3-pyridyl
O	2-methoxyethyl	OH	5,6-dimethoxy-3-pyridyl
O	2-methoxyethyl	OH	5,6-dichloro-3-pyridyl
O	2-methoxyethyl	OH	6-dimethylamino-3-pyridyl
O	2-methoxyethyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
O	2-methoxyethyl	OH	6-piperidino-3-pyridyl
O	2-methoxyethyl	OH	6-morpholino-3-pyridyl
O	2-methoxyethyl	OH	6-methylthio-3-pyridyl
O	2-methoxyethyl	OH	2-pyrazinyl
O	2-methoxyethyl	OH	5-methyl-2-pyrazinyl
O	3-methoxypropyl	OH	3-pyridyl
O	3-methoxypropyl	OH	4-pyridyl
O	3-methoxypropyl	OH	2-methyl-3-pyridyl
O	3-methoxypropyl	OH	6-methyl-3-pyridyl
O	3-methoxypropyl	OH	2-ethyl-3-pyridyl
O	3-methoxypropyl	OH	6-ethyl-3-pyridyl
O	3-methoxypropyl	OH	2-methoxy-3-pyridyl
O	3-methoxypropyl	OH	6-methoxy-3-pyridyl
O	3-methoxypropyl	OH	6-ethoxy-3-pyridyl
O	3-methoxypropyl	OH	2-chloro-3-pyridyl
O	3-methoxypropyl	OH	6-chloro-3-pyridyl
O	3-methoxypropyl	OH	5,6-dimethyl-3-pyridyl
O	3-methoxypropyl	OH	5,6-dimethoxy-3-pyridyl
O	3-methoxypropyl	OH	5,6-dichloro-3-pyridyl
O	3-methoxypropyl	OH	6-dimethylamino-3-pyridyl
O	3-methoxypropyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
O	3-methoxypropyl	OH	6-piperidino-3-pyridyl
O	3-methoxypropyl	OH	6-morpholino-3-pyridyl
O	3-methoxypropyl	OH	6-methylthio-3-pyridyl
O	3-methoxypropyl	OH	2-pyrazinyl
O	3-methoxypropyl	OH	5-methyl-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	2-methoxyethyl	OH	3-pyridyl
S	2-methoxyethyl	OH	4-pyridyl
S	2-methoxyethyl	OH	2-methyl-3-pyridyl
S	2-methoxyethyl	OH	6-methyl-3-pyridyl
S	2-methoxyethyl	OH	2-ethyl-3-pyridyl
S	2-methoxyethyl	OH	6-ethyl-3-pyridyl
S	2-methoxyethyl	OH	6-methoxy-3-pyridyl
S	2-methoxyethyl	OH	6-ethoxy-3-pyridyl
S	2-methoxyethyl	OH	2-chloro-3-pyridyl
S	2-methoxyethyl	OH	6-chloro-3-pyridyl
S	2-methoxyethyl	OH	5,6-dimethyl-3-pyridyl
S	2-methoxyethyl	OH	5,6-dimethoxy-3-pyridyl
S	2-methoxyethyl	OH	5,6-dichloro-3-pyridyl
S	2-methoxyethyl	OH	6-dimethylamino-3-pyridyl
S	2-methoxyethyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	2-methoxyethyl	OH	6-piperidino-3-pyridyl
S	2-methoxyethyl	OH	6-morpholino-3-pyridyl
S	2-methoxyethyl	OH	6-methylthio-3-pyridyl
S	2-methoxyethyl	OH	2-pyrazinyl
S	2-methoxyethyl	OH	5-methyl-2-pyrazinyl
S	2-hydroxyethyl	OH	3-pyridyl
S	2-hydroxyethyl	OH	4-pyridyl
S	2-hydroxyethyl	OH	2-methyl-3-pyridyl
S	2-hydroxyethyl	OH	6-methyl-3-pyridyl
S	2-hydroxyethyl	OH	2-ethyl-3-pyridyl
S	2-hydroxyethyl	OH	6-ethyl-3-pyridyl
S	2-hydroxyethyl	OH	2-methoxy-3-pyridyl
S	2-hydroxyethyl	OH	6-methoxy-3-pyridyl
S	2-hydroxyethyl	OH	6-ethoxy-3-pyridyl
S	2-hydroxyethyl	OH	2-chloro-3-pyridyl
S	2-hydroxyethyl	OH	6-chloro-3-pyridyl
S	2-hydroxyethyl	OH	5,6-dimethyl-3-pyridyl
S	2-hydroxyethyl	OH	5,6-dimethoxy-3-pyridyl
S	2-hydroxyethyl	OH	5,6-dichloro-3-pyridyl
S	2-hydroxyethyl	OH	6-dimethylamino-3-pyridyl
S	2-hydroxyethyl	OH	6-(1-pyrrolidinyl)-3-pyridyl
S	2-hydroxyethyl	OH	6-piperidino-3-pyridyl
S	2-hydroxyethyl	OH	6-morpholino-3-pyridyl
S	2-hydroxyethyl	OH	6-methylthio-3-pyridyl
S	2-hydroxyethyl	OH	2-pyrazinyl
S	2-hydroxyethyl	OH	5-methyl-2-pyrazinyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	propyl	OH	1-naphthyl
NH	propyl	OH	2-naphthyl
NH	propyl	OH	2-pyrrolyl
NH	propyl	OH	3-pyrrolyl
NH	propyl	OH	2-furyl
NH	propyl	OH	3-furyl
NH	propyl	OH	2-thienyl
NH	propyl	OH	3-thienyl
NH	propyl	OH	3-pyrazolyl
NH	propyl	OH	4-pyrazolyl
NH	propyl	OH	2-imidazolyl
NH	propyl	OH	4-imidazolyl
NH	propyl	OH	2-oxazolyl
NH	propyl	OH	4-oxazolyl
NH	propyl	OH	5-oxazolyl
NH	propyl	OH	2-thiazolyl
NH	propyl	OH	4-thiazolyl
NH	propyl	OH	5-thiazolyl
NH	propyl	OH	2-pyrimidinyl
NH	propyl	OH	4-pyrimidinyl
NH	propyl	OH	5-pyrimidinyl
NH	propyl	OH	2-indolyl
NH	propyl	OH	3-indolyl
NH	propyl	OH	5-indolyl
NH	propyl	OH	6-indolyl
NH	propyl	OH	5-benzimidazolyl
NH	propyl	OH	2-benzofuryl
NH	propyl	OH	3-indazolyl
NH	propyl	OH	2-benzoxazolyl
NH	propyl	OH	4-fluoro-1-naphthyl
NH	propyl	OH	5-chloro-2-thienyl
NH	propyl	OH	4-methyl-1-naphthyl
NH	propyl	OH	1-methyl-2-pyrrolyl
NH	propyl	OH	2-methyl-3-furyl
NH	propyl	OH	5-methyl-2-thienyl
NH	propyl	OH	4-methyl-5-imidazolyl
NH	propyl	OH	1-methyl-3-indolyl
NH	propyl	OH	2-methoxy-1-naphthyl
NH	propyl	OH	3-methoxy-2-naphthyl
NH	propyl	OH	6-ethoxy-2-naphthyl
NH	propyl	OH	5-methoxy-3-indolyl
NH	propyl	OH	1,4-dimethoxy-2-naphthyl
NH	propyl	OH	5,6-dimethoxy-2-indolyl
NH	propyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	propyl	OCOOMe	1-naphthyl
NH	propyl	OCOOMe	2-naphthyl
NH	propyl	OCOOMe	2-pyrrolyl
NH	propyl	OCOOMe	3-pyrrolyl
NH	propyl	OCOOMe	2-furyl
NH	propyl	OCOOMe	3-furyl
NH	propyl	OCOOMe	2-thienyl
NH	propyl	OCOOMe	3-thienyl
NH	propyl	OCOOMe	3-pyrazolyl
NH	propyl	OCOOMe	4-pyrazolyl
NH	propyl	OCOOMe	2-imidazolyl
NH	propyl	OCOOMe	4-imidazolyl
NH	propyl	OCOOMe	2-oxazolyl
NH	propyl	OCOOMe	4-oxazolyl
NH	propyl	OCOOMe	5-oxazolyl
NH	propyl	OCOOMe	2-thiazolyl
NH	propyl	OCOOMe	4-thiazolyl
NH	propyl	OCOOMe	5-thiazolyl
NH	propyl	OCOOMe	2-pyrimidinyl
NH	propyl	OCOOMe	4-pyrimidinyl
NH	propyl	OCOOMe	5-pyrimidinyl
NH	propyl	OCOOMe	2-indolyl
NH	propyl	OCOOMe	3-indolyl
NH	propyl	OCOOMe	5-indolyl
NH	propyl	OCOOMe	6-indolyl
NH	propyl	OCOOMe	5-benzimidazolyl
NH	propyl	OCOOMe	2-benzofuryl
NH	propyl	OCOOMe	3-indazolyl
NH	propyl	OCOOMe	2-benzoxazolyl
NH	propyl	OCOOMe	4-fluoro-1-naphthyl
NH	propyl	OCOOMe	5-chloro-2-thienyl
NH	propyl	OCOOMe	4-methyl-1-naphthyl
NH	propyl	OCOOMe	1-methyl-2-pyrrolyl
NH	propyl	OCOOMe	2-methyl-3-furyl
NH	propyl	OCOOMe	5-methyl-2-thienyl
NH	propyl	OCOOMe	4-methyl-5-imidazolyl
NH	propyl	OCOOMe	1-methyl-3-indolyl
NH	propyl	OCOOMe	2-methoxy-1-naphthyl
NH	propyl	OCOOMe	3-methoxy-2-naphthyl
NH	propyl	OCOOMe	6-ethoxy-2-naphthyl
NH	propyl	OCOOMe	5-methoxy-3-indolyl
NH	propyl	OCOOMe	1,4-dimethoxy-2-naphthyl
NH	propyl	OCOOMe	5,6-dimethoxy-2-indolyl
NH	propyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	propyl	OCOEt	1-naphthyl
NH	propyl	OCOEt	2-naphthyl
NH	propyl	OCOEt	2-pyrrolyl
NH	propyl	OCOEt	3-pyrrolyl
NH	propyl	OCOEt	2-furyl
NH	propyl	OCOEt	3-furyl
NH	propyl	OCOEt	2-thienyl
NH	propyl	OCOEt	3-thienyl
NH	propyl	OCOEt	3-pyrazolyl
NH	propyl	OCOEt	4-pyrazolyl
NH	propyl	OCOEt	2-imidazolyl
NH	propyl	OCOEt	4-imidazolyl
NH	propyl	OCOEt	2-oxazolyl
NH	propyl	OCOEt	4-oxazolyl
NH	propyl	OCOEt	5-oxazolyl
NH	propyl	OCOEt	2-thiazolyl
NH	propyl	OCOEt	4-thiazolyl
NH	propyl	OCOEt	5-thiazolyl
NH	propyl	OCOEt	2-pyrimidinyl
NH	propyl	OCOEt	4-pyrimidinyl
NH	propyl	OCOEt	5-pyrimidinyl
NH	propyl	OCOEt	2-indolyl
NH	propyl	OCOEt	3-indolyl
NH	propyl	OCOEt	5-indolyl
NH	propyl	OCOEt	6-indolyl
NH	propyl	OCOEt	5-benzimidazolyl
NH	propyl	OCOEt	2-benzofuryl
NH	propyl	OCOEt	3-indazolyl
NH	propyl	OCOEt	2-benzoxazolyl
NH	propyl	OCOEt	4-fluoro-1-naphthyl
NH	propyl	OCOEt	5-chloro-2-thienyl
NH	propyl	OCOEt	4-methyl-1-naphthyl
NH	propyl	OCOEt	1-methyl-2-pyrrolyl
NH	propyl	OCOEt	2-methyl-3-furyl
NH	propyl	OCOEt	5-methyl-2-thienyl
NH	propyl	OCOEt	4-methyl-5-imidazolyl
NH	propyl	OCOEt	1-methyl-3-indolyl
NH	propyl	OCOEt	2-methoxy-1-naphthyl
NH	propyl	OCOEt	3-methoxy-2-naphthyl
NH	propyl	OCOEt	6-ethoxy-2-naphthyl
NH	propyl	OCOEt	5-methoxy-3-indolyl
NH	propyl	OCOEt	1,4-dimethoxy-2-naphthyl
NH	propyl	OCOEt	5,6-dimethoxy-2-indolyl
NH	propyl	OCOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-butyl	OH	1-naphthyl
NH	n-butyl	OH	2-naphthyl
NH	n-butyl	OH	2-pyrrolyl
NH	n-butyl	OH	3-pyrrolyl
NH	n-butyl	OH	2-furyl
NH	n-butyl	OH	3-furyl
NH	n-butyl	OH	2-thienyl
NH	n-butyl	OH	3-thienyl
NH	n-butyl	OH	3-pyrazolyl
NH	n-butyl	OH	4-pyrazolyl
NH	n-butyl	OH	2-imidazolyl
NH	n-butyl	OH	4-imidazolyl
NH	n-butyl	OH	2-oxazolyl
NH	n-butyl	OH	4-oxazolyl
NH	n-butyl	OH	5-oxazolyl
NH	n-butyl	OH	2-thiazolyl
NH	n-butyl	OH	4-thiazolyl
NH	n-butyl	OH	5-thiazolyl
NH	n-butyl	OH	2-pyrimidinyl
NH	n-butyl	OH	4-pyrimidinyl
NH	n-butyl	OH	5-pyrimidinyl
NH	n-butyl	OH	2-indolyl
NH	n-butyl	OH	3-indolyl
NH	n-butyl	OH	5-indolyl
NH	n-butyl	OH	6-indolyl
NH	n-butyl	OH	5-benzimidazolyl
NH	n-butyl	OH	2-benzofuryl
NH	n-butyl	OH	3-indazolyl
NH	n-butyl	OH	2-benzoxazolyl
NH	n-butyl	OH	4-fluoro-1-naphthyl
NH	n-butyl	OH	5-chloro-2-thienyl
NH	n-butyl	OH	4-methyl-1-naphthyl
NH	n-butyl	OH	1-methyl-2-pyrrolyl
NH	n-butyl	OH	2-methyl-3-furyl
NH	n-butyl	OH	5-methyl-2-thienyl
NH	n-butyl	OH	4-methyl-5-imidazolyl
NH	n-butyl	OH	1-methyl-3-indolyl
NH	n-butyl	OH	2-methoxy-1-naphthyl
NH	n-butyl	OH	3-methoxy-2-naphthyl
NH	n-butyl	OH	6-ethoxy-2-naphthyl
NH	n-butyl	OH	5-methoxy-3-indolyl
NH	n-butyl	OH	1,4-dimethoxy-2-naphthyl
NH	n-butyl	OH	5,6-dimethoxy-2-indolyl
NH	n-butyl	OH	5-methoxy-1-methyl-2-indolyl



X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-butyl	OCOOMe	1-naphthyl
NH	n-butyl	OCOOMe	2-naphthyl
NH	n-butyl	OCOOMe	2-pyrrolyl
NH	n-butyl	OCOOMe	3-pyrrolyl
NH	n-butyl	OCOOMe	2-furyl
NH	n-butyl	OCOOMe	3-furyl
NH	n-butyl	OCOOMe	2-thienyl
NH	n-butyl	OCOOMe	3-thienyl
NH	n-butyl	OCOOMe	3-pyrazolyl
NH	n-butyl	OCOOMe	4-pyrazolyl
NH	n-butyl	OCOOMe	2-imidazolyl
NH	n-butyl	OCOOMe	4-imidazolyl
NH	n-butyl	OCOOMe	2-oxazolyl
NH	n-butyl	OCOOMe	4-oxazolyl
NH	n-butyl	OCOOMe	5-oxazolyl
NH	n-butyl	OCOOMe	2-thiazolyl
NH	n-butyl	OCOOMe	4-thiazolyl
NH	n-butyl	OCOOMe	5-thiazolyl
NH	n-butyl	OCOOMe	2-pyrimidinyl
NH	n-butyl	OCOOMe	4-pyrimidinyl
NH	n-butyl	OCOOMe	5-pyrimidinyl
NH	n-butyl	OCOOMe	2-indolyl
NH	n-butyl	OCOOMe	3-indolyl
NH	n-butyl	OCOOMe	5-indolyl
NH	n-butyl	OCOOMe	6-indolyl
NH	n-butyl	OCOOMe	5-benzimidazolyl
NH	n-butyl	OCOOMe	2-benzofuryl
NH	n-butyl	OCOOMe	3-indazolyl
NH	n-butyl	OCOOMe	2-benzoxazolyl
NH	n-butyl	OCOOMe	4-fluoro-1-naphthyl
NH	n-butyl	OCOOMe	5-chloro-2-thienyl
NH	n-butyl	OCOOMe	4-methyl-1-naphthyl
NH	n-butyl	OCOOMe	1-methyl-2-pyrrolyl
NH	n-butyl	OCOOMe	2-methyl-3-furyl
NH	n-butyl	OCOOMe	5-methyl-2-thienyl
NH	n-butyl	OCOOMe	4-methyl-5-imidazolyl
NH	n-butyl	OCOOMe	1-methyl-3-indolyl
NH	n-butyl	OCOOMe	2-methoxy-1-naphthyl
NH	n-butyl	OCOOMe	3-methoxy-2-naphthyl
NH	n-butyl	OCOOMe	6-ethoxy-2-naphthyl
NH	n-butyl	OCOOMe	5-methoxy-3-indolyl
NH	n-butyl	OCOOMe	1,4-dimethoxy-2-naphthyl
NH	n-butyl	OCOOMe	5,6-dimethoxy-2-indolyl
NH	n-butyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-butyl	OCOEt	1-naphthyl
NH	n-butyl	OCOEt	2-naphthyl
NH	n-butyl	OCOEt	2-pyrrolyl
NH	n-butyl	OCOEt	3-pyrrolyl
NH	n-butyl	OCOEt	2-furyl
NH	n-butyl	OCOEt	3-furyl
NH	n-butyl	OCOEt	2-thienyl
NH	n-butyl	OCOEt	3-thienyl
NH	n-butyl	OCOEt	3-pyrazolyl
NH	n-butyl	OCOEt	4-pyrazolyl
NH	n-butyl	OCOEt	2-imidazolyl
NH	n-butyl	OCOEt	4-imidazolyl
NH	n-butyl	OCOEt	2-oxazolyl
NH	n-butyl	OCOEt	4-oxazolyl
NH	n-butyl	OCOEt	5-oxazolyl
NH	n-butyl	OCOEt	2-thiazolyl
NH	n-butyl	OCOEt	4-thiazolyl
NH	n-butyl	OCOEt	5-thiazolyl
NH	n-butyl	OCOEt	2-pyrimidinyl
NH	n-butyl	OCOEt	4-pyrimidinyl
NH	n-butyl	OCOEt	5-pyrimidinyl
NH	n-butyl	OCOEt	2-indolyl
NH	n-butyl	OCOEt	3-indolyl
NH	n-butyl	OCOEt	5-indolyl
NH	n-butyl	OCOEt	6-indolyl
NH	n-butyl	OCOEt	5-benzimidazolyl
NH	n-butyl	OCOEt	2-benzofuryl
NH	n-butyl	OCOEt	3-indazolyl
NH	n-butyl	OCOEt	2-benzoxazolyl
NH	n-butyl	OCOEt	4-fluoro-1-naphthyl
NH	n-butyl	OCOEt	5-chloro-2-thienyl
NH	n-butyl	OCOEt	4-methyl-1-naphthyl
NH	n-butyl	OCOEt	1-methyl-2-pyrrolyl
NH	n-butyl	OCOEt	2-methyl-3-furyl
NH	n-butyl	OCOEt	5-methyl-2-thienyl
NH	n-butyl	OCOEt	4-methyl-5-imidazolyl
NH	n-butyl	OCOEt	1-methyl-3-indolyl
NH	n-butyl	OCOEt	2-methoxy-1-naphthyl
NH	n-butyl	OCOEt	3-methoxy-2-naphthyl
NH	n-butyl	OCOEt	6-ethoxy-2-naphthyl
NH	n-butyl	OCOEt	5-methoxy-3-indolyl
NH	n-butyl	OCOEt	1,4-dimethoxy-2-naphthyl
NH	n-butyl	OCOEt	5,6-dimethoxy-2-indolyl
NH	n-butyl	OCOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-pentyl	OH	1-naphthyl
NH	n-pentyl	OH	2-naphthyl
NH	n-pentyl	OH	2-pyrrolyl
NH	n-pentyl	OH	3-pyrrolyl
NH	n-pentyl	OH	2-furyl
NH	n-pentyl	OH	3-furyl
NH	n-pentyl	OH	2-thienyl
NH	n-pentyl	OH	3-thienyl
NH	n-pentyl	OH	3-pyrazolyl
NH	n-pentyl	OH	4-pyrazolyl
NH	n-pentyl	OH	2-imidazolyl
NH	n-pentyl	OH	4-imidazolyl
NH	n-pentyl	OH	2-oxazolyl
NH	n-pentyl	OH	4-oxazolyl
NH	n-pentyl	OH	5-oxazolyl
NH	n-pentyl	OH	2-thiazolyl
NH	n-pentyl	OH	4-thiazolyl
NH	n-pentyl	OH	5-thiazolyl
NH	n-pentyl	OH	2-pyrimidinyl
NH	n-pentyl	OH	4-pyrimidinyl
NH	n-pentyl	OH	5-pyrimidinyl
NH	n-pentyl	OH	2-indolyl
NH	n-pentyl	OH	3-indolyl
NH	n-pentyl	OH	5-indolyl
NH	n-pentyl	OH	6-indolyl
NH	n-pentyl	OH	5-benzimidazolyl
NH	n-pentyl	OH	2-benzofuryl
NH	n-pentyl	OH	3-indazolyl
NH	n-pentyl	OH	2-benzoxazolyl
NH	n-pentyl	OH	4-fluoro-1-naphthyl
NH	n-pentyl	OH	5-chloro-2-thienyl
NH	n-pentyl	OH	4-methyl-1-naphthyl
NH	n-pentyl	OH	1-methyl-2-pyrrolyl
NH	n-pentyl	OH	2-methyl-3-furyl
NH	n-pentyl	OH	5-methyl-2-thienyl
NH	n-pentyl	OH	4-methyl-5-imidazolyl
NH	n-pentyl	OH	1-methyl-3-indolyl
NH	n-pentyl	OH	2-methoxy-1-naphthyl
NH	n-pentyl	OH	3-methoxy-2-naphthyl
NH	n-pentyl	OH	6-ethoxy-2-naphthyl
NH	n-pentyl	OH	5-methoxy-3-indolyl
NH	n-pentyl	OH	1,4-dimethoxy-2-naphthyl
NH	n-pentyl	OH	5,6-dimethoxy-2-indolyl
NH	n-pentyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-pentyl	OCOOMe	1-naphthyl
NH	n-pentyl	OCOOMe	2-naphthyl
NH	n-pentyl	OCOOMe	2-pyrrolyl
NH	n-pentyl	OCOOMe	3-pyrrolyl
NH	n-pentyl	OCOOMe	2-furyl
NH	n-pentyl	OCOOMe	3-furyl
NH	n-pentyl	OCOOMe	2-thienyl
NH	n-pentyl	OCOOMe	3-thienyl
NH	n-pentyl	OCOOMe	3-pyrazolyl
NH	n-pentyl	OCOOMe	4-pyrazolyl
NH	n-pentyl	OCOOMe	2-imidazolyl
NH	n-pentyl	OCOOMe	4-imidazolyl
NH	n-pentyl	OCOOMe	2-oxazolyl
NH	n-pentyl	OCOOMe	4-oxazolyl
NH	n-pentyl	OCOOMe	5-oxazolyl
NH	n-pentyl	OCOOMe	2-thiazolyl
NH	n-pentyl	OCOOMe	4-thiazolyl
NH	n-pentyl	OCOOMe	5-thiazolyl
NH	n-pentyl	OCOOMe	2-pyrimidinyl
NH	n-pentyl	OCOOMe	4-pyrimidinyl
NH	n-pentyl	OCOOMe	5-pyrimidinyl
NH	n-pentyl	OCOOMe	2-indolyl
NH	n-pentyl	OCOOMe	3-indolyl
NH	n-pentyl	OCOOMe	5-indolyl
NH	n-pentyl	OCOOMe	6-indolyl
NH	n-pentyl	OCOOMe	5-benzimidazolyl
NH	n-pentyl	OCOOMe	2-benzofuryl
NH	n-pentyl	OCOOMe	3-indazolyl
NH	n-pentyl	OCOOMe	2-benzoxazolyl
NH	n-pentyl	OCOOMe	4-fluoro-1-naphthyl
NH	n-pentyl	OCOOMe	5-chloro-2-thienyl
NH	n-pentyl	OCOOMe	4-methyl-1-naphthyl
NH	n-pentyl	OCOOMe	1-methyl-2-pyrrolyl
NH	n-pentyl	OCOOMe	2-methyl-3-furyl
NH	n-pentyl	OCOOMe	5-methyl-2-thienyl
NH	n-pentyl	OCOOMe	4-methyl-5-imidazolyl
NH	n-pentyl	OCOOMe	1-methyl-3-indolyl
NH	n-pentyl	OCOOMe	2-methoxy-1-naphthyl
NH	n-pentyl	OCOOMe	3-methoxy-2-naphthyl
NH	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl
NH	n-pentyl	OCOOMe	5-methoxy-3-indolyl
NH	n-pentyl	OCOOMe	1,4-dimethoxy-2-naphthyl
NH	n-pentyl	OCOOMe	5,6-dimethoxy-2-indolyl
NH	n-pentyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	n-pentyl	OCOEt	1-naphthyl
NH	n-pentyl	OCOEt	2-naphthyl
NH	n-pentyl	OCOEt	2-pyrrolyl
NH	n-pentyl	OCOEt	3-pyrrolyl
NH	n-pentyl	OCOEt	2-furyl
NH	n-pentyl	OCOEt	3-furyl
NH	n-pentyl	OCOEt	2-thienyl
NH	n-pentyl	OCOEt	3-thienyl
NH	n-pentyl	OCOEt	3-pyrazolyl
NH	n-pentyl	OCOEt	4-pyrazolyl
NH	n-pentyl	OCOEt	2-imidazolyl
NH	n-pentyl	OCOEt	4-imidazolyl
NH	n-pentyl	OCOEt	2-oxazolyl
NH	n-pentyl	OCOEt	4-oxazolyl
NH	n-pentyl	OCOEt	5-oxazolyl
NH	n-pentyl	OCOEt	2-thiazolyl
NH	n-pentyl	OCOEt	4-thiazolyl
NH	n-pentyl	OCOEt	5-thiazolyl
NH	n-pentyl	OCOEt	2-pyrimidinyl
NH	n-pentyl	OCOEt	4-pyrimidinyl
NH	n-pentyl	OCOEt	5-pyrimidinyl
NH	n-pentyl	OCOEt	2-indolyl
NH	n-pentyl	OCOEt	3-indolyl
NH	n-pentyl	OCOEt	5-indolyl
NH	n-pentyl	OCOEt	6-indolyl
NH	n-pentyl	OCOEt	5-benzimidazolyl
NH	n-pentyl	OCOEt	2-benzofuryl
NH	n-pentyl	OCOEt	3-indazolyl
NH	n-pentyl	OCOEt	2-benzoxazolyl
NH	n-pentyl	OCOEt	4-fluoro-1-naphthyl
NH	n-pentyl	OCOEt	5-chloro-2-thienyl
NH	n-pentyl	OCOEt	4-methyl-1-naphthyl
NH	n-pentyl	OCOEt	1-methyl-2-pyrrolyl
NH	n-pentyl	OCOEt	2-methyl-3-furyl
NH	n-pentyl	OCOEt	5-methyl-2-thienyl
NH	n-pentyl	OCOEt	4-methyl-5-imidazolyl
NH	n-pentyl	OCOEt	1-methyl-3-indolyl
NH	n-pentyl	OCOEt	2-methoxy-1-naphthyl
NH	n-pentyl	OCOEt	3-methoxy-2-naphthyl
NH	n-pentyl	OCOEt	6-ethoxy-2-naphthyl
NH	n-pentyl	OCOEt	5-methoxy-3-indolyl
NH	n-pentyl	OCOEt	1,4-dimethoxy-2-naphthyl
NH	n-pentyl	OCOEt	5,6-dimethoxy-2-indolyl
NH	n-pentyl	OCOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	propyl	OH	1-naphthyl
O	propyl	OH	2-naphthyl
O	propyl	OH	2-pyrrolyl
O	propyl	OH	3-pyrrolyl
O	propyl	OH	2-furyl
O	propyl	OH	3-furyl
O	propyl	OH	2-thienyl
O	propyl	OH	3-thienyl
O	propyl	OH	3-pyrazolyl
O	propyl	OH	4-pyrazolyl
O	propyl	OH	2-imidazolyl
O	propyl	OH	4-imidazolyl
O	propyl	OH	2-oxazolyl
O	propyl	OH	4-oxazolyl
O	propyl	OH	5-oxazolyl
O	propyl	OH	2-thiazolyl
O	propyl	OH	4-thiazolyl
O	propyl	OH	5-thiazolyl
O	propyl	OH	2-pyrimidinyl
O	propyl	OH	4-pyrimidinyl
O	propyl	OH	5-pyrimidinyl
O	propyl	OH	2-indolyl
O	propyl	OH	3-indolyl
O	propyl	OH	5-indolyl
O	propyl	OH	6-indolyl
O	propyl	OH	5-benzimidazolyl
O	propyl	OH	2-benzofuryl
O	propyl	OH	3-indazolyl
O	propyl	OH	2-benzoxazolyl
O	propyl	OH	4-fluoro-1-naphthyl
O	propyl	OH	5-chloro-2-thienyl
O	propyl	OH	4-methyl-1-naphthyl
O	propyl	OH	1-methyl-2-pyrrolyl
O	propyl	OH	2-methyl-3-furyl
O	propyl	OH	5-methyl-2-thienyl
O	propyl	OH	4-methyl-5-imidazolyl
O	propyl	OH	1-methyl-3-indolyl
O	propyl	OH	2-methoxy-1-naphthyl
O	propyl	OH	3-methoxy-2-naphthyl
O	propyl	OH	6-ethoxy-2-naphthyl
O	propyl	OH	5-methoxy-3-indolyl
O	propyl	OH	1,4-dimethoxy-2-naphthyl
O	propyl	OH	5,6-dimethoxy-2-indolyl
O	propyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	propyl	OCOOMe	1-naphthyl
O	propyl	OCOOMe	2-naphthyl
O	propyl	OCOOMe	2-pyrrolyl
O	propyl	OCOOMe	3-pyrrolyl
O	propyl	OCOOMe	2-furyl
O	propyl	OCOOMe	3-furyl
O	propyl	OCOOMe	2-thienyl
O	propyl	OCOOMe	3-thienyl
O	propyl	OCOOMe	3-pyrazolyl
O	propyl	OCOOMe	4-pyrazolyl
O	propyl	OCOOMe	2-imidazolyl
O	propyl	OCOOMe	4-imidazolyl
O	propyl	OCOOMe	2-oxazolyl
O	propyl	OCOOMe	4-oxazolyl
O	propyl	OCOOMe	5-oxazolyl
O	propyl	OCOOMe	2-thiazolyl
O	propyl	OCOOMe	4-thiazolyl
O	propyl	OCOOMe	5-thiazolyl
O	propyl	OCOOMe	2-pyrimidinyl
O	propyl	OCOOMe	4-pyrimidinyl
O	propyl	OCOOMe	5-pyrimidinyl
O	propyl	OCOOMe	2-indolyl
O	propyl	OCOOMe	3-indolyl
O	propyl	OCOOMe	5-indolyl
O	propyl	OCOOMe	6-indolyl
O	propyl	OCOOMe	5-benzimidazolyl
O	propyl	OCOOMe	2-benzofuryl
O	propyl	OCOOMe	3-indazolyl
O	propyl	OCOOMe	2-benzoxazolyl
O	propyl	OCOOMe	4-fluoro-1-naphthyl
O	propyl	OCOOMe	5-chloro-2-thienyl
O	propyl	OCOOMe	4-methyl-1-naphthyl
O	propyl	OCOOMe	1-methyl-2-pyrrolyl
O	propyl	OCOOMe	2-methyl-3-furyl
O	propyl	OCOOMe	5-methyl-2-thienyl
O	propyl	OCOOMe	4-methyl-5-imidazolyl
O	propyl	OCOOMe	1-methyl-3-indolyl
O	propyl	OCOOMe	2-methoxy-1-naphthyl
O	propyl	OCOOMe	3-methoxy-2-naphthyl
O	propyl	OCOOMe	6-ethoxy-2-naphthyl
O	propyl	OCOOMe	5-methoxy-3-indolyl
O	propyl	OCOOMe	1,4-dimethoxy-2-naphthyl
O	propyl	OCOOMe	5,6-dimethoxy-2-indolyl
O	propyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	propyl	OCOOEt	1-naphthyl
O	propyl	OCOOEt	2-naphthyl
O	propyl	OCOOEt	2-pyrrolyl
O	propyl	OCOOEt	3-pyrrolyl
O	propyl	OCOOEt	2-furyl
O	propyl	OCOOEt	3-furyl
O	propyl	OCOOEt	2-thienyl
O	propyl	OCOOEt	3-thienyl
O	propyl	OCOOEt	3-pyrazolyl
O	propyl	OCOOEt	4-pyrazolyl
O	propyl	OCOOEt	2-imidazolyl
O	propyl	OCOOEt	4-imidazolyl
O	propyl	OCOOEt	2-oxazolyl
O	propyl	OCOOEt	4-oxazolyl
O	propyl	OCOOEt	5-oxazolyl
O	propyl	OCOOEt	2-thiazolyl
O	propyl	OCOOEt	4-thiazolyl
O	propyl	OCOOEt	5-thiazolyl
O	propyl	OCOOEt	2-pyrimidinyl
O	propyl	OCOOEt	4-pyrimidinyl
O	propyl	OCOOEt	5-pyrimidinyl
O	propyl	OCOOEt	2-indolyl
O	propyl	OCOOEt	3-indolyl
O	propyl	OCOOEt	5-indolyl
O	propyl	OCOOEt	6-indolyl
O	propyl	OCOOEt	5-benzimidazolyl
O	propyl	OCOOEt	2-benzofuryl
O	propyl	OCOOEt	3-indazolyl
O	propyl	OCOOEt	2-benzoxazolyl
O	propyl	OCOOEt	4-fluoro-1-naphthyl
O	propyl	OCOOEt	5-chloro-2-thienyl
O	propyl	OCOOEt	4-methyl-1-naphthyl
O	propyl	OCOOEt	1-methyl-2-pyrrolyl
O	propyl	OCOOEt	2-methyl-3-furyl
O	propyl	OCOOEt	5-methyl-2-thienyl
O	propyl	OCOOEt	4-methyl-5-imidazolyl
O	propyl	OCOOEt	1-methyl-3-indolyl
O	propyl	OCOOEt	2-methoxy-1-naphthyl
O	propyl	OCOOEt	3-methoxy-2-naphthyl
O	propyl	OCOOEt	6-ethoxy-2-naphthyl
O	propyl	OCOOEt	5-methoxy-3-indolyl
O	propyl	OCOOEt	1,4-dimethoxy-2-naphthyl
O	propyl	OCOOEt	5,6-dimethoxy-2-indolyl
O	propyl	OCOOEt	5-methoxy-1-methyl-2-indolyl



X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-butyl	OH	1-naphthyl
O	n-butyl	OH	2-naphthyl
O	n-butyl	OH	2-pyrrolyl
O	n-butyl	OH	3-pyrrolyl
O	n-butyl	OH	2-furyl
O	n-butyl	OH	3-furyl
O	n-butyl	OH	2-thienyl
O	n-butyl	OH	3-thienyl
O	n-butyl	OH	3-pyrazolyl
O	n-butyl	OH	4-pyrazolyl
O	n-butyl	OH	2-imidazolyl
O	n-butyl	OH	4-imidazolyl
O	n-butyl	OH	2-oxazolyl
O	n-butyl	OH	4-oxazolyl
O	n-butyl	OH	5-oxazolyl
O	n-butyl	OH	2-thiazolyl
O	n-butyl	OH	4-thiazolyl
O	n-butyl	OH	5-thiazolyl
O	n-butyl	OH	2-pyrimidinyl
O	n-butyl	OH	4-pyrimidinyl
O	n-butyl	OH	5-pyrimidinyl
O	n-butyl	OH	2-indolyl
O	n-butyl	OH	3-indolyl
O	n-butyl	OH	5-indolyl
O	n-butyl	OH	6-indolyl
O	n-butyl	OH	5-benzimidazolyl
O	n-butyl	OH	2-benzofuryl
O	n-butyl	OH	3-indazolyl
O	n-butyl	OH	2-benzoxazolyl
O	n-butyl	OH	4-fluoro-1-naphthyl
O	n-butyl	OH	5-chloro-2-thienyl
O	n-butyl	OH	4-methyl-1-naphthyl
O	n-butyl	OH	1-methyl-2-pyrrolyl
O	n-butyl	OH	2-methyl-3-furyl
O	n-butyl	OH	5-methyl-2-thienyl
O	n-butyl	OH	4-methyl-5-imidazolyl
O	n-butyl	OH	1-methyl-3-indolyl
O	n-butyl	OH	2-methoxy-1-naphthyl
O	n-butyl	OH	3-methoxy-2-naphthyl
O	n-butyl	OH	6-ethoxy-2-naphthyl
O	n-butyl	OH	5-methoxy-3-indolyl
O	n-butyl	OH	1,4-dimethoxy-2-naphthyl
O	n-butyl	OH	5,6-dimethoxy-2-indolyl
O	n-butyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-butyl	OCOOMe	1-naphthyl
O	n-butyl	OCOOMe	2-naphthyl
O	n-butyl	OCOOMe	2-pyrrolyl
O	n-butyl	OCOOMe	3-pyrrolyl
O	n-butyl	OCOOMe	2-furyl
O	n-butyl	OCOOMe	3-furyl
O	n-butyl	OCOOMe	2-thienyl
O	n-butyl	OCOOMe	3-thienyl
O	n-butyl	OCOOMe	3-pyrazolyl
O	n-butyl	OCOOMe	4-pyrazolyl
O	n-butyl	OCOOMe	2-imidazolyl
O	n-butyl	OCOOMe	4-imidazolyl
O	n-butyl	OCOOMe	2-oxazolyl
O	n-butyl	OCOOMe	4-oxazolyl
O	n-butyl	OCOOMe	5-oxazolyl
O	n-butyl	OCOOMe	2-thiazolyl
O	n-butyl	OCOOMe	4-thiazolyl
O	n-butyl	OCOOMe	5-thiazolyl
O	n-butyl	OCOOMe	2-pyrimidinyl
O	n-butyl	OCOOMe	4-pyrimidinyl
O	n-butyl	OCOOMe	5-pyrimidinyl
O	n-butyl	OCOOMe	2-indolyl
O	n-butyl	OCOOMe	3-indolyl
O	n-butyl	OCOOMe	5-indolyl
O	n-butyl	OCOOMe	6-indolyl
O	n-butyl	OCOOMe	5-benzimidazolyl
O	n-butyl	OCOOMe	2-benzofuryl
O	n-butyl	OCOOMe	3-indazolyl
O	n-butyl	OCOOMe	2-benzoxazolyl
O	n-butyl	OCOOMe	4-fluoro-1-naphthyl
O	n-butyl	OCOOMe	5-chloro-2-thienyl
O	n-butyl	OCOOMe	4-methyl-1-naphthyl
O	n-butyl	OCOOMe	1-methyl-2-pyrrolyl
O	n-butyl	OCOOMe	2-methyl-3-furyl
O	n-butyl	OCOOMe	5-methyl-2-thienyl
O	n-butyl	OCOOMe	4-methyl-5-imidazolyl
O	n-butyl	OCOOMe	1-methyl-3-indolyl
O	n-butyl	OCOOMe	2-methoxy-1-naphthyl
O	n-butyl	OCOOMe	3-methoxy-2-naphthyl
O	n-butyl	OCOOMe	6-ethoxy-2-naphthyl
O	n-butyl	OCOOMe	5-methoxy-3-indolyl
O	n-butyl	OCOOMe	1,4-dimethoxy-2-naphthyl
O	n-butyl	OCOOMe	5,6-dimethoxy-2-indolyl
O	n-butyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
0	n-butyl	OCOOEt	1-naphthyl
0	n-butyl	OCOOEt	2-naphthyl
0	n-butyl	OCOOEt	2-pyrrolyl
0	n-butyl	OCOOEt	3-pyrrolyl
0	n-butyl	OCOOEt	2-furyl
0	n-butyl	OCOOEt	3-furyl
0	n-butyl	OCOOEt	2-thienyl
0	n-butyl	OCOOEt	3-thienyl
0	n-butyl	OCOOEt	3-pyrazolyl
0	n-butyl	OCOOEt	4-pyrazolyl
0	n-butyl	OCOOEt	2-imidazolyl
0	n-butyl	OCOOEt	4-imidazolyl
0	n-butyl	OCOOEt	2-oxazolyl
0	n-butyl	OCOOEt	4-oxazolyl
0	n-butyl	OCOOEt	5-oxazolyl
0	n-butyl	OCOOEt	2-thiazolyl
0	n-butyl	OCOOEt	4-thiazolyl
0	n-butyl	OCOOEt	5-thiazolyl
0	n-butyl	OCOOEt	2-pyrimidinyl
0	n-butyl	OCOOEt	4-pyrimidinyl
0	n-butyl	OCOOEt	5-pyrimidinyl
0	n-butyl	OCOOEt	2-indolyl
0	n-butyl	OCOOEt	3-indolyl
0	n-butyl	OCOOEt	5-indolyl
0	n-butyl	OCOOEt	6-indolyl
0	n-butyl	OCOOEt	5-benzimidazolyl
0	n-butyl	OCOOEt	2-benzofuryl
0	n-butyl	OCOOEt	3-indazolyl
0	n-butyl	OCOOEt	2-benzoxazolyl
0	n-butyl	OCOOEt	4-fluoro-1-naphthyl
0	n-butyl	OCOOEt	5-chloro-2-thienyl
0	n-butyl	OCOOEt	4-methyl-1-naphthyl
0	n-butyl	OCOOEt	1-methyl-2-pyrrolyl
0	n-butyl	OCOOEt	2-methyl-3-furyl
0	n-butyl	OCOOEt	5-methyl-2-thienyl
0	n-butyl	OCOOEt	4-methyl-5-imidazolyl
0	n-butyl	OCOOEt	1-methyl-3-indolyl
0	n-butyl	OCOOEt	2-methoxy-1-naphthyl
0	n-butyl	OCOOEt	3-methoxy-2-naphthyl
0	n-butyl	OCOOEt	6-ethoxy-2-naphthyl
0	n-butyl	OCOOEt	5-methoxy-3-indolyl
0	n-butyl	OCOOEt	1,4-dimethoxy-2-naphthyl
0	n-butyl	OCOOEt	5,6-dimethoxy-2-indolyl
0	n-butyl	OCOOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-pentyl	OH	1-naphthyl
O	n-pentyl	OH	2-naphthyl
O	n-pentyl	OH	2-pyrrolyl
O	n-pentyl	OH	3-pyrrolyl
O	n-pentyl	OH	2-furyl
O	n-pentyl	OH	3-furyl
O	n-pentyl	OH	2-thienyl
O	n-pentyl	OH	3-thienyl
O	n-pentyl	OH	3-pyrazolyl
O	n-pentyl	OH	4-pyrazolyl
O	n-pentyl	OH	2-imidazolyl
O	n-pentyl	OH	4-imidazolyl
O	n-pentyl	OH	2-oxazolyl
O	n-pentyl	OH	4-oxazolyl
O	n-pentyl	OH	5-oxazolyl
O	n-pentyl	OH	2-thiazolyl
O	n-pentyl	OH	4-thiazolyl
O	n-pentyl	OH	5-thiazolyl
O	n-pentyl	OH	2-pyrimidinyl
O	n-pentyl	OH	4-pyrimidinyl
O	n-pentyl	OH	5-pyrimidinyl
O	n-pentyl	OH	2-indolyl
O	n-pentyl	OH	3-indolyl
O	n-pentyl	OH	5-indolyl
O	n-pentyl	OH	6-indolyl
O	n-pentyl	OH	5-benzimidazolyl
O	n-pentyl	OH	2-benzofuryl
O	n-pentyl	OH	3-indazolyl
O	n-pentyl	OH	2-benzoxazolyl
O	n-pentyl	OH	4-fluoro-1-naphthyl
O	n-pentyl	OH	5-chloro-2-thienyl
O	n-pentyl	OH	4-methyl-1-naphthyl
O	n-pentyl	OH	1-methyl-2-pyrrolyl
O	n-pentyl	OH	2-methyl-3-furyl
O	n-pentyl	OH	5-methyl-2-thienyl
O	n-pentyl	OH	4-methyl-5-imidazolyl
O	n-pentyl	OH	1-methyl-3-indolyl
O	n-pentyl	OH	2-methoxy-1-naphthyl
O	n-pentyl	OH	3-methoxy-2-naphthyl
O	n-pentyl	OH	6-methoxy-2-naphthyl
O	n-pentyl	OH	5-methoxy-3-indolyl
O	n-pentyl	OH	1,4-dimethoxy-2-naphthyl
O	n-pentyl	OH	5,6-dimethoxy-2-indolyl
O	n-pentyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-pentyl	OCOOMe	1-naphthyl
O	n-pentyl	OCOOMe	2-naphthyl
O	n-pentyl	OCOOMe	2-pyrrolyl
O	n-pentyl	OCOOMe	3-pyrrolyl
O	n-pentyl	OCOOMe	2-furyl
O	n-pentyl	OCOOMe	3-furyl
O	n-pentyl	OCOOMe	2-thienyl
O	n-pentyl	OCOOMe	3-thienyl
O	n-pentyl	OCOOMe	3-pyrazolyl
O	n-pentyl	OCOOMe	4-pyrazolyl
O	n-pentyl	OCOOMe	2-imidazolyl
O	n-pentyl	OCOOMe	4-imidazolyl
O	n-pentyl	OCOOMe	2-oxazolyl
O	n-pentyl	OCOOMe	4-oxazolyl
O	n-pentyl	OCOOMe	5-oxazolyl
O	n-pentyl	OCOOMe	2-thiazolyl
O	n-pentyl	OCOOMe	4-thiazolyl
O	n-pentyl	OCOOMe	5-thiazolyl
O	n-pentyl	OCOOMe	2-pyrimidinyl
O	n-pentyl	OCOOMe	4-pyrimidinyl
O	n-pentyl	OCOOMe	5-pyrimidinyl
O	n-pentyl	OCOOMe	2-indolyl
O	n-pentyl	OCOOMe	3-indolyl
O	n-pentyl	OCOOMe	5-indolyl
O	n-pentyl	OCOOMe	6-indolyl
O	n-pentyl	OCOOMe	5-benzimidazolyl
O	n-pentyl	OCOOMe	2-benzofuryl
O	n-pentyl	OCOOMe	3-indazolyl
O	n-pentyl	OCOOMe	2-benzoxazolyl
O	n-pentyl	OCOOMe	4-fluoro-1-naphthyl
O	n-pentyl	OCOOMe	5-chloro-2-thienyl
O	n-pentyl	OCOOMe	4-methyl-1-naphthyl
O	n-pentyl	OCOOMe	1-methyl-2-pyrrolyl
O	n-pentyl	OCOOMe	2-methyl-3-furyl
O	n-pentyl	OCOOMe	5-methyl-2-thienyl
O	n-pentyl	OCOOMe	4-methyl-5-imidazolyl
O	n-pentyl	OCOOMe	1-methyl-3-indolyl
O	n-pentyl	OCOOMe	2-methoxy-1-naphthyl
O	n-pentyl	OCOOMe	3-methoxy-2-naphthyl
O	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl
O	n-pentyl	OCOOMe	5-methoxy-3-indolyl
O	n-pentyl	OCOOMe	1,4-dimethoxy-2-naphthyl
O	n-pentyl	OCOOMe	5,6-dimethoxy-2-indolyl
O	n-pentyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	n-pentyl	OCOOEt	1-naphthyl
O	n-pentyl	OCOOEt	2-naphthyl
O	n-pentyl	OCOOEt	2-pyrrolyl
O	n-pentyl	OCOOEt	3-pyrrolyl
O	n-pentyl	OCOOEt	2-furyl
O	n-pentyl	OCOOEt	3-furyl
O	n-pentyl	OCOOEt	2-thienyl
O	n-pentyl	OCOOEt	3-thienyl
O	n-pentyl	OCOOEt	3-pyrazolyl
O	n-pentyl	OCOOEt	4-pyrazolyl
O	n-pentyl	OCOOEt	2-imidazolyl
O	n-pentyl	OCOOEt	4-imidazolyl
O	n-pentyl	OCOOEt	2-oxazolyl
O	n-pentyl	OCOOEt	4-oxazolyl
O	n-pentyl	OCOOEt	5-oxazolyl
O	n-pentyl	OCOOEt	2-thiazolyl
O	n-pentyl	OCOOEt	4-thiazolyl
O	n-pentyl	OCOOEt	5-thiazolyl
O	n-pentyl	OCOOEt	2-pyrimidinyl
O	n-pentyl	OCOOEt	4-pyrimidinyl
O	n-pentyl	OCOOEt	5-pyrimidinyl
O	n-pentyl	OCOOEt	2-indolyl
O	n-pentyl	OCOOEt	3-indolyl
O	n-pentyl	OCOOEt	5-indolyl
O	n-pentyl	OCOOEt	6-indolyl
O	n-pentyl	OCOOEt	5-benzimidazolyl
O	n-pentyl	OCOOEt	2-benzofuryl
O	n-pentyl	OCOOEt	3-indazolyl
O	n-pentyl	OCOOEt	2-benzoxazolyl
O	n-pentyl	OCOOEt	4-fluoro-1-naphthyl
O	n-pentyl	OCOOEt	5-chloro-2-thienyl
O	n-pentyl	OCOOEt	4-methyl-1-naphthyl
O	n-pentyl	OCOOEt	1-methyl-2-pyrrolyl
O	n-pentyl	OCOOEt	2-methyl-3-furyl
O	n-pentyl	OCOOEt	5-methyl-2-thienyl
O	n-pentyl	OCOOEt	4-methyl-5-imidazolyl
O	n-pentyl	OCOOEt	1-methyl-3-indolyl
O	n-pentyl	OCOOEt	2-methoxy-1-naphthyl
O	n-pentyl	OCOOEt	3-methoxy-2-naphthyl
O	n-pentyl	OCOOEt	6-ethoxy-2-naphthyl
O	n-pentyl	OCOOEt	5-methoxy-3-indolyl
O	n-pentyl	OCOOEt	1,4-dimethoxy-2-naphthyl
O	n-pentyl	OCOOEt	5,6-dimethoxy-2-indolyl
O	n-pentyl	OCOOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	propyl	OH	1-naphthyl
S	propyl	OH	2-naphthyl
S	propyl	OH	2-pyrrolyl
S	propyl	OH	3-pyrrolyl
S	propyl	OH	2-furyl
S	propyl	OH	3-furyl
S	propyl	OH	2-thienyl
S	propyl	OH	3-thienyl
S	propyl	OH	3-pyrazolyl
S	propyl	OH	4-pyrazolyl
S	propyl	OH	2-imidazolyl
S	propyl	OH	4-imidazolyl
S	propyl	OH	2-oxazolyl
S	propyl	OH	4-oxazolyl
S	propyl	OH	5-oxazolyl
S	propyl	OH	2-thiazolyl
S	propyl	OH	4-thiazolyl
S	propyl	OH	5-thiazolyl
S	propyl	OH	2-pyrimidinyl
S	propyl	OH	4-pyrimidinyl
S	propyl	OH	5-pyrimidinyl
S	propyl	OH	2-indolyl
S	propyl	OH	3-indolyl
S	propyl	OH	5-indolyl
S	propyl	OH	6-indolyl
S	propyl	OH	5-benzimidazolyl
S	propyl	OH	2-benzofuryl
S	propyl	OH	3-indazolyl
S	propyl	OH	2-benzoxazolyl
S	propyl	OH	4-fluoro-1-naphthyl
S	propyl	OH	5-chloro-2-thienyl
S	propyl	OH	4-methyl-1-naphthyl
S	propyl	OH	1-methyl-2-pyrrolyl
S	propyl	OH	2-methyl-3-furyl
S	propyl	OH	5-methyl-2-thienyl
S	propyl	OH	4-methyl-5-imidazolyl
S	propyl	OH	1-methyl-3-indolyl
S	propyl	OH	2-methoxy-1-naphthyl
S	propyl	OH	3-methoxy-2-naphthyl
S	propyl	OH	6-ethoxy-2-naphthyl
S	propyl	OH	5-methoxy-3-indolyl
S	propyl	OH	1,4-dimethoxy-2-naphthyl
S	propyl	OH	5,6-dimethoxy-2-indolyl
S	propyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	propyl	OCOOMe	1-naphthyl
S	propyl	OCOOMe	2-naphthyl
S	propyl	OCOOMe	2-pyrrolyl
S	propyl	OCOOMe	3-pyrrolyl
S	propyl	OCOOMe	2-furyl
S	propyl	OCOOMe	3-furyl
S	propyl	OCOOMe	2-thienyl
S	propyl	OCOOMe	3-thienyl
S	propyl	OCOOMe	3-pyrazolyl
S	propyl	OCOOMe	4-pyrazolyl
S	propyl	OCOOMe	2-imidazolyl
S	propyl	OCOOMe	4-imidazolyl
S	propyl	OCOOMe	2-oxazolyl
S	propyl	OCOOMe	4-oxazolyl
S	propyl	OCOOMe	5-oxazolyl
S	propyl	OCOOMe	2-thiazolyl
S	propyl	OCOOMe	4-thiazolyl
S	propyl	OCOOMe	5-thiazolyl
S	propyl	OCOOMe	2-pyrimidinyl
S	propyl	OCOOMe	4-pyrimidinyl
S	propyl	OCOOMe	5-pyrimidinyl
S	propyl	OCOOMe	2-indolyl
S	propyl	OCOOMe	3-indolyl
S	propyl	OCOOMe	5-indolyl
S	propyl	OCOOMe	6-indolyl
S	propyl	OCOOMe	5-benzimidazolyl
S	propyl	OCOOMe	2-benzofuryl
S	propyl	OCOOMe	3-indazolyl
S	propyl	OCOOMe	2-benzoxazolyl
S	propyl	OCOOMe	4-fluoro-1-naphthyl
S	propyl	OCOOMe	5-chloro-2-thienyl
S	propyl	OCOOMe	4-methyl-1-naphthyl
S	propyl	OCOOMe	1-methyl-2-pyrrolyl
S	propyl	OCOOMe	2-methyl-3-furyl
S	propyl	OCOOMe	5-methyl-2-thienyl
S	propyl	OCOOMe	4-methyl-5-imidazolyl
S	propyl	OCOOMe	1-methyl-3-indolyl
S	propyl	OCOOMe	2-methoxy-1-naphthyl
S	propyl	OCOOMe	3-methoxy-2-naphthyl
S	propyl	OCOOMe	6-ethoxy-2-naphthyl
S	propyl	OCOOMe	5-methoxy-3-indolyl
S	propyl	OCOOMe	1,4-dimethoxy-2-naphthyl
S	propyl	OCOOMe	5,6-dimethoxy-2-indolyl
S	propyl	OCOOMe	5-methoxy-1-methyl-2-indolyl



X	R <sup>1</sup>	R <sup>2</sup>	Y
S	propyl	OCOOEt	1-naphthyl
S	propyl	OCOOEt	2-naphthyl
S	propyl	OCOOEt	2-pyrrolyl
S	propyl	OCOOEt	3-pyrrolyl
S	propyl	OCOOEt	2-furyl
S	propyl	OCOOEt	3-furyl
S	propyl	OCOOEt	2-thienyl
S	propyl	OCOOEt	3-thienyl
S	propyl	OCOOEt	3-pyrazolyl
S	propyl	OCOOEt	4-pyrazolyl
S	propyl	OCOOEt	2-imidazolyl
S	propyl	OCOOEt	4-imidazolyl
S	propyl	OCOOEt	2-oxazolyl
S	propyl	OCOOEt	4-oxazolyl
S	propyl	OCOOEt	5-oxazolyl
S	propyl	OCOOEt	2-thiazolyl
S	propyl	OCOOEt	4-thiazolyl
S	propyl	OCOOEt	5-thiazolyl
S	propyl	OCOOEt	2-pyrimidinyl
S	propyl	OCOOEt	4-pyrimidinyl
S	propyl	OCOOEt	5-pyrimidinyl
S	propyl	OCOOEt	2-indolyl
S	propyl	OCOOEt	3-indolyl
S	propyl	OCOOEt	5-indolyl
S	propyl	OCOOEt	6-indolyl
S	propyl	OCOOEt	5-benzimidazolyl
S	propyl	OCOOEt	2-benzofuryl
S	propyl	OCOOEt	3-indazolyl
S	propyl	OCOOEt	2-benzoxazolyl
S	propyl	OCOOEt	4-fluoro-1-naphthyl
S	propyl	OCOOEt	5-chloro-2-thienyl
S	propyl	OCOOEt	4-methyl-1-naphthyl
S	propyl	OCOOEt	1-methyl-2-pyrrolyl
S	propyl	OCOOEt	2-methyl-3-furyl
S	propyl	OCOOEt	5-methyl-2-thienyl
S	propyl	OCOOEt	4-methyl-5-imidazolyl
S	propyl	OCOOEt	1-methyl-3-indolyl
S	propyl	OCOOEt	2-methoxy-1-naphthyl
S	propyl	OCOOEt	3-methoxy-2-naphthyl
S	propyl	OCOOEt	6-ethoxy-2-naphthyl
S	propyl	OCOOEt	5-methoxy-3-indolyl
S	propyl	OCOOEt	1,4-dimethoxy-2-naphthyl
S	propyl	OCOOEt	5,6-dimethoxy-2-indolyl
S	propyl	OCOOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-butyl	OH	1-naphthyl
S	n-butyl	OH	2-naphthyl
S	n-butyl	OH	2-pyrrolyl
S	n-butyl	OH	3-pyrrolyl
S	n-butyl	OH	2-furyl
S	n-butyl	OH	3-furyl
S	n-butyl	OH	2-thienyl
S	n-butyl	OH	3-thienyl
S	n-butyl	OH	3-pyrazolyl
S	n-butyl	OH	4-pyrazolyl
S	n-butyl	OH	2-imidazolyl
S	n-butyl	OH	4-imidazolyl
S	n-butyl	OH	2-oxazolyl
S	n-butyl	OH	4-oxazolyl
S	n-butyl	OH	5-oxazolyl
S	n-butyl	OH	2-thiazolyl
S	n-butyl	OH	4-thiazolyl
S	n-butyl	OH	5-thiazolyl
S	n-butyl	OH	2-pyrimidinyl
S	n-butyl	OH	4-pyrimidinyl
S	n-butyl	OH	5-pyrimidinyl
S	n-butyl	OH	2-indolyl
S	n-butyl	OH	3-indolyl
S	n-butyl	OH	5-indolyl
S	n-butyl	OH	6-indolyl
S	n-butyl	OH	5-benzimidazolyl
S	n-butyl	OH	2-benzofuryl
S	n-butyl	OH	3-indazolyl
S	n-butyl	OH	2-benzoxazolyl
S	n-butyl	OH	4-fluoro-1-naphthyl
S	n-butyl	OH	5-chloro-2-thienyl
S	n-butyl	OH	4-methyl-1-naphthyl
S	n-butyl	OH	1-methyl-2-pyrrolyl
S	n-butyl	OH	2-methyl-3-furyl
S	n-butyl	OH	5-methyl-2-thienyl
S	n-butyl	OH	4-methyl-5-imidazolyl
S	n-butyl	OH	1-methyl-3-indolyl
S	n-butyl	OH	2-methoxy-1-naphthyl
S	n-butyl	OH	3-methoxy-2-naphthyl
S	n-butyl	OH	6-ethoxy-2-naphthyl
S	n-butyl	OH	5-methoxy-3-indolyl
S	n-butyl	OH	1,4-dimethoxy-2-naphthyl
S	n-butyl	OH	5,6-dimethoxy-2-indolyl
S	n-butyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-butyl	OCOOMe	1-naphthyl
S	n-butyl	OCOOMe	2-naphthyl
S	n-butyl	OCOOMe	2-pyrrolyl
S	n-butyl	OCOOMe	3-pyrrolyl
S	n-butyl	OCOOMe	2-furyl
S	n-butyl	OCOOMe	3-furyl
S	n-butyl	OCOOMe	2-thienyl
S	n-butyl	OCOOMe	3-thienyl
S	n-butyl	OCOOMe	3-pyrazolyl
S	n-butyl	OCOOMe	4-pyrazolyl
S	n-butyl	OCOOMe	2-imidazolyl
S	n-butyl	OCOOMe	4-imidazolyl
S	n-butyl	OCOOMe	2-oxazolyl
S	n-butyl	OCOOMe	4-oxazolyl
S	n-butyl	OCOOMe	5-oxazolyl
S	n-butyl	OCOOMe	2-thiazolyl
S	n-butyl	OCOOMe	4-thiazolyl
S	n-butyl	OCOOMe	5-thiazolyl
S	n-butyl	OCOOMe	2-pyrimidinyl
S	n-butyl	OCOOMe	4-pyrimidinyl
S	n-butyl	OCOOMe	5-pyrimidinyl
S	n-butyl	OCOOMe	2-indolyl
S	n-butyl	OCOOMe	3-indolyl
S	n-butyl	OCOOMe	5-indolyl
S	n-butyl	OCOOMe	6-indolyl
S	n-butyl	OCOOMe	5-benzimidazolyl
S	n-butyl	OCOOMe	2-benzofuryl
S	n-butyl	OCOOMe	3-indazolyl
S	n-butyl	OCOOMe	2-benzoxazolyl
S	n-butyl	OCOOMe	4-fluoro-1-naphthyl
S	n-butyl	OCOOMe	5-chloro-2-thienyl
S	n-butyl	OCOOMe	4-methyl-1-naphthyl
S	n-butyl	OCOOMe	1-methyl-2-pyrrolyl
S	n-butyl	OCOOMe	2-methyl-3-furyl
S	n-butyl	OCOOMe	5-methyl-2-thienyl
S	n-butyl	OCOOMe	4-methyl-5-imidazolyl
S	n-butyl	OCOOMe	1-methyl-3-indolyl
S	n-butyl	OCOOMe	2-methoxy-1-naphthyl
S	n-butyl	OCOOMe	3-methoxy-2-naphthyl
S	n-butyl	OCOOMe	6-methoxy-2-naphthyl
S	n-butyl	OCOOMe	5-methoxy-3-indolyl
S	n-butyl	OCOOMe	1,4-dimethoxy-2-naphthyl
S	n-butyl	OCOOMe	5,6-dimethoxy-2-indolyl
S	n-butyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-butyl	OCOEt	1-naphthyl
S	n-butyl	OCOEt	2-naphthyl
S	n-butyl	OCOEt	2-pyrrolyl
S	n-butyl	OCOEt	3-pyrrolyl
S	n-butyl	OCOEt	2-furyl
S	n-butyl	OCOEt	3-furyl
S	n-butyl	OCOEt	2-thienyl
S	n-butyl	OCOEt	3-thienyl
S	n-butyl	OCOEt	3-pyrazolyl
S	n-butyl	OCOEt	4-pyrazolyl
S	n-butyl	OCOEt	2-imidazolyl
S	n-butyl	OCOEt	4-imidazolyl
S	n-butyl	OCOEt	2-oxazolyl
S	n-butyl	OCOEt	4-oxazolyl
S	n-butyl	OCOEt	5-oxazolyl
S	n-butyl	OCOEt	2-thiazolyl
S	n-butyl	OCOEt	4-thiazolyl
S	n-butyl	OCOEt	5-thiazolyl
S	n-butyl	OCOEt	2-pyrimidinyl
S	n-butyl	OCOEt	4-pyrimidinyl
S	n-butyl	OCOEt	5-pyrimidinyl
S	n-butyl	OCOEt	2-indolyl
S	n-butyl	OCOEt	3-indolyl
S	n-butyl	OCOEt	5-indolyl
S	n-butyl	OCOEt	6-indolyl
S	n-butyl	OCOEt	5-benzimidazolyl
S	n-butyl	OCOEt	2-benzofuryl
S	n-butyl	OCOEt	3-indazolyl
S	n-butyl	OCOEt	2-benzoxazolyl
S	n-butyl	OCOEt	4-fluoro-1-naphthyl
S	n-butyl	OCOEt	5-chloro-2-thienyl
S	n-butyl	OCOEt	4-methyl-1-naphthyl
S	n-butyl	OCOEt	1-methyl-2-pyrrolyl
S	n-butyl	OCOEt	2-methyl-3-furyl
S	n-butyl	OCOEt	5-methyl-2-thienyl
S	n-butyl	OCOEt	4-methyl-5-imidazolyl
S	n-butyl	OCOEt	1-methyl-3-indolyl
S	n-butyl	OCOEt	2-methoxy-1-naphthyl
S	n-butyl	OCOEt	3-methoxy-2-naphthyl
S	n-butyl	OCOEt	6-methoxy-2-naphthyl
S	n-butyl	OCOEt	5-methoxy-3-indolyl
S	n-butyl	OCOEt	1,4-dimethoxy-2-naphthyl
S	n-butyl	OCOEt	5,6-dimethoxy-2-indolyl
S	n-butyl	OCOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-pentyl	OH	1-naphthyl
S	n-pentyl	OH	2-naphthyl
S	n-pentyl	OH	2-pyrrolyl
S	n-pentyl	OH	3-pyrrolyl
S	n-pentyl	OH	2-furyl
S	n-pentyl	OH	3-furyl
S	n-pentyl	OH	2-thienyl
S	n-pentyl	OH	3-thienyl
S	n-pentyl	OH	3-pyrazolyl
S	n-pentyl	OH	4-pyrazolyl
S	n-pentyl	OH	2-imidazolyl
S	n-pentyl	OH	4-imidazolyl
S	n-pentyl	OH	2-oxazolyl
S	n-pentyl	OH	4-oxazolyl
S	n-pentyl	OH	5-oxazolyl
S	n-pentyl	OH	2-thiazolyl
S	n-pentyl	OH	4-thiazolyl
S	n-pentyl	OH	5-thiazolyl
S	n-pentyl	OH	2-pyrimidinyl
S	n-pentyl	OH	4-pyrimidinyl
S	n-pentyl	OH	5-pyrimidinyl
S	n-pentyl	OH	2-indolyl
S	n-pentyl	OH	3-indolyl
S	n-pentyl	OH	5-indolyl
S	n-pentyl	OH	6-indolyl
S	n-pentyl	OH	5-benzimidazolyl
S	n-pentyl	OH	2-benzofuryl
S	n-pentyl	OH	3-indazolyl
S	n-pentyl	OH	2-benzoxazolyl
S	n-pentyl	OH	4-fluoro-1-naphthyl
S	n-pentyl	OH	5-chloro-2-thienyl
S	n-pentyl	OH	4-methyl-1-naphthyl
S	n-pentyl	OH	1-methyl-2-pyrrolyl
S	n-pentyl	OH	2-methyl-3-furyl
S	n-pentyl	OH	5-methyl-2-thienyl
S	n-pentyl	OH	4-methyl-5-imidazolyl
S	n-pentyl	OH	1-methyl-3-indolyl
S	n-pentyl	OH	2-methoxy-1-naphthyl
S	n-pentyl	OH	3-methoxy-2-naphthyl
S	n-pentyl	OH	6-ethoxy-2-naphthyl
S	n-pentyl	OH	5-methoxy-3-indolyl
S	n-pentyl	OH	1,4-dimethoxy-2-naphthyl
S	n-pentyl	OH	5,6-dimethoxy-2-indolyl
S	n-pentyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-pentyl	OCOOMe	1-naphthyl
S	n-pentyl	OCOOMe	2-naphthyl
S	n-pentyl	OCOOMe	2-pyrrolyl
S	n-pentyl	OCOOMe	3-pyrrolyl
S	n-pentyl	OCOOMe	2-furyl
S	n-pentyl	OCOOMe	3-furyl
S	n-pentyl	OCOOMe	2-thienyl
S	n-pentyl	OCOOMe	3-thienyl
S	n-pentyl	OCOOMe	3-pyrazolyl
S	n-pentyl	OCOOMe	4-pyrazolyl
S	n-pentyl	OCOOMe	2-imidazolyl
S	n-pentyl	OCOOMe	4-imidazolyl
S	n-pentyl	OCOOMe	2-oxazolyl
S	n-pentyl	OCOOMe	4-oxazolyl
S	n-pentyl	OCOOMe	5-oxazolyl
S	n-pentyl	OCOOMe	2-thiazolyl
S	n-pentyl	OCOOMe	4-thiazolyl
S	n-pentyl	OCOOMe	5-thiazolyl
S	n-pentyl	OCOOMe	2-pyrimidinyl
S	n-pentyl	OCOOMe	4-pyrimidinyl
S	n-pentyl	OCOOMe	5-pyrimidinyl
S	n-pentyl	OCOOMe	2-indolyl
S	n-pentyl	OCOOMe	3-indolyl
S	n-pentyl	OCOOMe	5-indolyl
S	n-pentyl	OCOOMe	6-indolyl
S	n-pentyl	OCOOMe	5-benzimidazolyl
S	n-pentyl	OCOOMe	2-benzofuryl
S	n-pentyl	OCOOMe	3-indazolyl
S	n-pentyl	OCOOMe	2-benzoxazolyl
S	n-pentyl	OCOOMe	4-fluoro-1-naphthyl
S	n-pentyl	OCOOMe	5-chloro-2-thienyl
S	n-pentyl	OCOOMe	4-methyl-1-naphthyl
S	n-pentyl	OCOOMe	1-methyl-2-pyrrolyl
S	n-pentyl	OCOOMe	2-methyl-3-furyl
S	n-pentyl	OCOOMe	5-methyl-2-thienyl
S	n-pentyl	OCOOMe	4-methyl-5-imidazolyl
S	n-pentyl	OCOOMe	1-methyl-3-indolyl
S	n-pentyl	OCOOMe	2-methoxy-1-naphthyl
S	n-pentyl	OCOOMe	3-methoxy-2-naphthyl
S	n-pentyl	OCOOMe	6-ethoxy-2-naphthyl
S	n-pentyl	OCOOMe	5-methoxy-3-indolyl
S	n-pentyl	OCOOMe	1,4-dimethoxy-2-naphthyl
S	n-pentyl	OCOOMe	5,6-dimethoxy-2-indolyl
S	n-pentyl	OCOOMe	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	n-pentyl	OCOEt	1-naphthyl
S	n-pentyl	OCOEt	2-naphthyl
S	n-pentyl	OCOEt	2-pyrrolyl
S	n-pentyl	OCOEt	3-pyrrolyl
S	n-pentyl	OCOEt	2-furyl
S	n-pentyl	OCOEt	3-furyl
S	n-pentyl	OCOEt	2-thienyl
S	n-pentyl	OCOEt	3-thienyl
S	n-pentyl	OCOEt	3-pyrazolyl
S	n-pentyl	OCOEt	4-pyrazolyl
S	n-pentyl	OCOEt	2-imidazolyl
S	n-pentyl	OCOEt	4-imidazolyl
S	n-pentyl	OCOEt	2-oxazolyl
S	n-pentyl	OCOEt	4-oxazolyl
S	n-pentyl	OCOEt	5-oxazolyl
S	n-pentyl	OCOEt	2-thiazolyl
S	n-pentyl	OCOEt	4-thiazolyl
S	n-pentyl	OCOEt	5-thiazolyl
S	n-pentyl	OCOEt	2-pyrimidinyl
S	n-pentyl	OCOEt	4-pyrimidinyl
S	n-pentyl	OCOEt	5-pyrimidinyl
S	n-pentyl	OCOEt	2-indolyl
S	n-pentyl	OCOEt	3-indolyl
S	n-pentyl	OCOEt	5-indolyl
S	n-pentyl	OCOEt	6-indolyl
S	n-pentyl	OCOEt	5-benzimidazolyl
S	n-pentyl	OCOEt	2-benzofuryl
S	n-pentyl	OCOEt	3-indazolyl
S	n-pentyl	OCOEt	2-benzoxazolyl
S	n-pentyl	OCOEt	4-fluoro-1-naphthyl
S	n-pentyl	OCOEt	5-chloro-2-thienyl
S	n-pentyl	OCOEt	4-methyl-1-naphthyl
S	n-pentyl	OCOEt	1-methyl-2-pyrrolyl
S	n-pentyl	OCOEt	2-methyl-3-furyl
S	n-pentyl	OCOEt	5-methyl-2-thienyl
S	n-pentyl	OCOEt	4-methyl-5-imidazolyl
S	n-pentyl	OCOEt	1-methyl-3-indolyl
S	n-pentyl	OCOEt	2-methoxy-1-naphthyl
S	n-pentyl	OCOEt	3-methoxy-2-naphthyl
S	n-pentyl	OCOEt	6-ethoxy-2-naphthyl
S	n-pentyl	OCOEt	5-methoxy-3-indolyl
S	n-pentyl	OCOEt	1,4-dimethoxy-2-naphthyl
S	n-pentyl	OCOEt	5,6-dimethoxy-2-indolyl
S	n-pentyl	OCOEt	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	2-methoxyethyl	OH	1-naphthyl
NH	2-methoxyethyl	OH	2-naphthyl
NH	2-methoxyethyl	OH	2-pyrrolyl
NH	2-methoxyethyl	OH	3-pyrrolyl
NH	2-methoxyethyl	OH	2-furyl
NH	2-methoxyethyl	OH	3-furyl
NH	2-methoxyethyl	OH	2-thienyl
NH	2-methoxyethyl	OH	3-thienyl
NH	2-methoxyethyl	OH	3-pyrazolyl
NH	2-methoxyethyl	OH	4-pyrazolyl
NH	2-methoxyethyl	OH	2-imidazolyl
NH	2-methoxyethyl	OH	4-imidazolyl
NH	2-methoxyethyl	OH	2-oxazolyl
NH	2-methoxyethyl	OH	4-oxazolyl
NH	2-methoxyethyl	OH	5-oxazolyl
NH	2-methoxyethyl	OH	2-thiazolyl
NH	2-methoxyethyl	OH	4-thiazolyl
NH	2-methoxyethyl	OH	5-thiazolyl
NH	2-methoxyethyl	OH	2-pyrimidinyl
NH	2-methoxyethyl	OH	4-pyrimidinyl
NH	2-methoxyethyl	OH	5-pyrimidinyl
NH	2-methoxyethyl	OH	2-indolyl
NH	2-methoxyethyl	OH	3-indolyl
NH	2-methoxyethyl	OH	5-indolyl
NH	2-methoxyethyl	OH	6-indolyl
NH	2-methoxyethyl	OH	5-benzimidazolyl
NH	2-methoxyethyl	OH	2-benzofuryl
NH	2-methoxyethyl	OH	3-indazolyl
NH	2-methoxyethyl	OH	2-benzoxazolyl
NH	2-methoxyethyl	OH	4-fluoro-1-naphthyl
NH	2-methoxyethyl	OH	5-chloro-2-thienyl
NH	2-methoxyethyl	OH	4-methyl-1-naphthyl
NH	2-methoxyethyl	OH	1-methyl-2-pyrrolyl
NH	2-methoxyethyl	OH	2-methyl-3-furyl
NH	2-methoxyethyl	OH	5-methyl-2-thienyl
NH	2-methoxyethyl	OH	4-methyl-5-imidazolyl
NH	2-methoxyethyl	OH	1-methyl-3-indolyl
NH	2-methoxyethyl	OH	2-methoxy-1-naphthyl
NH	2-methoxyethyl	OH	3-methoxy-2-naphthyl
NH	2-methoxyethyl	OH	6-ethoxy-2-naphthyl
NH	2-methoxyethyl	OH	5-methoxy-3-indolyl
NH	2-methoxyethyl	OH	1,4-dimethoxy-2-naphthyl
NH	2-methoxyethyl	OH	5,6-dimethoxy-2-indolyl
NH	2-methoxyethyl	OH	5-methoxy-1-methyl-2-indolyl



X	R <sup>1</sup>	R <sup>2</sup>	Y
NH	3-methoxypropyl	OH	1-naphthyl
NH	3-methoxypropyl	OH	2-naphthyl
NH	3-methoxypropyl	OH	2-pyrrolyl
NH	3-methoxypropyl	OH	3-pyrrolyl
NH	3-methoxypropyl	OH	2-furyl
NH	3-methoxypropyl	OH	3-furyl
NH	3-methoxypropyl	OH	2-thienyl
NH	3-methoxypropyl	OH	3-thienyl
NH	3-methoxypropyl	OH	3-pyrazolyl
NH	3-methoxypropyl	OH	4-pyrazolyl
NH	3-methoxypropyl	OH	2-imidazolyl
NH	3-methoxypropyl	OH	4-imidazolyl
NH	3-methoxypropyl	OH	2-oxazolyl
NH	3-methoxypropyl	OH	4-oxazolyl
NH	3-methoxypropyl	OH	5-oxazolyl
NH	3-methoxypropyl	OH	2-thiazolyl
NH	3-methoxypropyl	OH	4-thiazolyl
NH	3-methoxypropyl	OH	5-thiazolyl
NH	3-methoxypropyl	OH	2-pyrimidinyl
NH	3-methoxypropyl	OH	4-pyrimidinyl
NH	3-methoxypropyl	OH	5-pyrimidinyl
NH	3-methoxypropyl	OH	2-indolyl
NH	3-methoxypropyl	OH	3-indolyl
NH	3-methoxypropyl	OH	5-indolyl
NH	3-methoxypropyl	OH	6-indolyl
NH	3-methoxypropyl	OH	5-benzimidazolyl
NH	3-methoxypropyl	OH	2-benzofuryl
NH	3-methoxypropyl	OH	3-indazolyl
NH	3-methoxypropyl	OH	2-benzoxazolyl
NH	3-methoxypropyl	OH	4-fluoro-1-naphthyl
NH	3-methoxypropyl	OH	5-chloro-2-thienyl
NH	3-methoxypropyl	OH	4-methyl-1-naphthyl
NH	3-methoxypropyl	OH	1-methyl-2-pyrrolyl
NH	3-methoxypropyl	OH	2-methyl-3-furyl
NH	3-methoxypropyl	OH	5-methyl-2-thienyl
NH	3-methoxypropyl	OH	4-methyl-5-imidazolyl
NH	3-methoxypropyl	OH	1-methyl-3-indolyl
NH	3-methoxypropyl	OH	2-methoxy-1-naphthyl
NH	3-methoxypropyl	OH	3-methoxy-2-naphthyl
NH	3-methoxypropyl	OH	6-ethoxy-2-naphthyl
NH	3-methoxypropyl	OH	5-methoxy-3-indolyl
NH	3-methoxypropyl	OH	1,4-dimethoxy-2-naphthyl
NH	3-methoxypropyl	OH	5,6-dimethoxy-2-indolyl
NH	3-methoxypropyl	OH	5-methoxy-1-methyl-2-indolyl

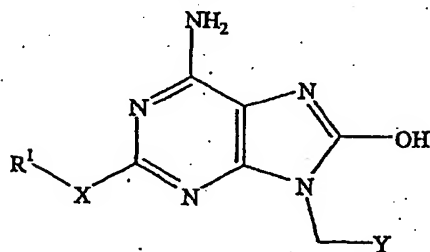
X	R <sup>1</sup>	R <sup>2</sup>	Y
O	2-methoxyethyl	OH	1-naphthyl
O	2-methoxyethyl	OH	2-naphthyl
O	2-methoxyethyl	OH	2-pyrrolyl
O	2-methoxyethyl	OH	3-pyrrolyl
O	2-methoxyethyl	OH	2-furyl
O	2-methoxyethyl	OH	3-furyl
O	2-methoxyethyl	OH	2-thienyl
O	2-methoxyethyl	OH	3-thienyl
O	2-methoxyethyl	OH	3-pyrazolyl
O	2-methoxyethyl	OH	4-pyrazolyl
O	2-methoxyethyl	OH	2-imidazolyl
O	2-methoxyethyl	OH	4-imidazolyl
O	2-methoxyethyl	OH	2-oxazolyl
O	2-methoxyethyl	OH	4-oxazolyl
O	2-methoxyethyl	OH	5-oxazolyl
O	2-methoxyethyl	OH	2-thiazolyl
O	2-methoxyethyl	OH	4-thiazolyl
O	2-methoxyethyl	OH	5-thiazolyl
O	2-methoxyethyl	OH	2-pyrimidinyl
O	2-methoxyethyl	OH	4-pyrimidinyl
O	2-methoxyethyl	OH	5-pyrimidinyl
O	2-methoxyethyl	OH	2-indolyl
O	2-methoxyethyl	OH	3-indolyl
O	2-methoxyethyl	OH	5-indolyl
O	2-methoxyethyl	OH	6-indolyl
O	2-methoxyethyl	OH	5-benzimidazolyl
O	2-methoxyethyl	OH	2-benzofuryl
O	2-methoxyethyl	OH	3-indazolyl
O	2-methoxyethyl	OH	2-benzoxazolyl
O	2-methoxyethyl	OH	4-fluoro-1-naphthyl
O	2-methoxyethyl	OH	5-chloro-2-thienyl
O	2-methoxyethyl	OH	4-methyl-1-naphthyl
O	2-methoxyethyl	OH	1-methyl-2-pyrrolyl
O	2-methoxyethyl	OH	2-methyl-3-furyl
O	2-methoxyethyl	OH	5-methyl-2-thienyl
O	2-methoxyethyl	OH	4-methyl-5-imidazolyl
O	2-methoxyethyl	OH	1-methyl-3-indolyl
O	2-methoxyethyl	OH	2-methoxy-1-naphthyl
O	2-methoxyethyl	OH	3-methoxy-2-naphthyl
O	2-methoxyethyl	OH	6-ethoxy-2-naphthyl
O	2-methoxyethyl	OH	5-methoxy-3-indolyl
O	2-methoxyethyl	OH	1,4-dimethoxy-2-naphthyl
O	2-methoxyethyl	OH	5,6-dimethoxy-2-indolyl
O	2-methoxyethyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
O	3-methoxypropyl	OH	1-naphthyl
O	3-methoxypropyl	OH	2-naphthyl
O	3-methoxypropyl	OH	2-pyrrolyl
O	3-methoxypropyl	OH	3-pyrrolyl
O	3-methoxypropyl	OH	2-furyl
O	3-methoxypropyl	OH	3-furyl
O	3-methoxypropyl	OH	2-thienyl
O	3-methoxypropyl	OH	3-thienyl
O	3-methoxypropyl	OH	3-pyrazolyl
O	3-methoxypropyl	OH	4-pyrazolyl
O	3-methoxypropyl	OH	2-imidazolyl
O	3-methoxypropyl	OH	4-imidazolyl
O	3-methoxypropyl	OH	2-oxazolyl
O	3-methoxypropyl	OH	4-oxazolyl
O	3-methoxypropyl	OH	5-oxazolyl
O	3-methoxypropyl	OH	2-thiazolyl
O	3-methoxypropyl	OH	4-thiazolyl
O	3-methoxypropyl	OH	5-thiazolyl
O	3-methoxypropyl	OH	2-pyrimidinyl
O	3-methoxypropyl	OH	4-pyrimidinyl
O	3-methoxypropyl	OH	5-pyrimidinyl
O	3-methoxypropyl	OH	2-indolyl
O	3-methoxypropyl	OH	3-indolyl
O	3-methoxypropyl	OH	5-indolyl
O	3-methoxypropyl	OH	6-indolyl
O	3-methoxypropyl	OH	5-benzimidazolyl
O	3-methoxypropyl	OH	2-benzofuryl
O	3-methoxypropyl	OH	3-indazolyl
O	3-methoxypropyl	OH	2-benzoxazolyl
O	3-methoxypropyl	OH	4-fluoro-1-naphthyl
O	3-methoxypropyl	OH	5-chloro-2-thienyl
O	3-methoxypropyl	OH	4-methyl-1-naphthyl
O	3-methoxypropyl	OH	1-methyl-2-pyrrolyl
O	3-methoxypropyl	OH	2-methyl-3-furyl
O	3-methoxypropyl	OH	5-methyl-2-thienyl
O	3-methoxypropyl	OH	4-methyl-5-imidazolyl
O	3-methoxypropyl	OH	1-methyl-3-indolyl
O	3-methoxypropyl	OH	2-methoxy-1-naphthyl
O	3-methoxypropyl	OH	3-methoxy-2-naphthyl
O	3-methoxypropyl	OH	6-ethoxy-2-naphthyl
O	3-methoxypropyl	OH	5-methoxy-3-indolyl
O	3-methoxypropyl	OH	1,4-dimethoxy-2-naphthyl
O	3-methoxypropyl	OH	5,6-dimethoxy-2-indolyl
O	3-methoxypropyl	OH	5-methoxy-1-methyl-2-indolyl

X	R <sup>1</sup>	R <sup>2</sup>	Y
S	2-methoxyethyl	OH	1-naphthyl
S	2-methoxyethyl	OH	2-naphthyl
S	2-methoxyethyl	OH	2-pyrrolyl
S	2-methoxyethyl	OH	3-pyrrolyl
S	2-methoxyethyl	OH	2-furyl
S	2-methoxyethyl	OH	3-furyl
S	2-methoxyethyl	OH	2-thienyl
S	2-methoxyethyl	OH	3-thienyl
S	2-methoxyethyl	OH	3-pyrazolyl
S	2-methoxyethyl	OH	4-pyrazolyl
S	2-methoxyethyl	OH	2-imidazolyl
S	2-methoxyethyl	OH	4-imidazolyl
S	2-methoxyethyl	OH	2-oxazolyl
S	2-methoxyethyl	OH	4-oxazolyl
S	2-methoxyethyl	OH	5-oxazolyl
S	2-methoxyethyl	OH	2-thiazolyl
S	2-methoxyethyl	OH	4-thiazolyl
S	2-methoxyethyl	OH	5-thiazolyl
S	2-methoxyethyl	OH	2-pyrimidinyl
S	2-methoxyethyl	OH	4-pyrimidinyl
S	2-methoxyethyl	OH	5-pyrimidinyl
S	2-methoxyethyl	OH	2-indolyl
S	2-methoxyethyl	OH	3-indolyl
S	2-methoxyethyl	OH	5-indolyl
S	2-methoxyethyl	OH	6-indolyl
S	2-methoxyethyl	OH	5-benzimidazolyl
S	2-methoxyethyl	OH	2-benzofuryl
S	2-methoxyethyl	OH	3-indazolyl
S	2-methoxyethyl	OH	2-benzoxazolyl
S	2-methoxyethyl	OH	4-fluoro-1-naphthyl
S	2-methoxyethyl	OH	5-chloro-2-thienyl
S	2-methoxyethyl	OH	4-methyl-1-naphthyl
S	2-methoxyethyl	OH	1-methyl-2-pyrrolyl
S	2-methoxyethyl	OH	2-methyl-3-furyl
S	2-methoxyethyl	OH	5-methyl-2-thienyl
S	2-methoxyethyl	OH	4-methyl-5-imidazolyl
S	2-methoxyethyl	OH	1-methyl-3-indolyl
S	2-methoxyethyl	OH	2-methoxy-1-naphthyl
S	2-methoxyethyl	OH	3-methoxy-2-naphthyl
S	2-methoxyethyl	OH	6-ethoxy-2-naphthyl
S	2-methoxyethyl	OH	5-methoxy-3-indolyl
S	2-methoxyethyl	OH	1,4-dimethoxy-2-naphthyl
S	2-methoxyethyl	OH	5,6-dimethoxy-2-indolyl
S	2-methoxyethyl	OH	5-methoxy-1-methyl-2-indolyl

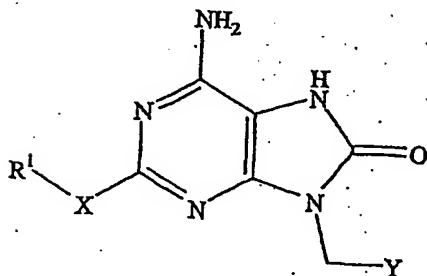
X	R <sup>1</sup>	R <sup>2</sup>	Y
S	2-hydroxyethyl	OH	1-naphthyl
S	2-hydroxyethyl	OH	2-naphthyl
S	2-hydroxyethyl	OH	2-pyrrolyl
S	2-hydroxyethyl	OH	3-pyrrolyl
S	2-hydroxyethyl	OH	2-furyl
S	2-hydroxyethyl	OH	3-furyl
S	2-hydroxyethyl	OH	2-thienyl
S	2-hydroxyethyl	OH	3-thienyl
S	2-hydroxyethyl	OH	3-pyrazolyl
S	2-hydroxyethyl	OH	4-pyrazolyl
S	2-hydroxyethyl	OH	2-imidazolyl
S	2-hydroxyethyl	OH	4-imidazolyl
S	2-hydroxyethyl	OH	2-oxazolyl
S	2-hydroxyethyl	OH	4-oxazolyl
S	2-hydroxyethyl	OH	5-oxazolyl
S	2-hydroxyethyl	OH	2-thiazolyl
S	2-hydroxyethyl	OH	4-thiazolyl
S	2-hydroxyethyl	OH	5-thiazolyl
S	2-hydroxyethyl	OH	2-pyrimidinyl
S	2-hydroxyethyl	OH	4-pyrimidinyl
S	2-hydroxyethyl	OH	5-pyrimidinyl
S	2-hydroxyethyl	OH	2-indolyl
S	2-hydroxyethyl	OH	3-indolyl
S	2-hydroxyethyl	OH	5-indolyl
S	2-hydroxyethyl	OH	6-indolyl
S	2-hydroxyethyl	OH	5-benzimidazolyl
S	2-hydroxyethyl	OH	2-benzofuryl
S	2-hydroxyethyl	OH	3-indazolyl
S	2-hydroxyethyl	OH	2-benzoxazolyl
S	2-hydroxyethyl	OH	4-fluoro-1-naphthyl
S	2-hydroxyethyl	OH	5-chloro-2-thienyl
S	2-hydroxyethyl	OH	4-methyl-1-naphthyl
S	2-hydroxyethyl	OH	1-methyl-2-pyrrolyl
S	2-hydroxyethyl	OH	2-methyl-3-furyl
S	2-hydroxyethyl	OH	5-methyl-2-thienyl
S	2-hydroxyethyl	OH	4-methyl-5-imidazolyl
S	2-hydroxyethyl	OH	1-methyl-3-indolyl
S	2-hydroxyethyl	OH	2-methoxy-1-naphthyl
S	2-hydroxyethyl	OH	3-methoxy-2-naphthyl
S	2-hydroxyethyl	OH	6-ethoxy-2-naphthyl
S	2-hydroxyethyl	OH	5-methoxy-3-indolyl
S	2-hydroxyethyl	OH	1,4-dimethoxy-2-naphthyl
S	2-hydroxyethyl	OH	5,6-dimethoxy-2-indolyl
S	2-hydroxyethyl	OH	5-methoxy-1-methyl-2-indolyl

Among the compounds of the present invention, those compounds in which  $R^2$  is an acyloxy group or alkoxycarbonyloxy group are equivalent to esters of compounds in which  $R^2$  is a hydroxy group, and are prodrugs used for the purpose of improving the solubility, absorption and biostability of compounds in which  $R^2$  is a hydroxy group. Namely, these are compounds in which the active form of  $R^2$  is a hydroxy group as a result of said esters being metabolized in the body. The compounds represented with general formula (I) and their tautomers are chemically equivalent, and the adenine derivatives of the present invention include those tautomers. For example, in the case  $R^2$  is a hydroxy group, although a compound represented with general formula (I) becomes the hydroxy derivative of general formula (II):



(II)

(wherein,  $R^1$ , X and Y are the same as previously defined in general formula (I)), the oxo derivative of the following general formula (III):



(III)

(wherein,  $R^1$ , X and Y are the same as previously defined in general formula (I)) is a tautomer of said derivative.

The following provides a detailed description of an example of a production method for these adenine derivatives.

(1) Case of  $R^2 = OH$

Compound (IV) and  $Y-CH_2-Hal$  (wherein, Y is the same as previously defined in formula (II), and Hal represents a halogen) are allowed to react in the presence of base to synthesize the 9-substituted form (V). Examples of bases that can be used include alkaline metal salts or alkaline earth metal salts of carbonic acid such as potassium carbonate, metal hydroxides such as sodium hydroxide and potassium hydroxide, metal hydrides such as sodium hydride and alkoxides such as t-butoxy potassium. Examples of solvents that can be used include aprotic solvents such as dimethylformamide, dimethylsulfoxide, tetrahydrofuran and 1,4-dioxane. The reaction can be carried out at a temperature between room temperature and the reflux temperature of the solvent.

Next, in the case X is NH, compound (V) and the corresponding  $R1-NH$  (wherein, R1 is the same as previously defined) are allowed to react in the presence or absence of base to synthesize the 2-substituted form (VI). Examples of bases that can be used include triethylamines such as ethylamine, diisopropylethylamine and 4-dimethylaminopyridine, while examples of solvents that can be used include aprotic solvents such as tetrahydrofuran, 1,4-dioxane and diglyme and alcoholic solvents such as propanol and butanol, or the reaction may be carried out in the absence of solvent. The reaction can be carried out at a temperature between 50°C and the reflux temperature of the solvent.

In the case X is an oxygen atom or sulfur atom, compound (V) and the corresponding  $R1-OH$  or  $R1-SH$  are allowed to react in the presence of base to synthesize the 2-substituted form (VI). Examples of bases that can be used include alkaline metals such as sodium or potassium, and alkaline metal hydrides such as sodium hydride, while examples of solvents that can be used include aprotic solvents such as dimethylformamide, dimethylsulfoxide, tetrahydrofuran, 1,4-dioxane and diglyme, or the reaction may be carried out in the absence of solvent. The reaction can be carried out at a temperature between 50°C

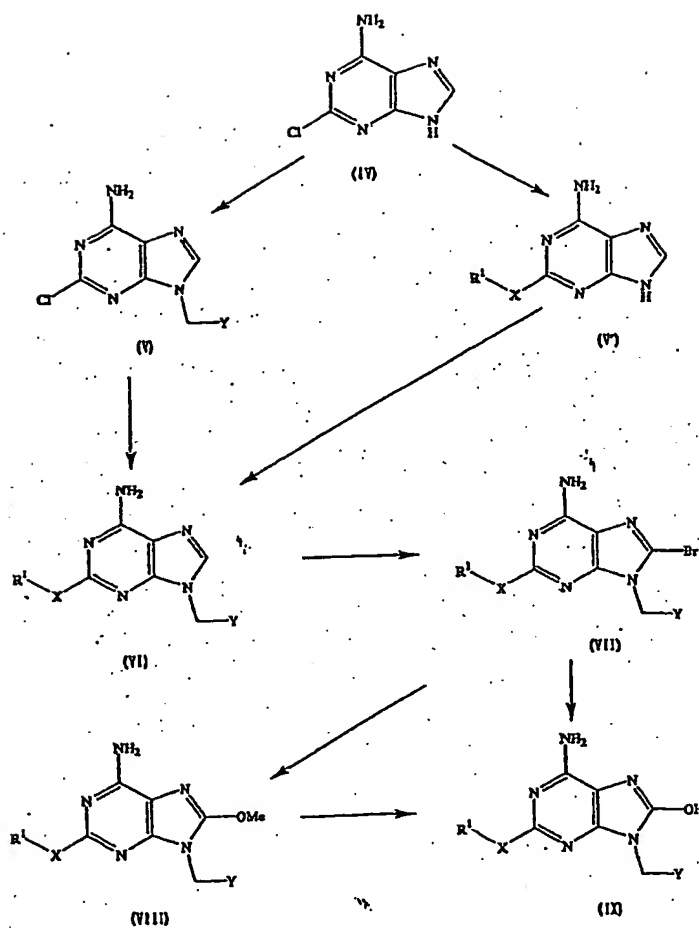
and the reflux temperature of the solvent.

Furthermore, in the step of producing compound (VI) from compound (IV), compound (VI) can also be obtained by first synthesizing the 2-substituted form (IV) and then reacting said 2-substituted form (V') with  $Y-CH_2-Hal$  (wherein, Y is the same as previously defined in formula (II), and Hal represents a halogen).

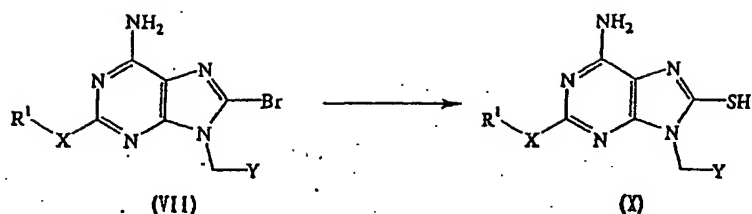
Compound (VII) can be synthesized by reacting compound (VI) with bromine. Examples of solvents that can be used include halogen-based solvents such as carbon tetrachloride, dichloromethane and chloroform, as well as acetic acid. The reaction can be carried out at a temperature between 0°C and the reflux temperature of the solvent. A reaction assistant such as sodium acetate may be added to the reaction.

Compound (IX) can be synthesized by hydrolyzing compound (VII) under acidic conditions. Examples of acids that can be used include hydrochloric acid and hydrobromic acid. The reaction can be carried out at a temperature between 50°C and the reflux temperature of the solvent. Alternatively, compound (IX) can also be obtained by reacting compound (VII) with sodium methoxide to obtain compound (VIII), followed by acid treatment and demethylation.

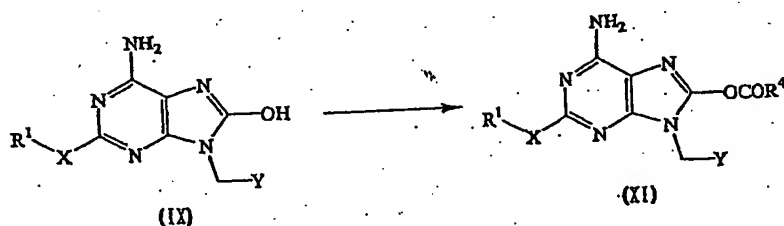




Compound (X) can be synthesized by reacting sodium hydrogen sulfide with compound (VII). Examples of solvents that can be used include alcoholic solvents such as ethanol, propanol and butanol. The reaction can be carried out at a temperature between  $50^\circ\text{C}$  and the reflux temperature of the solvent.



Compound (XI) can be obtained reacting compound (IX) with the acyl chloride or chloroformate ester corresponding to  $R^1$  in the presence of base. Examples of bases that can be used include tertiary amines such as triethylamine, diisopropylethylamine and 4-dimethylaminopyridine, while examples of solvents that can be used include aprotic solvents such as tetrahydrofuran, 1,4-dioxane and dichloromethane. The reaction can be carried out at a temperature between  $0^\circ\text{C}$  and the reflux temperature of the solvent (in the formula,  $R^1$  represents a  $\text{C}_1\text{-C}_7$  alkyl group or  $\text{C}_1\text{-C}_7$  alkoxy group).



The adenine derivatives of the present invention obtained in the manner described above may also be used as pharmaceutically acceptable salts such as sodium salts, potassium salts, calcium salts, hydrochlorides, hydrobromides, sulfates, nitrates, acetates, methanesulfonates, toluenesulfonates, citrates, fumarates and maleates.

The adenine derivatives of the present invention are useful as therapeutic drugs for type B and type C hepatitis, AIDS and

other viral diseases, cancer diseases and diseases caused by type 2 helper T cells, and can be used in various drug forms, including tablets, capsules, powders and other oral preparations, as well as injections and external preparations. A substance selected from the group consisting of compounds represented with general formula (I), tautomers thereof and pharmaceutically acceptable salts thereof can be used for a drug of the present invention, and their hydrates or solvates may also be used. Two or more of these substances may also be used in combination. Although a substance itself selected from these groups may be administered as a drug of the present invention, it is normally preferably administered in the form of a pharmaceutical composition that contains the above substance as the active ingredient along with pharmaceutically acceptable preparation additives.

A pharmaceutical composition for in vivo application can be easily produced with preparation methods commonly used in the field of pharmaceuticals by the above substances serving as active ingredients with one or more types of pharmaceutically acceptable preparation additives. Although there are no particular restrictions on the administration route of the drugs of the present invention, it is preferable to suitably select the route that is most effective during treatment and/or prevention. Examples of pharmaceutical compositions suitable for oral administration include capsules, powders, tablets, granules, grains, syrups, liquids and suspensions, while examples of pharmaceutical compositions suitable for parenteral administration include inhalants, sprays, intrarectal medications, injections, infusions, ointments, creams, skin absorbents, mucous membrane absorbents, eye drops, nose drops, ear drops, tapes and patches. However, the forms of the drugs of the present invention are not limited to these.

Among pharmaceutical compositions suitable for oral administration, liquid preparations such as emulsions and syrups can be produced using preparation additives such as water, sucrose, sorbitol, fructose and other sugars,

polyethylene glycol, propylene glycol and other glycols, sesame oil, olive oil, soybean oil and other oils, p-hydroxybenzoate esters and other preservatives, and strawberry flavoring, peppermint flavoring and other flavorings. Solid preparations such as capsules, tablets, powders and granules can be produced using vehicles such as lactose, glucose, sucrose and mannitol, disintegration agents such as starch and sodium alginate, lubricants such as magnesium stearate and talc, binders such as polyvinyl alcohol, hydroxypropyl cellulose and gelatin, surfactants such as fatty acid esters, and plasticizers such as glycerin.

Among pharmaceutical compositions suitable for parenteral administration, liquid preparations, such as injections, infusions and eye drops can be preferably prepared in the form of sterilized, isotonic liquid preparations. For example, injections can be prepared using a salt solution, glucose solution or aqueous solvent composed of a mixture of saltwater and glucose solution. Intrarectal medications can normally be prepared, for example, in the form of suppositories using a carrier such as cocoa butter, hydrogenated fats or hydrogenated carboxylic acids. In addition, a non-irritating carrier that facilitates absorption by dispersing the above substances serving as active ingredients as fine particles can be used for preparing sprays. Examples of such carriers that can be used include lactose and glycerin, and forms such as aerosols and dry powders can be selected as the form of the preparation. Furthermore, in the production of pharmaceutical compositions for parenteral administration as well, one or more types of preparation additives, selected from the diluents, fragrances, preservatives, vehicles, disintegration agents, lubricants, binders, surfactant and plasticizers indicated for oral preparations, can also be suitably used. Naturally, the preparation additives used in production of the drugs of the present invention are not limited to those indicated above, but rather any such preparation additive may be used provided it can be used by a person with ordinary skill in the art.

Although the dose of the adenine derivatives of the present invention should be suitably determined according to sex, age and body weight of the patient, types of disease, symptoms and so forth, it can be typically administered either in a single administration or divided among several administrations within the range of 0.001-100 mg/kg/day, and preferably within the range of 0.01-10 mg/kg/day.

The present description includes contents described in the specification of Japanese Patent Application No. 2001-118232, which is the basis of the priority right of the present application.

#### BRIEF DESCRIPTION OF THE DRAWINGS

Fig. 1 is a drawing showing the results of an evaluation test of the pharmacological efficacy of a compound of the present invention in a rat eosinophil invasion model.

Fig. 2 is a drawing showing the results of an evaluation test of the pharmacological efficacy of a compound of the present invention in a mouse active cutaneous anaphylaxis model.

Fig. 3 is a drawing showing the results of an antitumor efficacy test described in Example 65. Tumor volume was compared with a vehicle (control group) for the compound of Example 27 and mouse interferon- $\alpha$ , respectively.

Fig. 4 is a drawing showing the results of an antitumor efficacy (metastasis inhibition efficacy) test described in Example 66. The wet weight of each lymph node was compared for each of the dose groups of a vehicle (control group), mouse interferon- $\alpha$  and the compound of Example 27, respectively.

#### BEST MODE FOR CARRYING OUT THE INVENTION

Although the following provides a detailed explanation of the present invention through its examples, the scope of the present invention is not limited to these examples.

##### Reference Example 1: 2-butoxyadenine

Sodium (13.6 g, 0.59 mol) was added to butanol (480 mL)

and dissolved completely by heating to 90°C. Continuing, 2-chloroadenine (4.0 g, 23.6 mmol) was added followed by heating and refluxing for 9 hours. After cooling to 4°C, water (400 mL) was added to the reaction solution and stirred vigorously for 30 minutes. After concentrating the separated butanol layer under reduced pressure, water (400 mL) was added to the residue and then neutralized by dropping in concentrated hydrochloric acid while cooling with ice. The precipitated solid was filtered out and the resulting solid was added to ethanol (70 mL) followed by heating and refluxing for 30 minutes. After cooling to room temperature, the precipitated solid was filtered out to obtain 3.72 g of the target compound (yield: 76%).

Reference Example 2: 8-bromo-2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine

Potassium carbonate (2.85 g, 20.6 mmol) and 2-chloro-5-chloromethylpyridine (3.33 g, 20.6 mmol) were added to a DMF solution (125 mL) of the 2-butoxyadenine (2.60 g, 12.5 mmol) obtained in Reference Example 1, followed by heating and stirring for 2.5 hours at 80°C. After concentrating the reaction solution under reduced pressure, water (100 mL) was added followed by neutralization with 1 N hydrochloric acid and filtering out the precipitated solid. After this solid was dissolved in methylene chloride (100 mL), hexane (150 mL) was added while cooling with ice and the precipitated crystals were filtered out to obtain 2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine (yield: 3.12 g). Bromine (1.92 mL, 37.5 mmol) was then added at room temperature to an acetic acid suspension (186 mL) containing sodium acetate (3.05 g, 37.2 mmol) and 2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine (3.1 g, 9.31 mmol) and allowed to react for 4 hours. After distilling off the reaction solution under reduced pressure, water (200 mL) was added to the residue and then neutralized with 5 N sodium hydroxide while cooling with ice. After filtering out the precipitated crystals, the crude crystals were recrystallized with methanol. The crystals were then dried under reduced pressure for 15 hours

at 40°C to obtain 2.38 g of the target compound in the form of white powdery crystals (yield: 62%).

Reference Example 3: 2-butoxy-9-(6-chloro-3-pyridylmethyl)-8-methoxyadenine

Sodium (614 mg, 26.7 mmol) was completely dissolved in methanol (110 mL). The 8-bromo-2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine (2.2 g, 5.34 mmol) obtained in Reference Example 2 was added to this solution and then heated and refluxed for 3.5 hours. The reaction solution was then concentrated under reduced pressure followed by addition of water (100 mL) to the residue and neutralizing with concentrated hydrochloric acid while cooling with ice. The precipitated solid was filtered out and washed with water (20 mL). This solid was then recrystallized with ethyl acetate (30 mL) to obtain 1.26 g of the target compound in the form of white powdery crystals (yield: 65.0%).

Reference Example 4: 2-butoxy-9-(6-methoxy-3-pyridylmethyl)adenine

After completely dissolving sodium (415 mg, 18.0 mmol) in methanol (18 mL), 2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine (300 mg, 0.90 mmol) was added followed by heating and refluxing for 24 hours. The reaction solution was then concentrated under reduced pressure and water (30 mL) was added to the residue followed by neutralizing with concentrated hydrochloric acid while cooling with ice. After filtering out the precipitated solid, the crude crystals were purified by silica gel column chromatography (methylene chloride:methanol = 50:1) to obtain 148 mg of the target compound (yield: 50%).

Reference Example 5: 2-butylaminoadenine

2-chloroadenine (6.0 g, 35.4 mmol) and butylamine (30 mL) were added to an autoclave (200 mL) and allowed to react for 150 hours at 130°C. After concentrating the reaction solution under reduced pressure, water was injected into the residue to precipitate a solid. The precipitated solid was then sequentially washed with methylene chloride and methanol to obtain 2.08 g of the target compound in the form of a

yellow-orange powdery solid (yield: 30%).

Example 1: 2-butoxy-9-(6-chloro-3-pyridylmethyl)-8-hydroxyadenine

The compound obtained in Reference Example 3 (1.26 g, 3.47 mmol) was added to concentrated hydrochloric acid (70 mL) and allowed to react for 2 hours at room temperature. After concentrating the reaction solution under reduced pressure, water (130 mL) was added to the residue followed by neutralizing with 5 N aqueous sodium hydroxide solution while cooling with ice. The precipitated crystals were then filtered out and dried to obtain 1.20 g of the target compound in the form of white powdery crystals (yield: 99%).

<sup>1</sup>H NMR (DMSO-d<sub>6</sub>): δ 10.14 (1H, brs), 8.40 (1H, d, J=2.4 Hz), 7.76 (1H, d, J=2.4, 8.4 Hz), 7.49 (1H, d, J=8.4 Hz), 6.55 (2H, brs), 4.91 (2H, s), 4.14 (2H, t, J=6.5 Hz), 1.67-1.57 (2H, m), 1.44-1.30 (2H, m), 0.90 (3H, t, J=7.3 Hz)

Example 2: 2-butoxy-8-hydroxy-9-(6-methoxy-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.09 (1H, brs), 8.16 (1H, d, J=2.4 Hz), 7.65 (1H, dd, J=2.4, 8.4 Hz), 6.78 (1H, d, J=8.4 Hz), 6.49 (2H, brs), 4.80 (2H, s), 4.15 (2H, t, J=6.6 Hz), 3.81 (3H, s), 1.66-1.58 (2H, m), 1.42-1.34 (2H, m), 0.91 (3H, t, J=7.3 Hz).

Example 3: 2-butoxy-9-(6-ethoxy-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.06 (1H, brs), 8.14 (1H, d, J=2.4 Hz), 7.64 (1H, dd, J=2.4, 8.6 Hz), 6.75 (1H, d, J=8.6 Hz), 6.48 (2H, brs), 4.80 (2H, s), 4.26 (2H, q, J=7.2 Hz), 4.15 (2H, t, J=6.6 Hz), 1.68-1.58 (2H, m), 1.42-1.34 (2H, m), 1.28 (3H, t, J=7.2 Hz), 0.91 (3H, t, J=7.3 Hz).

Example 4: 2-butoxy-9-(6-n-butoxy-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as



Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.25(1H,brs), 8.13(1H,d, J=1.9Hz), 7.63(1H, dd, J=1.9, 8.4Hz), 6.75(1H,d, J=8.4Hz), 6.53(2H,brs), 4.79(2H,s), 4.23-4.12(2H, m), 1.68-1.60(4H,m), 1.42-1.34(4H,m), 0.94-0.88(6H,m).

Example 5: 2-butoxy-9-(2-chloro-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.15(1H,brs), 8.36-8.33(1H,m), 7.52-7.50(1H,m), 7.41-7.36(1H,m), 6.53(2H,brs), 4.94(2H,s), 4.07(2H, t, J=6.6Hz), 1.62-1.52(2H,m), 1.37-1.23(2H,m), 0.87(3H,t, J=7.3Hz).

Example 6: 2-butoxy-8-hydroxy-9-(2-methoxy-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.06(1H,brs), 8.08-8.06(1H,m), 7.21-7.19(1H,m), 6.93-6.88(1H,m), 6.47(2H,brs), 4.80(2H,s), 4.08(2H, t, J=6.5Hz), 3.92(3H,s), 1.60-1.53(2H,m), 1.38-1.29(2H,m), 0.87(3H,t, J=7.3Hz).

Example 7: 2-butoxy-9-(6-chloro-5-methoxy-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.06(1H,brs), 8.12(1H,d, J=1.9Hz), 7.85(1H,d, J=1.9Hz), 6.49(2H,brs), 4.83(2H, s), 4.16(2H,t, J=6.6Hz), 3.91(3H,s), 3.92(3H,s), 1.66-1.61(2H,m), 1.42-1.34(2H,m), 0.91(3H,t, J=7.3Hz).

Example 8: 2-butoxy-8-hydroxy-9-(3-pyridylmethyl)adenine

Potassium carbonate (1.1g, 8mmol) and 3-chloromethylpyridine hydrochloride (660mg, 5mmol) were added to a DMF solution (30ml) of 2-chloroadenine (520mg, 3mmol) followed by heating to 80°C for three hours with stirring. The reaction liquid was concentrated under reduced temperature, and water was added thereto to deposit a solid. The solid was

filtered off to obtain 2-chloro-9-(3-pyridylmethyl)adenine (yield: 759mg). Butanol (50ml) was added to sodium (750mg, 30mmol) and was heated to 90°C to completely dissolve the sodium. Then, the 2-chloro-9-(3-pyridylmethyl)adenine (430mg, 1.5mmol) was added to the solution and was heated for two hours under reflux. After the solvent was concentrated under a reduced temperature, water was added to the residue. The solution was cooled by ice and a concentrated hydrochloric acid was dropped for neutralization. Methylene chloride was added to separate the solution. The separated organic phase was concentrated under a reduced pressure. An acetic acid (30ml) was added to dissolve the residue, and bromine (660mg, 5.5mmol) was added thereto for carrying out a reaction at a room temperature for one day and night. After the reaction liquid was distilled off under a reduced pressure, the residue was purified by silica gel column chromatography (methylene chloride: methanol 10:1) to obtain 310mg of 8-bromo-2-butoxy-9-(3-pyridylmethyl)adenine. Subsequently, the procedure as shown in Reference Example 3 and Example 1 was carried out to obtain the titled compound.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.22 (1H, brs), 8.56 (1H, d, J=1.9Hz), 8.49-8.47 (1H, m), 7.71-7.67 (1H, m), 7.38-7.33 (1H, m), 6.54 (2H, brs), 4.90 (2H, s), 4.14 (2H, t, J=6.6Hz), 1.65-1.57 (2H, m), 1.41-1.33 (2H, m), 0.90 (3H, t, J=7.3Hz).

Example 9: 2-butoxy-8-hydroxy-9-(4-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.20 (1H, brs), 8.70-8.68 (2H, m), 7.29-7.27 (2H, m), 6.48 (2H, brs), 4.92 (2H, s), 4.16 (2H, t, J=6.6Hz), 1.63-1.55 (2H, m), 1.40-1.32 (2H, m), 0.89 (3H, t, J=7.3Hz).

Example 10: 2-butoxy-9-(pyrazine-2-ylmethyl)-8-methoxyadenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.02 (1H, brs), 8.66 (1H, m),

8.56-8.53 (2H,m), 6.48 (2H,brs), 5.05 (2H, s),  
4.06 (2H,t, J=6.6Hz), 1.59-1.51 (2H,m), 1.37-1.29 (2H,m),  
0.87 (3H,t, J=7.3Hz).

Example 11: 2-butoxy-9-(5,6-dichloro-3-pyridylmethyl)-8-hydroxyadenine

2-n-butoxy-8-bromo-9-(5,6-dichloro-3-pyridylmethyl)-8-hydroxyadenine (150mg, 0.34mmol), which was obtained by the procedure shown in Reference Example 2, was suspended in butanol (4ml). A concentrated hydrochloric acid (4ml) was added to the suspension and was reacted for 9 hours at 70°C. The reaction liquid was concentrated under a reduced pressure. To the residue cooled by ice, water (30ml) was added and the solution was neutralized with an aqueous solution of 1N sodium hydroxide. The deposited solid was filtered to obtain crude crystals. The crystals were purified by silica gel column chromatography (methylene chloride: methanol 25:1), obtaining 45 mg (yield: 35%) of the titled compound as white powder crystals.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.16 (1H,brs), 8.36 (1H,d, J=1.9Hz), 8.06 (1H,d, J=1.9Hz), 6.52 (2H,brs), 4.93 (2H, s), 4.13 (2H,t, J=6.6Hz), 1.64-1.58 (2H,m), 1.40-1.32 (2H,m), 0.90 (3H,t, J=7.3Hz).

Example 12: 2-butoxy-9-(2,6-dichloro-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 11 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.12 (1H,brs), 7.66 (1H,d, J=8.4Hz), 7.52 (1H,d, J=8.4Hz), 6.52 (2H,brs), 4.92 (2H, s), 4.08 (2H,t, J=6.6Hz), 1.60-1.52 (2H,m), 1.37-1.29 (2H,m), 0.88 (3H,t, J=7.4Hz).

Example 13: 2-butoxy-9-(6-piperidino-3-pyridylmethyl)-8-hydroxyadenine

The compound (100mg, 0.29 mmol) obtained in Example 1 was added to piperidine (3ml) and was reacted at 90°C for 30 hours. After the reaction liquid was concentrated under a reduced pressure, methylene chloride (50ml) was added to the

residue to deposit a solid. The deposited solid was filtered and washed with water to obtain

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.79(1H,brs), 8.09(1H,d, J=2.4Hz), 7.47(1H,dd, J=2.4, 8.6Hz), 6.75(1H,d, J=8.6Hz), 6.44(2H, brs), 4.70(2H,s), 4.17(2H,t, J=6.5Hz), 3.48-3.44(4H,m), 1.67-1.35(10H,m), 0.92(3H,t, J=8.3Hz).

Example 14: 2-butoxy-9-(6-(1-pyrrolidinyl)-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 13 using the compound obtained in Example 1 and pyrrolidine.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.03(1H,brs), 8.07(1H,d, J=2.4Hz), 7.46(1H,dd, J=2.4, 8.6Hz), 6.45(2H,brs), 6.37(1H,d, J=8.6Hz), 4.69(2H,s), 4.16(2H,t, J=6.6Hz), 3.33-3.29(4H,m), 1.93-1.88(4H,m), 1.67-1.59(2H,m), 1.43-1.35(2H,m), 0.92(3H,t, J=7.3Hz).

Example 15: 2-butoxy-9-(6-morpholino-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 13 using the compound obtained in Example 1 and morpholine.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.01(1H,brs), 8.14(1H,d, J=2.4Hz), 7.53(1H,dd, J=2.4, 8.6Hz), 6.78(1H,d, J=8.6Hz), 6.45(2H,brs), 4.73(2H,s), 4.16(2H,t, J=6.6Hz), 3.66(4H,t, J=3.9Hz), 3.38(4H,t, J=4.9Hz), 1.67-1.61(2H,m), 1.43-1.35(2H,m), 0.92(3H,t, J=7.3Hz).

Example 16: 2-butoxy-9-(6-dimethylamino-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 13 using the compound obtained in Example 1 and 40% aqueous solution of dimethylamine.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.12(1H,brs), 8.09(1H,d, J=2.4Hz), 7.48(1H,dd, J=2.4, 8.9Hz), 6.57(1H,d, J=8.9Hz), 6.49(2H,brs), 4.70(2H,s), 4.16(2H,t, J=6.6Hz), 2.97(6H,s), 1.70-1.46(2H,m), 1.43-1.33(2H,m), 0.92(3H,t, J=7.3Hz).

Example 17: 2-butylamino-9-(6-chloro-3-pyridylmethyl)-8-

hydroxyadenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.10(1H,brs), 8.56(1H,d, J=1.9Hz), 8.49-8.47(1H, m), 7.71-7.67(1H,m), 7.38-7.33(1H,m), 6.50(2H, brs), 4.90(2H,s), 4.14(2H,t,J=6.6Hz), 1.67-1.57(2H,m), 1.41-1.30(2H,m), 0.90(3H,t, J=7.3Hz).

Example 18: 2-butylamino-9-(6-chloro-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.76(1H,brs), 8.35-8.32(1H,m), 7.47-7.36(2H, m), 6.23(1H,brt, J=5.7Hz), 6.07(2H,s), 4.88(2H, s), 3.13-3.05(2H,m), 1.43-1.27(2H,m), 1.24-1.16(2H,m), 0.82(3H,t, J=7.3Hz).

Example 19: 2-butylamino-8-hydroxy-9-(6-methoxy-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.07(1H,brs), 8.17(1H,d, J=2.4Hz), 7.67(1H, dd,J=2.4, 8.4Hz), 6.82-6.76(2H,m), 6.60(2H,brs), 4.78(2H, s), 3.81(3H,s), 3.25-3.17(2H,m), 1.54-1.43(2H,m), 1.38-1.25(2H,m), 0.89(3H,t, J=7.3Hz).

Example 20: 2-butylamino-8-hydroxy-9-(2-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.88(1H, s), 8.56-8.54(1H,m), 8.05-7.89(3H,m), 7.46-7.41(2H,m), 5.05(3H, s), 3.19-3.14(2H, m), 1.44-1.21(4H,m), 0.81(3H,t, J=7.3Hz).

Example 21: 2-butylamino-8-hydroxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as

Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.78(1H, brs), 8.55(1H,d, J=2.4Hz), 8.47(1H,dd, J=1.9, 4.9Hz), 7.68(1H,d, J=7.8Hz), 7.34(1H, dd, J=4.9, 7.8Hz), 6.21(1H, brt, J=5.5Hz), 6.05(2H,brs), 4.84(2H,s), 3.20-3.12(2H,m), 1.47-1.39(2H,m), 1.32-1.24(2H,m), 0.87(3H,t, J=7.3Hz).

Example 22: 2-butylamino-8-hydroxy-9-(4-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 11.05(1H, brs), 8.76(1H,d, J=8.7Hz), 8.09-7.88(3H,m), 7.73(2H,d, J=8.7Hz), 5.09(2H, s), 3.22-3.17(2H,m), 1.47-1.32(2H,m), 1.29-1.18(2H,m), 0.82(3H,t, J=7.4Hz).

Example 23: 2-butylamino-9-(2,6-dichloro-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.82(1H, brs), 7.61-7.51(2H,m), 6.23(1H,brt, J=5.4Hz), 6.08(2H, s), 4.87(2H,s), 3.12-3.05(2H,m), 1.40-1.19(4H,m), 0.82(3H,t, J=7.3Hz).

Example 24: 2-butylamino-9-(6-dimethylamino-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 13 using the compound obtained in Example 17 and 40% aqueous solution of dimethylamine.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.61(1H, brs), 8.10(1H,d, J=2.2Hz), 7.49(1H,dd, J=2.2, 8.6Hz), 6.56(1H, d,J=8.6Hz), 6.18(1H, brt, J=5.7Hz), 5.97(2H,brs), 4.65(2H,s), 3.22-3.15(2H,m), 2.97(6H,s), 1.53-1.42(2H,m), 1.38-1.24(2H,m), 0.89(3H,t, J=7.3Hz).

Example 25: 2-butoxy-8-hydroxy-9-(6-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.95(1H, s), 8.42(1H, d, J=2.2Hz), 7.58(1H, dd, J=2.2, 8.1Hz), 7.20(1H, d, J=8.1Hz), 6.46(2H, brs), 4.84(2H, s), 4.14(2H, t, J=6.6Hz), 2.41(3H, s), 1.62(2H, m), 1.37(2H, m), 0.90(3H, t, J=7.3Hz).

Example 26: 2-butoxy-8-hydroxy-9-(2-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.01(1H, brs), 8.33(1H, d, J=3.1Hz), 7.39(1H, d, J=6.4Hz), 7.15(1H, dd, J=3.1, 6.4Hz), 6.49(2H, brs), 4.88(2H, s), 4.10(2H, t, J=6.6Hz), 2.59(3H, s), 1.59(2H, m), 1.34(2H, m), 0.88(3H, t, J=7.3Hz).

Example 27: 2-butylamino-8-hydroxy-9-(6-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.78(1H, brs), 8.42(1H, d, J=2.2Hz), 7.57(1H, dd, J=2.2, 8.0Hz), 7.19(1H, d, J=8.0Hz), 6.22(1H, t, J=7.1Hz), 6.09(2H, brs), 4.78(2H, s), 3.16(2H, m), 2.41(3H, s), 1.44(2H, m), 1.28(2H, m), 0.87(3H, t, J=9.6Hz).

Example 28: 2-butylamino-8-hydroxy-9-(2-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.70(1H, s), 8.32(1H, d, J=3.1Hz), 7.37(1H, d, J=7.7Hz), 7.14(1H, dd, J=3.1, 7.7Hz), 6.20(1H, t, J=6.4Hz), 6.0(2H, brs), 4.82(2H, s), 3.12(2H, m), 2.60(3H, s), 1.39(2H, m), 1.25(2H, m), 0.84(3H, t, J=7.1Hz).

Example 29: 2-butylamino-9-(2-chloro-6-methyl-3-pyridylmethyl)-8-hydroxyadenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example

5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.74(1H, brs), 7.33(1H, d, J=5.8Hz), 7.22(1H, d, J=5.8Hz), 6.24(1H, m), 6.06(2H, brs), 4.83(2H, s), 3.09(2H, m), 2.42(3H, s), 1.37(2H, m), 1.25(2H, m), 0.82(3H, t, J=5.5Hz).

Example 30: 2-butylamino-8-hydroxy-9-(6-hydroxy-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 11.50(1H, brs), 9.61(1H, brs), 7.45(1H, dd, J=2.6, 9.5Hz), 7.28(1H, d, J=2.6Hz), 6.28(1H, d, J=9.5Hz), 6.22(1H, t, J=6.2Hz), 6.00(2H, brs), 4.53(2H, s), 3.17(2H, q, J=6.2Hz), 1.45(2H, m), 1.30(2H, m), 0.88(3H, t, J=7.3Hz).

Example 31: 8-hydroxy-2-(2-methoxy)ethoxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.02(1H, brs), 8.57(1H, s), 8.48(1H, d, J=4.8Hz), 7.70(1H, d, J=6.1Hz), 7.36(1H, dd, J=4.8, 6.1Hz), 6.50(2H, brs), 4.90(2H, s), 4.27(2H, t, J=4.6Hz), 3.59(2H, t, J=4.6Hz), 3.27(3H, s).

Example 32: 8-hydroxy-2-methoxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 1.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.04(1H, brs), 8.57(1H, s), 8.48(1H, d, J=4.8Hz), 7.71(1H, d, J=6.1Hz), 7.35(1H, dd, J=4.8, 6.1Hz), 6.53(2H, brs), 4.90(2H, s), 3.76(3H, s).

Example 33: 2-butylamino-8-ethoxycarbonyloxy-9-(6-methoxy-3-pyridylmethyl)adenine

To the solution of the compound (200g, 0.58mmol) obtained in Example 19 in methylene chloride (15ml) were sequentially added triethylamine (100 μl, 0.75mmol), chloroethyl formate (67 μl, 0.70mmol) and dimethylamino pyridine (20mg, 0.17mmol), and the solution was reacted in a room temperature for 15 hours. Water was added to the reaction



liquid to extract the organic layer. The extracted layer was washed with 5% aqueous citric acid solution and 10% salt solution, and was dried with sodium sulfate anhydride. The solvent was distilled off under a reduced pressure. Hexane was added to the residue to deposit a solid. The solid was filtered to obtain 170 mg (yield: 71%) of the titled compound as a white powder solid.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.33(1H,d, J=1.9Hz), 7.75(1H,dd, J=1.9, 8.4Hz), 6.15(2H,brs), 6.67(1H, d, J=8.4Hz), 4.87(2H, s), 4.71(1H, brt, J=5.4Hz), 4.46(2H,q, J=7.1Hz), 3.90(3H, s), 3.41-3.34(2H,m), 1.60-1.35(7H, m), 0.96(3H, t, J=7.3Hz)

Example 34: 2-butylamino-9-(6-chloro-3-pyridylmethyl)-8-ethoxycarbonyloxyadenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 17 and ethyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.55(1H,d, J=2.4Hz), 7.81(1H,dd, J=2.4, 8.4Hz), 7.27(1H,d, J=8.4Hz), 6.06(2H, brs), 4.93(2H, s), 4.83(1H, brt, J=5.5Hz), 4.47(2H,q, J=7.1Hz), 3.40-3.32(2H, m), 1.59-1.36(7H,m), 0.95(3H, t, J=7.3Hz)

Example 35: 2-butylamino-isopropoxycarbonyloxy-9-(6-methoxy-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 19 and isopropyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.32(1H,d,J=2.2Hz), 7.74(1H,dd, J=2.2, 8.9Hz), 6.68(1H,d, J=8.9Hz), 6.08(2H, brs), 5.23-5.13(1H, m), 4.89(1H, brt, J=5.8Hz), 4.87(2H,s), 3.91(3H,s), 3.42-3.35(2H,m), 1.60-1.52(2H,m), 1.48-1.37(8H, m), 0.96(3H, t,J=7.3Hz).

Example 36: 2-butylamino-9-(6-chloro-3-pyridylmethyl)-8-isopropoxy-carbonyloxyadenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 17 and isopropyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.55(1H,d,J=1.9Hz), 7.80(1H,dd, J=1.9,

8.4Hz), 7.27(1H,d, J=8.4Hz), 6.14(2H, brs), 5.24-5.14(1H, m), 4.96-4.92(3H, m), 3.40-3.33(2H,m), 1.62-1.51(2H,m), 1.45-1.34(8H,m), 0.95(3H, t, J=7.3Hz).

Example 37: 2-butoxy-8-ethoxycarbonyloxy-9-(6-methoxy-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 2 and ethyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.33(1H,d, J=2.4Hz), 7.75(1H,dd, J=2.4, 8.1Hz), 6.68(1H,d, J=8.1Hz), 6.15(2H, brs), 4.93(2H, s), 4.48(2H, q, J=7.1Hz), 4.30(2H,t, J=6.6Hz), 3.90(3H,s), 1.83-1.72(2H,m), 1.53-1.43(5H, m), 0.98(3H, t, J=7.3Hz).

Example 38: 2-butoxy-9-(6-chloro-3-pyridylmethyl)-8-ethoxycarbonyloxyadenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 1 and ethyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.56(1H,d, J=2.4Hz), 7.81(1H,dd, J=2.4, 8.4Hz), 7.29(1H,d, J=8.4Hz), 7.28(2H, brs), 4.99(2H, s), 4.49(2H, q, J=7.0Hz), 4.28(2H,t, J=6.6Hz), 1.81-1.71(2H,m), 1.52-1.71(2H, m), 1.52-1.43(5H,m), 0.97(3H,t, J=7.3Hz).

Example 39: 2-butoxy-9-(2-chloro-3-pyridylmethyl)-8-ethoxycarbonyloxyadenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 5 and ethyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.37-8.35(1H,m), 7.73-7.69(1H,m), 7.41-7.37(1H,m), 7.09(2H, brs), 4.95(2H, s), 4.39(2H, q, J=7.2Hz), 4.12(2H,t, J=6.6Hz), 1.61-1.53(2H,m), 1.37-1.29(5H, m), 0.87(3H,t, J=7.3Hz).

Example 40: 2-butoxy-8-methoxycarbonyloxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 8 and methyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.77(1H,d, J=2.2Hz), 8.56-8.63(1H,m),

7.85-7.81 (1H, m), 7.25 (2H, brs), 7.24-7.22 (1H, m), 5.02 (2H, s), 4.30 (2H, t, J=6.6Hz), 4.05 (3H, s), 1.82-1.72 (2H, m), 1.53-1.42 (2H, m), 0.97 (3H, t, J=7.3Hz).

Example 41: 2-butoxy-8-(n-pentyloxy)carbonyloxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 8 and n-pentyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.59 (1H, d, J=1.9Hz), 8.51-8.48 (1H, m), 7.75-7.72 (1H, m), 7.39-7.34 (1H, m), 7.07 (2H, brs), 4.92 (2H, s), 4.32 (2H, t, J=6.6Hz), 4.18 (2H, t, J=6.5Hz), 1.71-1.61 (4H, m), 1.41-1.31 (6H, m), 0.93-0.85 (6H, m).

Example 42: 2-butoxy-8-(cyclohexyloxy)carbonyloxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 8 and cyclohexyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.60 (1H, d, J=1.9Hz), 8.51-8.49 (1H, m), 7.76-7.72 (1H, m), 7.39-7.35 (1H, m), 7.07 (2H, brs), 4.96-4.93 (3H, m), 4.18 (2H, t, J=6.5Hz), 1.86-1.58 (8H, m), 1.44-1.33 (6H, m), 0.91 (3H, t, 7.4Hz).

Example 43: 8-(allyloxy)carbonyloxy-2-butoxy-9-(3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 8 and allyl chloroformate.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.61 (1H, d, J=1.9Hz), 8.51-8.49 (1H, m), 7.77-7.74 (1H, m), 7.39-7.35 (1H, m), 7.25 (1H, dd, J=6.5, 13.8Hz), 7.03 (2H, brs), 5.06 (1H, dd, J=1.9, 13.8Hz), 4.93 (2H, s), 4.86 (1H, dd, J=1.9, 6.5Hz), 4.19 (2H, t, 6.6Hz), 1.64-1.41 (2H, m), 1.39-1.33 (2H, m), 0.91 (3H, t, J=7.4Hz).

Example 44: 8-acetyloxy-2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 17 and acetic acid anhydride.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.56(1H, d, J=2.4Hz), 7.80(1H, dd, J=2.4, 8.4Hz), 7.30(1H, d, J=8.4Hz), 7.28(2H, brs), 5.00(2H, s), 4.29(2H, t, J=6.5Hz), 2.72(3H, s), 1.82-1.71(2H, m), 1.52-1.42(2H, m), 0.97(3H, t, J=7.3Hz).

Example 45: 8-propionyloxy-2-butoxy-9-(6-chloro-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 17 and propionyl chloride.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.55(1H, d, J=2.4Hz), 7.80(1H, dd, J=2.4, 8.1Hz), 7.30(1H, d, J=8.1Hz), 7.28(2H, brs), 5.00(2H, s), 4.29(2H, t, J=6.5Hz), 3.14(2H, q, J=7.4Hz), 1.82-1.71(2H, m), 1.55-1.42(2H, m), 1.23(3H, t, J=7.3Hz), 0.97(3H, t, J=7.3Hz).

Example 46: 8-benzoyloxy-2-butoxy-9-(6-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 25 and benzoyl chloride.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.64(1H, d, J=2.4Hz), 7.77(2H, dd, J=7.3Hz), 7.69(1H, dd, J=2.4, 8.1Hz), 7.63(1H, t, J=7.3Hz), 7.49(2H, t, J=7.3Hz), 7.09(1H, d, J=8.1Hz), 5.76(2H, brs), 4.95(2H, s), 4.34(2H, t, J=6.6Hz), 2.52(3H, s), 1.78(2H, m), 1.52(2H, m), 0.99(3H, t, J=7.3Hz).

Example 47: 8-benzoyloxy-2-butylamino-9-(6-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 27 and benzoyl chloride.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.63(1H, d, J=2.0Hz), 7.74(2H, dd, J=7.4Hz), 7.69(1H, dd, J=2.0, 7.9Hz), 7.61(1H, t, J=7.4Hz), 7.47(2H, t, J=7.4Hz), 7.08(1H, d, J=7.9Hz), 5.57(2H, brs), 4.88(2H, s), 4.84(1H, t, J=5.9Hz), 3.40(2H, m), 2.52(3H, s), 1.58(2H, m), 1.42(2H, m), 0.97(3H, t, J=7.3Hz).

Example 48: 2-butoxy-8-(4-methyl)benzoyloxy-9-(6-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as

Example 33 using the compound obtained in Example 25 and p-toluoyl chloride.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.44(1H, s), 7.73(2H, d, J=8.2Hz), 7.62(1H, d, J=8.0Hz), 7.30(2H, d, J=8.2Hz), 7.20(2H, t, J=8.0Hz), 6.84(2H, brs), 4.84(2H, s), 4.22(2H, t, J=6.6Hz), 2.42(3H, s), 2.40(3H, s), 1.66(2H, m), 1.41(2H, m), 0.92(3H, t, J=7.4Hz).

Example 49: 2-butylamino-8-(4-methyl)benzoyloxy-9-(6-methyl-3-pyridylmethyl)adenine

The titled compound was obtained in the same manner as Example 33 using the compound obtained in Example 27 and p-toluoyl chloride.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 8.43(1H, s), 7.69(2H, d, J=8.2Hz), 7.62(1H, d, J=8.0Hz), 7.28(2H, d, J=8.2Hz), 7.19(1H, d, J=8.0Hz), 6.71(1H, brs), 6.25(2H, brs), 4.80(2H, s), 3.22(2H, t, J=6.6Hz), 2.42(3H, s), 2.39(3H, s), 1.46(2H, m), 1.30(2H, m), 0.89(3H, t, J=7.4Hz).

Example 50: 2-butylamino-8-hydroxy-9-(1-naphthylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.79(1H, s), 8.39-8.41(1H, m), 7.94-7.97(1H, m), 7.83-7.86(1H, m), 7.55-7.59(2H, m), 7.40-7.46(1H, m), 7.26(1H, m), 6.17(1H, t, J=5.8Hz), 6.05(2H, s), 5.28(2H, s), 3.09-3.16(2H, m), 1.36-1.44(2H, m), 1.21-1.29(2H, m), 0.83(3H, t, J=7.4Hz).

Example 51: 2-butylamino-8-hydroxy-9-(2-naphthylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.69(1H, s), 7.84-7.89(3H, m), 7.74(1H, s), 7.47-7.52(3H, m), 6.21(1H, t, J=5.8Hz), 6.03(2H, s), 4.97(2H, s), 3.12-3.20(2H, m), 1.41-1.46(2H, m), 1.22-1.31(2H, m), 0.83(3H, t, J=7.4Hz).

Example 52: 2-butoxy-8-hydroxy-9-(1-naphthylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.21(1H,s), 8.37-8.41(1H,m), 7.85-7.98(2H,m), 7.55-7.60(2H,m), 7.41-7.47(1H,m), 7.25-7.28(1H,m), 6.52(2H,s), 5.34(2H,s), 4.10(2H,d, J=6.6Hz), 1.55-1.61(2H,m), 1.29-1.38(2H,m), 0.87(3H,t, J=7,3Hz).

Example 53: 2-butoxy-8-hydroxy-9-(2-naphtylmethyl)adenine

The titled compound was obtained in the same manner as Example 1 using the corresponding starting substance.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 10.12(1H,s), 7.87-7.90(3H,m), 7.76(1H,s), 7.46-7.51(3H,m), 6.45(2H,s), 5.02(2H,s), 4.14(2H,d, J=6.6Hz), 1.55-1.63(2H,m), 1.31-1.39(2H,m), 0.88(3H,t, J=7,3Hz).

Example 54: 2-butylamino-8-hydroxy-9-(5-chloro-2-thienylmethyl)adenine

The titled compound was obtained in the same manner as Example 11 using the compound obtained in Reference Example 5.

<sup>1</sup>H, NMR (DMSO-d<sub>6</sub>) δ 9.85(1H,s), 6.96(1H,d, J=3.8Hz), 6.91(1H,d, J=3.8Hz), 6.23(1H,t, J=5.4Hz), 6.07(2H,s), 4.87(2H,s), 3.15-3.23(2H,m), 1.43-1.53(2H,m), 1.24-1.53(2H,m), 1.24-1.37(2H,m), 0.89(3H,t, J=7,3Hz).

Example 55: 2-butylamino-8-hydroxy-9-(6-methyl-3-pyridylmethyl)adenine monosulfate

0.5 N sulfuric acid (30.8 mL) was added to a methanol solution (520 mL) of the compound of Example 27 (2.52 g, 7.70 mmol) followed by filtering out the precipitated crystals to obtain the target compound.

Melting point: 249-252°C

Calc: C 45.17, H 5.45, N 23.04, S 7.54

Anal: C 44.96, H 5.56, N 22.90, S 7.53

Example 56: Interferon Inducing Action in Mouse Spleen Cells (In Vitro)

The spleens were excised from C3H/HeJ mice (males: age 8-10 weeks), and a spleen cell suspension containing 2 x 10<sup>6</sup> cells/ml was prepared using MEM medium containing 5% FBS followed by

dividing the suspension into 0.5 ml aliquots into each well of a 24-well microplate. 0.5 ml aliquots of the test compounds (containing 0.2% DMSO) diluted with the same medium were then added to each well, and after culturing for 24 hours at 37°C in a 5% CO<sub>2</sub> incubator, the culture liquid was aseptically filtered with a 0.2 micrometer filter to obtain culture supernatant. The interferon titer in the culture supernatant was quantified according to the bioassay described in J. A. Armstrong, Methods in Enzymology 78, 381-387. Namely, after culturing 1 x 10<sup>4</sup> cells/50 µl of mouse fibroblasts L929 for 24 hours in a 96-well culture plate, 50 µl of diluted culture supernatant were added followed by additional culturing for 24 hours. Continuing, 100 µl each of vesicular stomatitis virus were added and cytopathic effects for 44 hours after viral infection were confirmed by crystal violet staining. Quantification was performed by dissolving the pigment with 2% aqueous sodium deoxycholate solution, and measuring absorbance at 595 nm. Furthermore, 9-benzyl-2-butylamino-8-hydroxyadenine (compound of Example 24 of WO99-28321) was used as Reference Compound Example 1, 9-benzyl-2-butoxy-8-hydroxyadenine (compound of Example 19 of WO99-28321) was used as Reference Compound Example 2, R-837 (Iniquimod) was used as Comparative Example 1, and R-848 (1-(2-hydroxy-2-methylpropyl)-2-methoxyethyl-1H-imidazo[4,5-c]quinoline-4-amine) was used as Comparative Example 2. The minimum effective concentration of each compound is shown in Table 2.

Table 2

Interferon Inducing Activity

Compound	Min. effective concentration (nM)	Compound	Min. effective concentration (nM)
Example 1	1	Example 18	10
Example 2	1	Example 19	3
Example 3	1	Example 20	30
Example 4	10	Example 21	3
Example 5	3	Example 22	10
Example 6	1	Example 23	10
Example 7	1	Example 24	3

Example 8	1	Example 25	1
Example 9	3	Example 26	1
Example 10	10	Example 27	3
Example 11	3	Example 28	10
Example 12	10	Example 29	10
Example 13	3	Reference Compound 1	100
Example 14	1	Reference Compound 2	1
Example 15	1	Comparative Example 1	300
Example 16	1	Comparative Example 2	3
Example 17	3		

Example 57: Interferon Inducing Action in Mice (In Vivo)

The test compounds were suspended in 0.5% aqueous carboxymethyl cellulose solution and orally administered to Balb/c male mice. Blood was sampled from the heart 2 hours later and the interferon titer in the serum was measured using the same method as Example 56. Those results are shown in Table 3.

Table 3

Interferon Inducing Activity (U/ml)

	Dose (mg/kg)			
	0.01	0.03	0.1	0.3
Example 1		123±87	322±95	623±32
Example 2	25±17	388±87	1211±263	2559±495
Example 3			353±73	1966±532
Example 5			133±33	473±9
Example 6			569±42	1222±248
Example 7			347±149	845±22
Example 8	13±5	167±97	725±141	936±438
Example 9				539±107
Example 10		89±22	409±267	733±256
Example 11			279±177	568±160
Example 12				31±5
Example 13				304±138
Example 14				570±63
Example 15			52±32	603±147
Example 16			251±46	716±155
Example 17		31±23	183±43	999±379
Example 18				94±49



Example 19	14±5	199±84	383±122	601±187
Example 21		15±8	290±134	571±164
Example 22			21±9	332±83
Example 23			42±7	414±118
Example 24				403±146
Example 25	65±52	151±29	753±140	721±299
Example 26		121±11	433±366	780±190
Example 27		14±3	324±66	804±274
Example 28			186±62	1462±260
Example 29				619±268
Reference Compound 1			55±25	275±165
Reference Compound 2		60±40	186±42	317±160
Comparative Example 2			1638±246	1961±950

Example 58: Interferon Inducing Action in Cynomolgus Monkeys

The test compounds were suspended in 0.5% aqueous carboxymethyl cellulose solution and orally administered to male cynomolgus monkeys in groups of five each at 10 mg/kg. Blood samples were collected over time, and interferon titer in the serum was measured using the same method as Example 56. Interferon titers (mean±SE) in the serum 4 hours after administration were 13,876±825 U/ml for Example 17, 12,173±6619 U/ml for Example 19, 14,488±6365 U/ml for Example 27 and 18,305±5578 U/ml for Reference Example 2, with roughly the same levels of interferon inducing action being observed. However, in contrast to vomiting being observed in 4 of the 5 monkeys dosed with Reference Example 2, vomiting was not observed in any of the monkeys dosed with compounds of the present invention.

Example 59: Interferon Inducing Action in Human Peripheral Blood Mononuclear Cells (PBMC)

Peripheral blood samples from five healthy volunteers were drawn into heparin, and mononuclear cells (PBMC) were prepared by density gradient centrifugal separation using Lymphoprep™ (Nycomed Pharma AS). After washing the PBMC twice with serum-free RPMI 1640 medium, the cells were prepared to a

concentration of  $1 \times 10^6$  cells/ml in RPMI 1640 medium containing 10% fetal bovine serum, followed by culturing for 24 hours at 37°C in a 5% CO<sub>2</sub> incubator in the presence of the test compounds dissolved in dimethylsulfoxide (final concentration: 0.1%). Furthermore, 0.1% dimethylsulfoxide not containing test compounds was used for the control. The culture supernatant was recovered by aseptic filtration, and stored frozen at -20°C or below until used for measurement of IFN inducing activity. Quantification of human IFN- $\alpha$  in the culture supernatant was performed using a highly sensitive ELISA system (Amersham). Those results are shown in Table 4. A minus sign in parentheses (-) indicates a concentration below the detection limit (1.25 pg/ml), while NT indicates that the compound was not tested.

Table 4

	Concentration				
	0.3	1	3	10	30
Example 17	NT	5 $\pm$ 3	17 $\pm$ 17	50 $\pm$ 33	61 $\pm$ 38
Example 19	NT	5 $\pm$ 1	12 $\pm$ 11	48 $\pm$ 34	60 $\pm$ 33
Example 25	5 $\pm$ 3	28 $\pm$ 24	46 $\pm$ 34	NT	NT
Example 27	NT	6 $\pm$ 3	26 $\pm$ 22	56 $\pm$ 37	59 $\pm$ 33
Comparative Example 2	--	--	6 $\pm$ 5	NT	NT
Reference Compound 2	NT	--	7	23 $\pm$ 22	64 $\pm$ 40

Example 60: Inhibitory Action on Production of Th2 Cytokines from Sensitized Spleen Cells

Seven-week-old BABL/c mice were immunized by intraperitoneal administration of 4 mg of aluminum hydroxide gel adsorbed with 10  $\mu$ g of ovalbumin (100  $\mu$ l) followed by additional immunization with the same agent 14 days later. The spleens of the animals were excised 7 days later followed by suspending in RPMI 1640 medium containing heat inactivated

fetal bovine serum (10%), 2-mercaptoethanol (50  $\mu$ l), penicillin G (100 U/ml) and streptomycin (100  $\mu$ g/ml) to prepare a spleen cell suspension. Ovalbumin (0.5 mg/ml) and the test compounds were added to the spleen cell suspension ( $5 \times 10^6$  cells/200  $\mu$ l/well) followed by culturing for 3 days at 37°C and 5% CO<sub>2</sub>. The amount of cytokines present in the culture supernatant was quantified by ELISA. IFN- $\gamma$  and IL-4 were quantified using the Amersham ELISA kit, while IL-5 was quantified using the Endogen ELISA kit. The results are shown in Table 5.

Table 5

Inhibitory Action of IL-4 Production (% of Control)

	Concentration (nM)			
	0.1	1	10	100
Example 1	98	7	2	<1
Example 2	96	4	<1	<1
Example 10	-	54	3	<1
Example 17	-	40	<1	<1
Example 19	-	32	<1	<1
Example 20	-	106	23	2
Example 21	-	53	1	<1
Example 22	-	88	9	1
Example 25	86	2	<1	<1
Example 27	-	30	1	<1
Comparative Example 1	-	18	1	<1
Comparative Example 2	90	24	1	<1
Reference Compound 1	-	-	109	24
Reference Compound 2	107	48	1	<1

Inhibitory Action on IL-5 Production (% of Control)

	Concentration (nM)			
	0.1	1	10	100
Example 1	116	41	16	15
Example 2	98	37	14	12
Example 10	-	91	32	15
Example 17	-	77	24	10
Example 19	-	83	16	13
Example 20	-	106	71	19

Example 21	-	97	23	15
Example 22	-	115	50	18
Example 25	102	31	13	13
Example 27	-	68	20	12
Comparative Example 1	-	54	15	10
Comparative Example 2	106	73	18	10
Reference Compound 1	-	-	92	69
Reference Compound 2	99	79	16	11

#### Example 61: Digestive Tract Absorption in Rats

The test compounds were suspended in 0.5% aqueous carboxymethyl cellulose solution and administered orally to SD male rats. Blood samples were collected over time, and blood drug concentrations were measured by HPLC. The C<sub>max</sub> and T<sub>max</sub> values are shown in the table below.

Table 6

C<sub>max</sub> and T<sub>max</sub>

	Dose (mg/kg)	C <sub>max</sub> (ng/ml)	T <sub>max</sub> (hr)
Example 17	3	310	1
Example 19	3	188	4
Hydrochloride of Example 25	3	18	1
	10	150	0.25
Example 27	3	565	0.5
Comparative Example 1	3	90	0.5
Comparative Example 2	3	19	1
	10	15	0.5

#### Example 62: Solubility

Compounds were added to buffers (pH 2.5, 5.5, 7.4) prepared by mixing 5.53% citric acid (1 hydrate) and 1.75% disodium phosphate (anhydrous). After stirring with a vortex mixer and treating for 30 minutes with ultrasonic waves, the mixtures were again stirred with the vortex mixer and then separated by centrifugation (15,000 rpm, 20 minutes). Subsequently, the compound concentration in the supernatant was quantified by HPLC. Those concentrations are shown in the table below.

Table 7

( $\mu\text{g/ml}$ )

	pH 2.5	pH 5.5	pH 7.4
Example 8	784	20	18
Example 9	350	4	3
Example 10	34	15	10
Example 15	140	1	<1
Example 16	293	NT	NT
Example 19	130	2	2
Example 20	8,813	NT	NT
Example 21	15,000	45	64
Example 22	>80,000	73	16
Example 24	27,000	22	1
Example 25	>1,000	5	3
Example 26	>1,000	6	3
Example 27	610	5	2
Example 28	>1,000	8	4
Example 31	>1,000	353	322
Example 32	>1,000	82	25
Reference Compound 1	26	<1	<1
Reference Compound 2	2	<1	<1

Example 63: Evaluation of Pharmacological Efficacy of Compound of Example 27 in a Rat Eosinophil Invasion Model

One ml of a solution containing 1 mg of egg white albumin (OVA) and 100 mg of  $\text{Al}(\text{OH})_3$  was administered intraperitoneally to rats to sensitize the animals on day 0 and day 7, followed by challenge by spraying a 1% OVA solution for 15 minutes with an ultrasonic nebulizer on day 14. The test compounds were administered by endotracheal administration 2.5 hours before challenge, and eosinophils present in washings following bronchovesicular washing 24 hours after challenge were stained with Hinkelman's stain followed by determination of eosinophil count. Sensi.-/Challe+, CMC-Na and Fluticasone were used as controls. Those results are shown in Fig. 1 and the table below.

Table 8

	$\times 10^4$ cells		
Compound	Average	Standard	Standard

(endotracheal administration)		error	deviation
Sensi.-/Challe+	26.22	15.60	6.37
CMC-Na	319.55	281.89	115.08
Example 27 0.001 mg/kg	383.85	392.01	160.06
Example 27 0.01 mg/kg	186.77	161.86	66.08
Example 27 0.1 mg/kg	46.84	51.84	21.16
Fluticasone 0.01 mg/kg	300.07	231.84	94.65

Example 64: Evaluation of Pharmacological Efficacy of Compound 17 in a Mouse Active Cutaneous Anaphylaxis Model

500  $\mu$ l of a solution containing 2  $\mu$ g of egg white albumin (OVA) and 5 mg of Al(OH)<sub>3</sub> were administered intraperitoneally to mice to sensitize the animals on day 0, followed by challenge by subcutaneous injection of 20  $\mu$ l of 1 mg/ml OVA solution into the left ear under ether anesthesia on day 14. The test compounds were coated onto the front and back of the left ear two hours before challenge, and ear thickness 24 hours after challenge was measured using a micrometer. Acetone was used for the control. Those results are shown in Fig. 2 and the table below.

Table 9

Compound	Ear swelling		
	Average	Standard error	Standard deviation
Acetone	0.034	0.021	0.009
Example 17 4 $\mu$ g/ear	0.046	0.024	0.010
Example 17 40 $\mu$ g/ear	0.048	0.013	0.005
Example 17 400 $\mu$ g/ear	0.014	0.008	0.003

Example 65: Antitumor Effects of Compound of Example 27 in a Cancerous Mouse Model

Renca cell line originating in mouse kidney cancer (acquired from Iwate University) was subcutaneously transplanted into the left side of the abdomen of six-week-

old, male BALB/c mice (Japan Charles River) at  $5 \times 10^4$  cells/0.05 ml/mouse. Body weights were measured on the following day, and the mice were divided into five groups of six animals each so that their average body weights were roughly equal. Vehicle (0.5% aqueous carboxymethyl cellulose solution (abbreviated as CMC-Na)) and the compound of Example 27 at 1 mg/kg and 3 mg/kg were orally administered by gavage using an oral cannula (10 ml/kg), or mouse interferon- $\alpha$  (abbreviated as mIFN- $\alpha$ ) was administered subcutaneously into the backs of the animals at  $1 \times 10^4$  U/0.1 ml and  $5 \times 10^4$  U/0.1 ml per mouse. The animals were dosed 5 times every 4 days. The length (L) and width (W) (mm) of the tumors that formed were measured twice a week followed by calculation of tumor volume (V) ( $V = L \times W^2$ ). In addition, the results were tested for a significant difference by Steel's multiple comparison. The SAS System for Windows, Release 8.01 (SAS Institute Inc., Cary, NC, USA) was used for statistical analysis.

An observation period extending from the time of transplantation to about 30 days after transplantation was provided, and tumor volume and body weight were monitored to evaluate antitumor effects on the Renca cell line. The average values (n=6) of tumor volume as compared with the vehicle dose group are shown in Fig. 3 for each drug type. As a result, the Example 27 compound and mIFN- $\alpha$  dose groups exhibited significantly smaller tumor volume than the vehicle dose group ( $P < 0.05$ ), and administration of the compound of Example 27 was determined to exhibit antitumor effects that were equal to or better than those of administration of mIFN- $\alpha$ .

Example 66: Antitumor Effects of Compound of Example 27  
in a Spontaneous Metastasis Mouse Model (Metastasis  
Inhibition Efficacy)

OV2944-HM-1 cell line originating in mouse lymph node highly metastatic ovarian cancer (acquired from Hiroshima University) was subcutaneously transplanted into the gluteal region of six-week-old, female B6C3F1 mice (Japan Charles River) at  $1 \times 10^6$  cells/0.05 ml/mouse. Ten days after

transplant, the primary tumor was excised under Nembutal anesthesia. A surgical adhesive (Aron Alpha) was applied to the incision, and the edges were sutured with wand clips. Body weights were measured on the following day, and the mice were grouped into three groups of six animals each so that their average body weights were roughly equal. Vehicle (0.5% aqueous CMC-Na solution) and the compound of Example 27 at 3 mg/kg and 3 mg/kg were orally administered by gavage using an oral cannula (10 ml/kg), or mIFN- $\alpha$  was administered subcutaneously into the backs of the animals at  $5 \times 10^4$  U/0.1 ml per mouse. The animals were dosed 5 times every 4 days. On the 35th day after transplant, accessory lymph nodes (inguinal, brachial and axillary lymph nodes) were excised and their wet weights were measured. Lungs were simultaneously excised and observed for the presence of metastasis. In addition, results were tested for the presence of a significant difference by Steel's multiple comparison. The SAS System for Windows, Release 8.01 (SAS Institute Inc., Cary, NC, USA) was used for statistical analysis.

As shown in Fig. 4, the largest metastatic nidus was the inguinal lymph node close to the primary tumor, followed in order by axillary lymph nodes and brachial lymph nodes. The Example 27 compound dose group exhibited lower metastatic lymph node weights and inhibitory effects on metastasis as compared with the vehicle dose group. In addition, HM-1 cell line is observed to metastasize not only lymph nodes, but also the lungs at high frequency. Lung metastasis was therefore also examined. As shown in the table below, although lung metastasis was observed in macroscopic findings in five of animals in the vehicle dose group, this was not observed for the compound of Example 27. Thus, in addition to inhibiting metastasis to lymph nodes, the compound of Example 27 was also indicated to have potent effects that inhibit lung metastasis as well. On the other hand, mIFN- $\alpha$  did not inhibit lymph node metastasis, and the frequency of lung metastasis was no different from that of the vehicle control group.



Table 10

	No. of animals exhibiting lung metastasis among six animals
Vehicle	5
Mouse interferon- $\alpha$	5
Compound of Example 27	0

Example 67: Preparation Example

Tablets comprised of the following components were produced in accordance with ordinary methods.

Compound of Example 25	10 mg
Lactose	600 mg
Starch	250 mg
Hydroxypropyl cellulose	30 mg
Calcium stearate	5 mg

Example 68: Preparation Example

A solid dispersed preparation having the following composition was prepared in accordance with ordinary methods.

Compound of Example 27	20 mg
Nicoll (surfactant)	5 mg
Hydroxypropyl cellulose	200 mg
Methanol	2 ml
Dichloromethane	2 ml

All publications cited in this description are contained in this description for reference purposes.

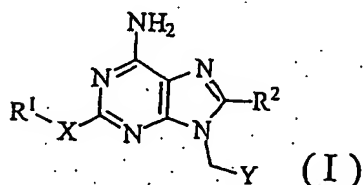
**INDUSTRIAL APPLICABILITY**

The adenine derivatives of the present invention have selective and conspicuous interferon inducing activity. Since the adenine derivatives of the present invention promote secretion of interferon in the body, they are useful in the prevention or treatment of diseases for which interferon is effective such as type B and type C hepatitis, AIDS and other viral diseases and cancer diseases. Since the adenine derivatives of the present invention have low molecular weight, differing from interferon preparations, they can be administered orally, while also being compounds having

superior water solubility and high absorption in the digestive tract. Moreover, since the adenine derivatives of the present invention selectively inhibit the production of inflammatory cytokines such as IL-4 and IL-5 released from Th2 cells, they are useful as prophylactics or therapeutic agents of diseases in which Th2 cells are closely involved such as asthma and atopic dermatitis.

# SCOPE OF CLAIM FOR PATENT

1. Adenine derivatives represented by general formula (I), tautomers thereof or pharmaceutically acceptable salts thereof:



(wherein, X represents  $\text{NR}^3$  (wherein  $\text{R}^3$  represents a hydrogen or  $\text{C}_{1-3}$  alkyl group), oxygen or sulfur,  $\text{R}^1$  represents an optionally substituted alkyl group, optionally substituted alkenyl group, optionally substituted alkynyl group, optionally substituted aryl group or optionally substituted heteroaryl group,  $\text{R}^2$  represents a hydroxy group, mercapto group,  $\text{C}_{1-8}$  acyloxy group, or  $\text{C}_{2-8}$  alkoxy-carbonyloxy group, and Y represents an optionally substituted naphthalene ring, optionally substituted five-member or six-member aromatic heterocycle containing one or more hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, or optionally substituted condensed bicyclic aromatic heterocycle containing one or more hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur).

2. Compounds according to (1) above, wherein in general formula (1),  $\text{R}^1$  represents a  $\text{C}_{1-8}$  alkyl group,  $\text{C}_{2-8}$  alkenyl group,  $\text{C}_{2-8}$  alkynyl group,  $\text{C}_{2-8}$  alkoxyalkyl group,  $\text{C}_{1-8}$  hydroxyalkyl group, aryl group, heteroaryl group, aralkyl group or heteroarylalkyl group.

3. Compounds according to (1) or (2) above, wherein in general formula (I),  $\text{R}^1$  represents a  $\text{C}_{1-6}$  alkyl group.

4. Compounds according to any of (1) through (3) above, wherein in general formula (I), X represents NH.

5. Compounds according to any of (1) through (3) above,

wherein in general formula (I), X represents oxygen.

6. Compounds according to any of (1) through (5) above, wherein in general formula (I), Y represents an optionally substituted pyridine ring or an optionally substituted pyrazine ring.

7. Compounds according to any of (1) through (5) above, wherein in general formula (I), Y represents an optionally substituted naphthalene ring or optionally substituted thiophene ring.

8. Compounds according to any of (1) through (6) above, wherein in general formula (I), Y has substitution group(s) selected from the group consisting of a C<sub>1-4</sub> alkyl group, C<sub>1-4</sub> alkoxy group, hydroxy group, mercapto group, C<sub>1-4</sub> alkylthio group, halogen, amino group, C<sub>2-8</sub> dialkylamino group, C<sub>1-4</sub> monoalkylamino group, pyrrolidinyl group, piperidino group and morpholino group, Y having said substitution groups at 1-4 arbitrary positions in the case Y is a pyridine ring, or having said substitution groups at 1-3 arbitrary positions in the case Y is a pyrazine ring.

9. Compounds according to any of (1) through (6) or (8) above, wherein in general formula (I), Y represents a pyridine ring optionally having substitution group(s) selected from the group consisting of a C<sub>1-4</sub> alkyl group, C<sub>1-4</sub> alkoxy group, hydroxy group, mercapto group, C<sub>1-4</sub> alkylthio group, halogen, amino group, C<sub>2-8</sub> dialkylamino group, C<sub>1-4</sub> monoalkylamino group, pyrrolidinyl group, piperidino group and morpholino group, R<sup>1</sup> represents a C<sub>1-6</sub> alkyl group, and R<sup>2</sup> represents a hydroxy group.

10. Compounds according to (9) above, wherein X represents NH or oxygen.

11. Drugs having for their active ingredient any of the compounds according to (1) through (10) above.

12. Interferon inducing agents having for their active ingredient any of the compounds according to (1) through (10) above.

13. Antiviral agents having for their active ingredient any of the compounds according to (1) through (10) above.

14. Anticancer agents having for their active ingredient any

of the compounds according to (1) through (10) above.

15. Type 2 helper T cell-selective immune response inhibitors having for their active ingredient any of the compounds according to (1) through (10) above.

16. Antiallergic agents having for their active ingredient any of the compounds according to (1) through (10) above.

17. Immune response controlling agents having for their active ingredient any of the compounds according to (1) through (10) above.

Fig. 1

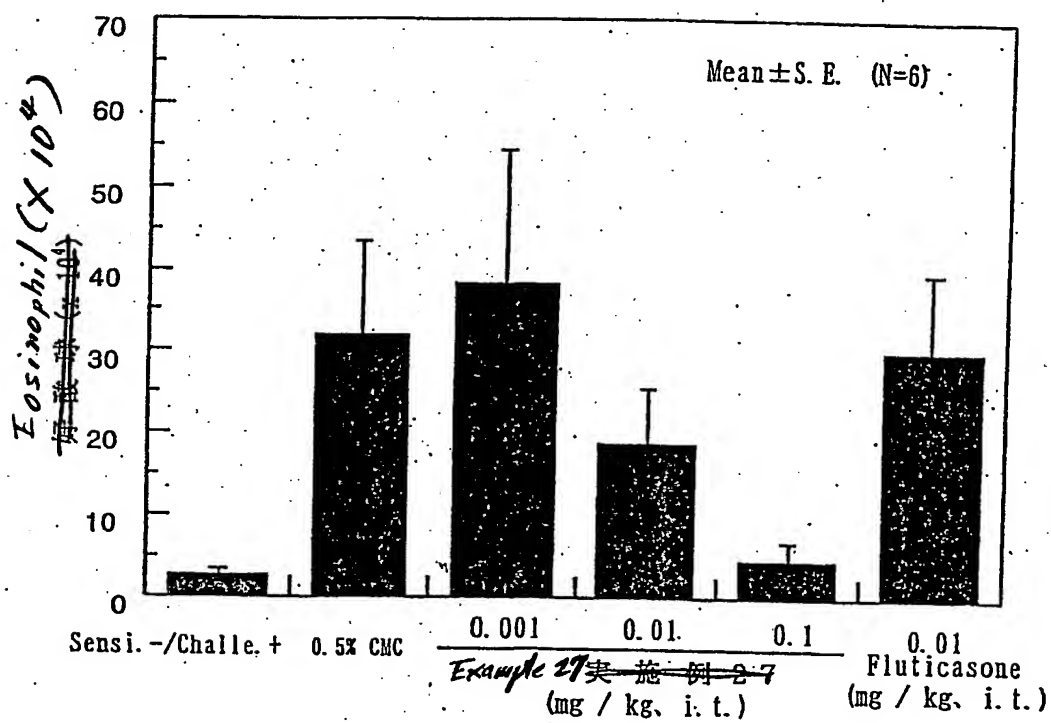


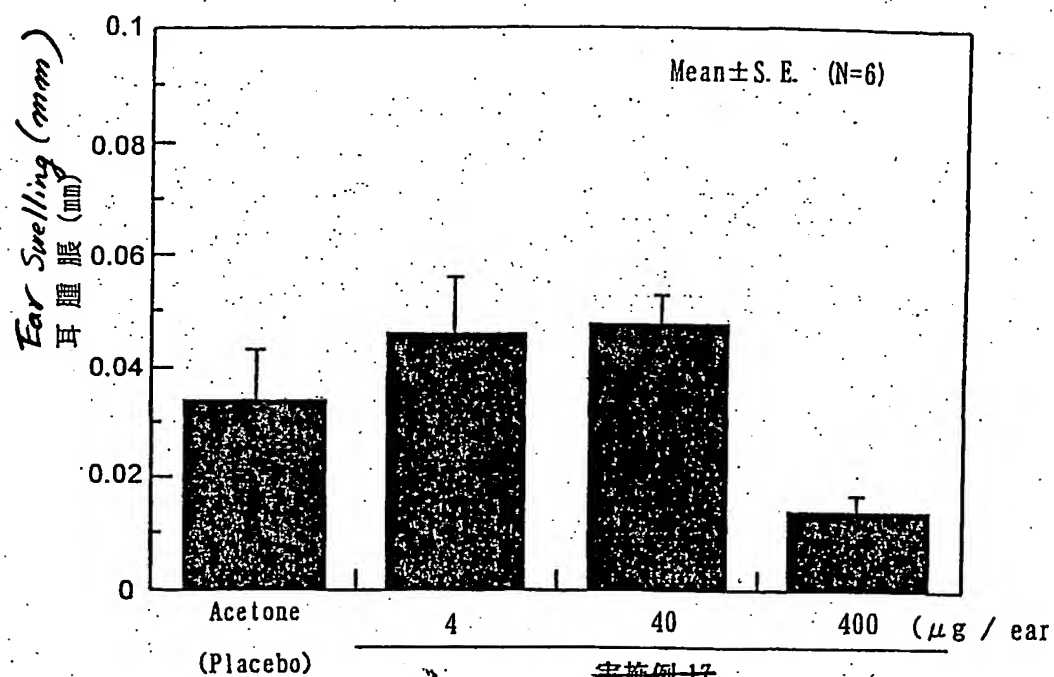
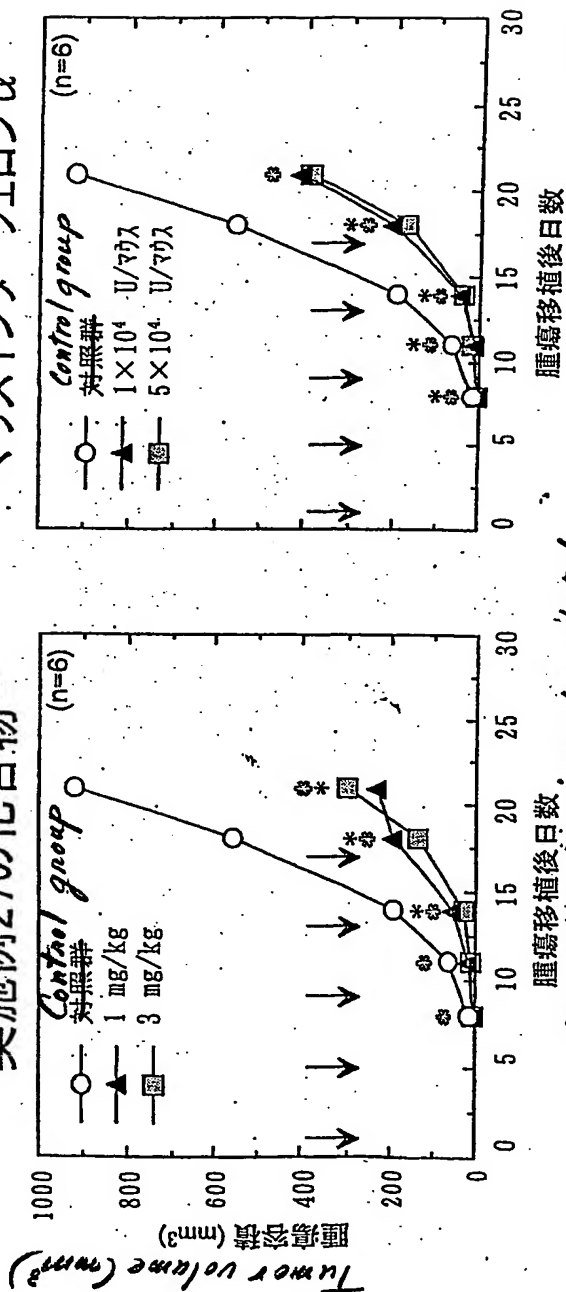
Fig. 2  
2実施例 17  
Example 17

Fig. 3

Mouse interferon- $\alpha$   
マウスインターフェロン $\alpha$

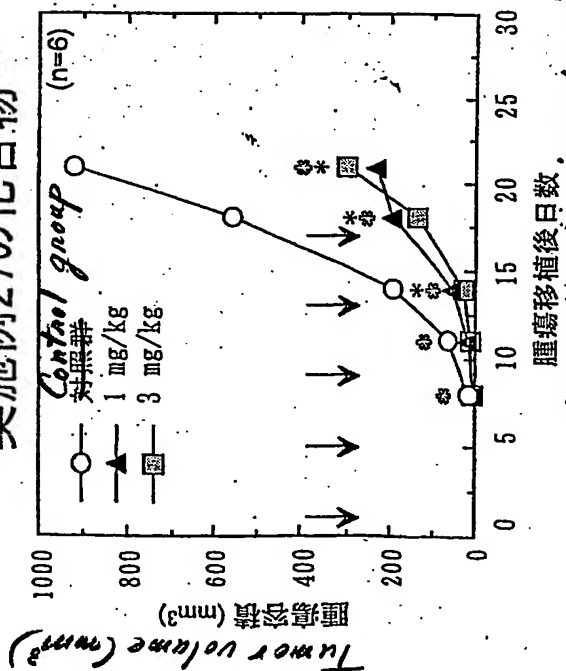


Days after tumor was transplanted

Days after tumor was transplanted

\* \* \* 対照群に対して有意差あり (Steel: p<0.05)  
meaningful difference from control group

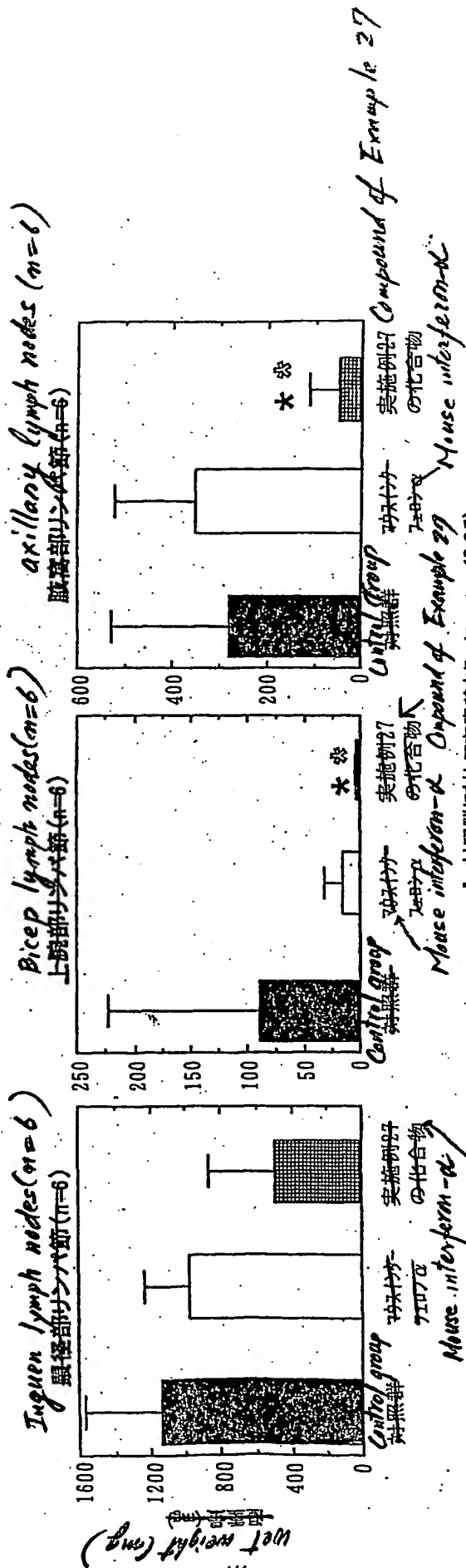
Compound of Example 27  
実施例27の化合物



Days after tumor was transplanted



Fig. 4



\* 対照群に対して有意差あり (Steel:  $p < 0.05$ )

※ スカスインターフェロン $\alpha$ 群に対して有意差あり (Steel:  $p < 0.05$ )

Meaningful difference from the control group

Meaningful difference to mouse interferon- $\alpha$

Compound of Example 27

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP02/03727

## A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl.<sup>7</sup> C07D473/16, 473/18, 473/24, A61K31/52, A61P11/06, 17/00,  
31/12, 31/18, 31/20, 35/00, 37/02, 37/06, 37/08, 43/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl.<sup>7</sup> C07D473/16, 473/18, 473/24, A61K31/52

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
REGISTRY (STN), CAPLUS (STN), CAOLD (STN)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 1035123 A1 (Sumitomo Pharmaceuticals Co., Ltd.), 13 September, 2000 (13.09.00), & WO 99/28321 A1 & CA 2311742 A & AU 9912602 A1 & US 6329381 B1	1-17
A	JP 11-193282 A (Sumitomo Pharmaceuticals Co., Ltd.), 21 July, 1999 (21.07.99), (Family: none)	1-17
A	JP 48-16519 B1 (Tanabe Seiyaku Co., Ltd.), 22 May, 1973 (22.05.73), (Family: none)	1-3

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:	"I" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A" document defining the general state of the art which is not considered to be of particular relevance	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E" earlier document but published on or after the international filing date	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&" document member of the same patent family
"O" document referring to an oral disclosure, use, exhibition or other means	
"P" document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search  
03 July, 2002 (03.07.02)

Date of mailing of the international search report  
16 July, 2002 (16.07.02)

Name and mailing address of the ISA/  
Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.

## 国際調査報告

国際出願番号 PCT/JP02/03727

## A. 発明の属する分野の分類 (国際特許分類 (IPC))

Int. Cl<sup>7</sup> C07D473/16, 473/18, 473/24, A61K31/52,  
A61P11/06, 17/00, 31/12, 31/18, 31/20, 35/00, 37/02, 37/06,  
37/08, 43/00

## B. 調査を行った分野

## 調査を行った最小限資料 (国際特許分類 (IPC))

Int. Cl<sup>7</sup> C07D473/16, 473/18, 473/24, A61K31/52

最小限資料以外の資料で調査を行った分野に含まれるもの

国際調査で使用した電子データベース (データベースの名称、調査に使用した用語)

REGISTRY (STN), CAPLUS (STN), CAOLD (STN)

## C. 関連すると認められる文献

引用文献の カテゴリー*	引用文献名 及び一部の箇所が関連するときは、その関連する箇所の表示	関連する 請求の範囲の番号
A	EP 1035123 A1 (SUMITOMO PHARMACEUTICALS COMPANY, LIMITED) 2000.09.13 & WO 99/28321 A1 & CA 2311742 A & AU 9912602 A1 & US 6329381 B1	1-17
A	JP 11-193282 A (住友製薬株式会社) 1999.07.21 (ファミリーなし)	1-17
A	JP 48-16519 B1 (田辺製薬株式会社) 1973.05.22 (ファミリーなし)	1-3

☐ C欄の続きにも文献が列挙されている。☐ パテントファミリーに関する別紙を参照。

## \* 引用文献のカテゴリー

「A」特に関連のある文献ではなく、一般的な技術水準を示すもの

「E」国際出願日前の出願または特許であるが、国際出願日以後に公表されたもの

「L」優先権主張に疑義を提起する文献又は他の文献の発行日若しくは他の特別な理由を確立するために引用する文献 (理由を付す)

「O」口頭による開示、使用、展示等に言及する文献

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「Y」特に関連のある文献であって、当該文献と他の1以上の文献との、当業者にとって自明である組合せによって進歩性がないと考えられるもの

「&amp;」同一パテントファミリー文献

国際調査を完了した日

03.07.02

国際調査報告の発送日

16.07.02

国際調査機関の名称及びあて先

日本国特許庁 (ISA/JP)

郵便番号100-8915

東京都千代田区霞が関三丁目4番3号

特許庁審査官 (権限のある職員)

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電話番号 03-3581-1101 内線 3492

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